

09/919,347

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 13:50:46 ON 29 JAN 2002

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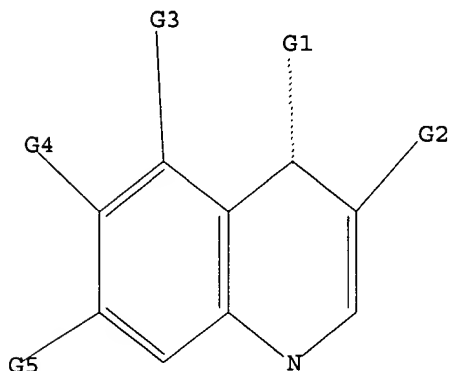
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L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



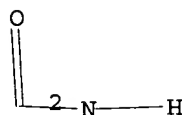
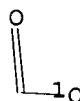
G1 H, O, S

G2 H, CO<sub>2</sub>H, COOH, CHO, [1], [2]

G3 C, H, N

G4 C, H, N, OH, X

G5 N, OH, X



Structure attributes must be viewed using STN Express query preparation.

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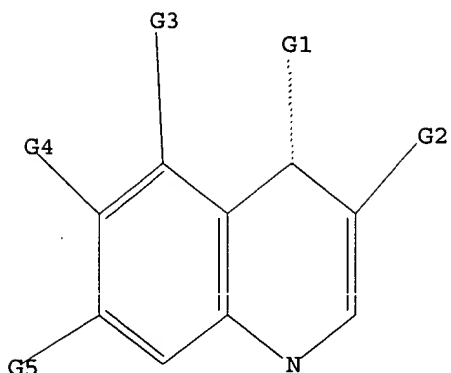
L4 STRUCTURE UPLOADED

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L4 HAS NO ANSWERS

L4 STR

09/919,347



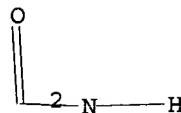
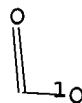
G1 H, O, S

G2 H, CO<sub>2</sub>H, COOH, CHO, [1], [2]

G3 H, NH, NH<sub>2</sub>, Ak

G4 H, OH, X, Ak, NH<sub>2</sub>

G5 N, OH, X



Structure attributes must be viewed using STN Express query preparation.

=> s 14 full

FULL SEARCH INITIATED 13:55:12 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 651588 TO ITERATE

61.4% PROCESSED 400000 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.19

6453 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*  
BATCH \*\*INCOMPLETE\*\*

PROJECTED ITERATIONS: 651588 TO 651588  
PROJECTED ANSWERS: 10204 TO 10818

L6 6453 SEA SSS FUL L4

=> file ca

=> s 16

L7 1244 L6

=> s efflux pump

30574 EFFLUX

82211 PUMP

L8 868 EFFLUX PUMP  
(EFFLUX(W) PUMP)

09/919,347

=> s 17 and 18  
L9 0 L7 AND L8

=> s 17 and efflux  
30574 EFFLUX  
L10 1 L7 AND EFFLUX

=> d ibib abs fhitrn hitrn

L10 ANSWER 1 OF 1 CA COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 135:221312 CA  
TITLE: Therapeutic uses of PPAR mediators as ABC-1 expression  
modulators, and preparation thereof  
INVENTOR(S): Jaye, Michael; Duverger, Nicolas; Searfoss, George;  
Minnich, Anne  
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany  
SOURCE: PCT Int. Appl., 176 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001066098	A2	20010913	WO 2001-EP2482	20010306
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2000-188323 P 20000309  
GB 2000-13589 A 20000602

OTHER SOURCE(S): MARPAT 135:221312

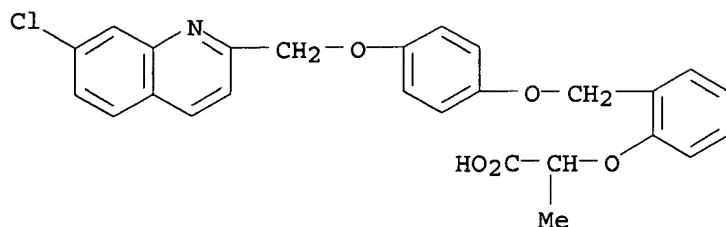
AB The invention discloses the use of PPAR mediators, and their  
pharmaceutical compns., as ATP binding cassette transporter 1 (ABC-1)  
expression modulators, wherein the PPAR ligand receptor agonists of the  
invention are useful as inducers of ABC-1 expression. Prepn. of compds.  
of the invention is included. Also disclosed are methods for treating  
e.g. low levels of HDL.

IT 123226-03-9P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic  
preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)  
(PPAR mediators as ABC-1 expression modulators, prepn., and therapeutic  
use)

RN 123226-03-9 CA

CN Propanoic acid, 2-[2-[[4-[(7-chloro-2-quinolinyl)methoxy]phenoxy]methyl]ph  
enoxy]- (9CI) (CA INDEX NAME)



IT 123226-03-9P 123226-06-2P 303217-33-6P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(PPAR mediators as ABC-1 expression modulators, prepn., and therapeutic use)

=> s l7 not l10

L11 1243 L7 NOT L10

=> s pharm? and l11

405783 PHARM?

L12 207 PHARM? AND L11

=> s l12 and py<2001

20069710 PY<2001

L13 191 L12 AND PY<2001

=> s l13 and antibact?

57623 ANTIBACT?

L14 35 L13 AND ANTIBACT?

=> d ibib abs fhitstr hitrn 1-35

L14 ANSWER 1 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 134:162903 CA

TITLE: Potent In vitro methicillin-resistant Staphylococcus aureus activity of 2-(1H-indol-3-yl)quinoline derivatives

AUTHOR(S): Hoemann, M. Z.; Kumaravel, G.; Xie, R. L.; Rossi, R. F.; Meyer, S.; Sidhu, A.; Cuny, G. D.; Hauske, J. R.

CORPORATE SOURCE: Sepracor Inc., Marlborough, MA, 01752, USA

SOURCE: Bioorg. Med. Chem. Lett. (2000), 10(23), 2675-2678

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A novel structural class of **antibacterials**, 2-(1H-indol-3-yl)quinolines, effective against methicillin-resistant Staphylococcus aureus (MRSA), was discovered from a combinatorial library. A structure-activity relationship (SAR) study was conducted to det. the **pharmacophore** and increase the potency of these compds. Compds. were prepd. that had min. inhibitory concns. (MICs) <1.0 .mu.g/mL against MRSA and retained activity against two strains of glycopeptide intermediate-resistant S. aureus (GISA).

IT 325800-42-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic

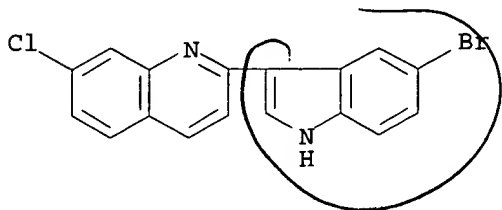


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preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and potent in vitro methicillin-resistant Staphylococcus aureus  
activity of (indolyl)quinoline derivs.)

RN 325800-42-8 CA

CN Quinoline, 2-(5-bromo-1H-indol-3-yl)-7-chloro- (9CI) (CA INDEX NAME)



IT 325800-42-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic  
preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and potent in vitro methicillin-resistant Staphylococcus aureus  
activity of (indolyl)quinoline derivs.)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 133:213151 CA

TITLE: **Pharmaceutical** compositions and methods for  
improved delivery of hydrophobic therapeutic agents

INVENTOR(S): Patel, Manesh V.; Chen, Feng-Jing

PATENT ASSIGNEE(S): Lipocine, Inc., USA

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050007	A1	20000831	WO 2000-US165	20000105 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6294192	B1	20010925	US 1999-258654	19990226
EP 1158959	A1	20011205	EP 2000-901394	20000105
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1999-258654 A 19990226

WO 2000-US165 W 20000105

AB The present invention relates to triglyceride-free **pharmaceutical** compns. for delivery of hydrophobic therapeutic agents. Compns. of the present invention include a hydrophobic therapeutic agent and a carrier, where the carrier is formed from a combination of a hydrophilic surfactant and a hydrophobic surfactant. Upon diln. with an aq. solvent, the compn. forms a clear, aq. dispersion of the surfactants contg. the therapeutic agent. The invention also provides methods of treatment with hydrophobic therapeutic agents using these compns. A **pharmaceutical** compn.

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contained cyclosporin 0.14, Cremophor RH-40 0.41, Arlacel186 0.29, sodium taurocholate 0.26, and propylene glycol 0.46 mg.

IT 158966-92-8, Montelukast

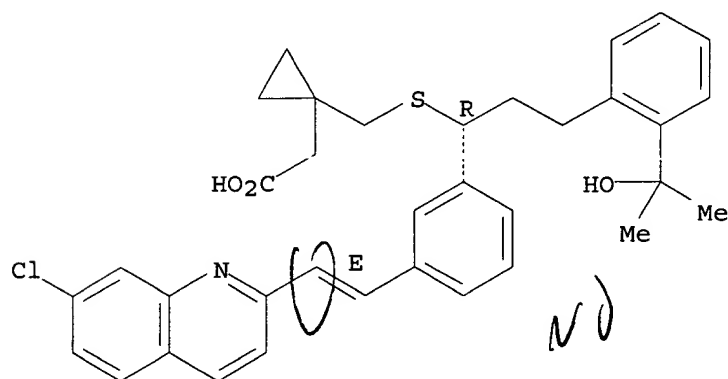
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pharmaceutical compns. and methods for improved delivery of hydrophobic therapeutic agents)

RN 158966-92-8 CA

CN Cyclopropaneacetic acid, 1-[[[(1R)-1-[3-[(1E)-2-(7-chloro-2-quinolinyl)ethenyl]phenyl]-3-[2-(1-hydroxy-1-methylethyl)phenyl]propyl]thio]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



IT 158966-92-8, Montelukast

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pharmaceutical compns. and methods for improved delivery of hydrophobic therapeutic agents)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 133:135294 CA

TITLE: New 1,8-peri-annelated tricyclic quinolone  
antibacterials

AUTHOR(S): Miao, H.; Cecchetti, V.; Tabarrini, O.; Fravolini, A.

CORPORATE SOURCE: Istituto di Chimica e Tecnologia del Farmaco,  
Universita di Perugia, Perugia, 06123, Italy

SOURCE: Journal of Heterocyclic Chemistry (2000),  
37(2), 297-301

CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal

LANGUAGE: English

AB New tricyclic quinolones, resulting from peri-annulation of 1,2,4-oxadiazine moiety at the N-1/C-8 position of the pharmacophoric quinolone nucleus, were prepd. None of the synthesized compds. showed interesting antibacterial activity in vitro against the tested strains, with the exception of Klebsiella pneumoniae which was susceptible to all the compds. at MIC values of 8 .mu.g/mL.

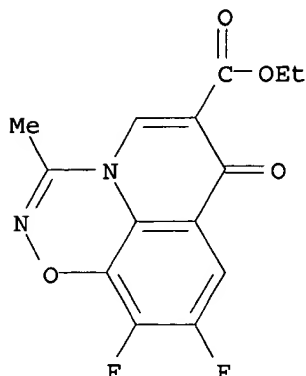
IT 286455-55-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of antibacterial oxadiazinoquinolinecarboxylates)

RN 286455-55-8 CA

09/919,347

CN 7H-Pyrido[1,2,3-de]-1,2,4-benzoxadiazine-6-carboxylic acid,  
9,10-difluoro-3-methyl-7-oxo-, ethyl ester (9CI) (CA INDEX NAME)



IT 286455-55-9P 286455-59-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of **antibacterial** oxadiazinoquinolinecarboxylates)

IT 286455-54-7P 286455-57-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of **antibacterial** oxadiazinoquinolinecarboxylates)

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 132:251371 CA

TITLE: Preparation of erythromycin derivatives as  
**antibacterial** agents

INVENTOR(S): Bronk, Brian Scott; Cheng, Hengmiao; Dutra, Jason  
Kenneth; Letavic, Michael Anthony; Rafka, Robert John

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: Eur. Pat. Appl., 52 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

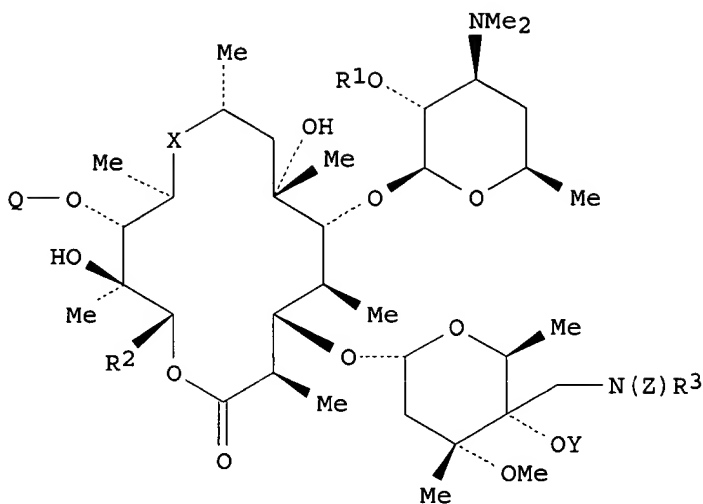
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 992509	A2	20000412	EP 1999-307938	19991008 <--
EP 992509	A3	20010307		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6100240	A	20000808	US 1999-396876	19990916 <--
JP 2000119294	A2	20000425	JP 1999-286835	19991007 <--
BR 9905089	A	20000808	BR 1999-5089	19991011 <--

PRIORITY APPLN. INFO.: US 1998-103838 P 19981009

OTHER SOURCE(S): MARPAT 132:251371

GI



I

AB The invention relates to compds. of the formula I and to **pharmaceutically** acceptable salts thereof, wherein R1, R2, R3, Q, X, Y and Z are as defined herein. The invention also relates to **pharmaceutical** compns. contg. the compds. of formula I, methods of using said compds. of formula I in the treatment of infections, and methods of prepg. said compds. of formula I. Thus, I (R1 = R3 = Q = Y = H, R2 = Et, X = NMe, Z = 3-pyridylcarbonyl) was prepd. and tested as **antibacterial** agent.

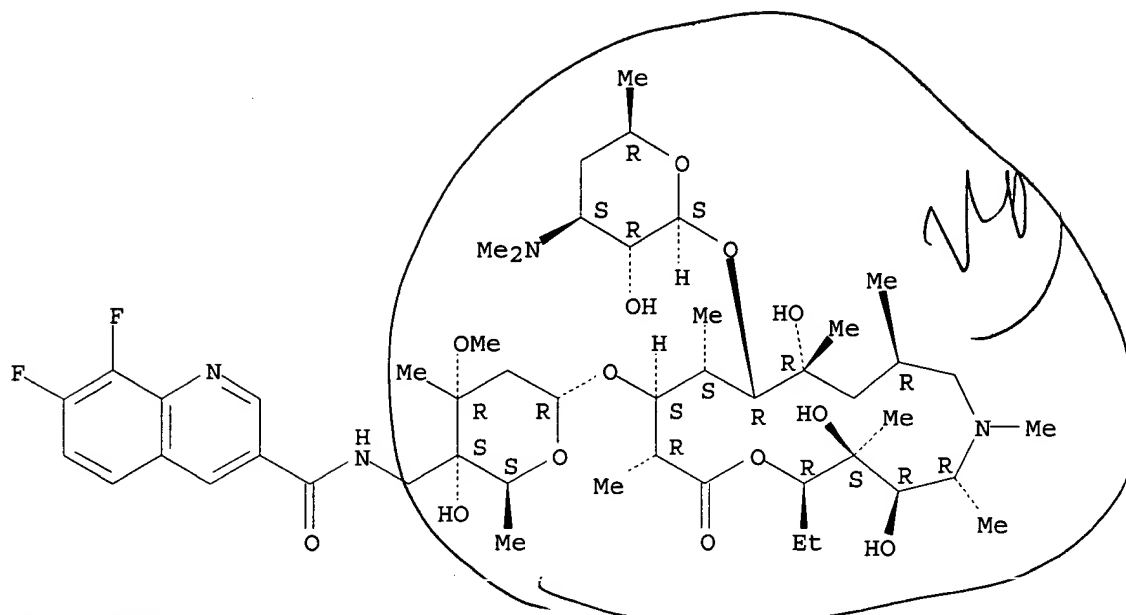
IT 262449-46-7P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of erythromycin derivs. as **antibacterial** agents)

RN 262449-46-7 CA

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[[[2,6-dideoxy-4-C-[[[(7,8-difluoro-3-quinolinyl)carbonyl]amino]methyl]-3-C-methyl-3-O-methyl-.alpha.-L-ribo-hexopyranosyl]oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-.beta.-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 262449-46-7P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of erythromycin derivs. as **antibacterial agents**)

L14 ANSWER 5 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 131:310460 CA

TITLE: Preparation of tetrabenzo[a,c,g,i]fluorene derivatives as supports for synthesis and purification of compounds

INVENTOR(S): DeWitt, Sheila Helen; Ramage, Robert; MacDonald, Alasdair Arthur

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: U.S., 16 pp.  
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5977400	A	19991102	US 1998-48166	19980325 <--
PRIORITY APPLN. INFO.: GI			US 1997-41618	19970327

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Described is a method for the prepn. and purifn. of compds. using a novel support, a tetrabenzo[a,c,g,i]fluorene group (Tbf) comprising reacting a building block (A) contg. a Tbf group (Tbf-A), with a second building block (B), to afford an intermediate compd. (Tbf-A-B) followed by purifying the intermediate compd. by adsorption on a carbon support, removing the intermediate compd. from the support with a solvent and repeating the previous reactions using the required no. of building blocks

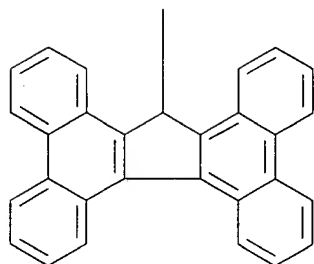
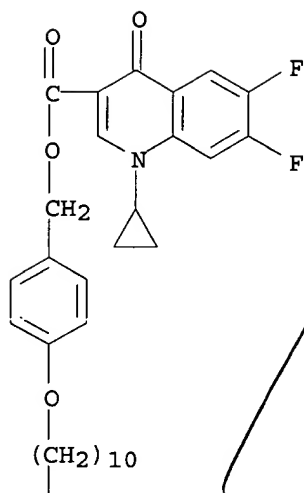
to synthesize the compds. followed by removal of the Tbf group to afford the desired compds. More particularly, the present invention relates to a method for prepg. and purifying a library of compds. useful as **pharmaceutical agents**, e.g. quinolone **antibacterial agents** (no data), using a tetrabenzo[a,c,g,i]fluorene group (Tbf). Thus, [4-[[10-(17H-Tetrabenzo[a,c,g,i]fluoren-17-yl)-decyl]oxy]phenyl]methyl 2,4,5-trifluoro-.beta.-oxobenzenepropanoate (I; R = Q) (21.0 mg) was dissolved in DCM/methanol (3:2, 50 mL) and adsorbed onto activated charcoal (210 mg). The supernatant was removed and the charcoal residue was suspended in freshly distd. THF (30 mL) in an oven-dried, three-necked flask fitted with a reflux condenser, treated with a THF soln. of 37.7 mg DMF di-Me acetal, and stirred at room temp. for 18 h to give a suspension contg. charcoal-adsorbed I (R = Q1). The latter suspension was treated with a THF soln. of 26.4 mg cyclopropylamine and stirred at room temp. for 24 h, and then treated with a THF soln. of 71.6 mg tetramethylguanidine and refluxed for 24 h, and cooled to room temp., treated with MeOH, and stirred at room temp. for 20 min. The supernatant was removed and the carbon residue contg. I (R = Q2) was suspended in a soln. of 56.4 mg piperazine in 30 mL N-methylpyrrolidinone and stirred at 110.degree. for 4 h and cooled to room temp., and then treated with MeOH and stirred for 30 min. The supernatant was removed and the charcoal residue was sonicated in toluene (4.times.70 mL). Exts. were combined and the solvent was removed in vacuo to give after silica gel chromatog., two products one of which is the desired **antibacterial** quinolone Tbf ester (I; R = Q3).

IT 219532-25-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of tetrabenzo[a,c,g,i]fluorene derivs. as supports for  
synthesis and purifn. of compds. or library of compds.)

RN 219532-25-9 CA

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxo-,  
[4-[[10-(17H-cyclopenta[1,2-l:3,4-l']diphenanthren-17-yl)decyl]oxy]phenyl]methyl ester (9CI) (CA INDEX NAME)



IT 219532-25-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of tetrabenzo[a,c,g,i]fluorene derivs. as supports for  
 synthesis and purifn. of compds. or library of compds.)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 6 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 130:52343 CA

TITLE: Preparation of substituted cyclobutylamine derivatives  
 as **antibacterial** agents

INVENTOR(S): Takemura, Makoto; Takahashi, Hisahi; Sugita, Kazuyuki;  
 Miyauchi, Rie

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 73 pp.

CODEN: PIXXD2

09/919,347

DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9854169	A1	19981203	WO 1998-JP2359	19980528 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9804527	A	19981203	ZA 1998-4527	19980527 <--
AU 9874539	A1	19981230	AU 1998-74539	19980528 <--
AU 732175	B2	20010412		
EP 990654	A1	20000405	EP 1998-921863	19980528 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9809702	A	20011211	BR 1998-9702	19980528
NO 9905839	A	20000128	NO 1999-5839	19991129 <--
PRIORITY APPLN. INFO.: JP 1997-141398 A 19970530				
WO 1998-JP2359 W 19980528				
OTHER SOURCE(S): MARPAT 130:52343				
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Substituted cyclobutylamine derivs. with novel structures represented by general formula [I; R1, R2 = H, OH, halo, CONH2, (un)substituted C1-6 alkyl, C1-6 alkoxy or alkylthio (excluding the case where both R1 and R2 are H); R3, R4 = H, (un)substituted C1-6 alkyl; n = 1,2; R5 = C1-6 alkyl, C2-6 alkenyl, C1-6 haloalkyl, (un)substituted C3-6 cycloalkyl, aryl, or heteroaryl, C1-6 alkoxy or alkylamino; R6 = H, C1-6 alkylthio; or R6 and R5 are joined together to form a cyclic structure including the parent ring, optionally contg. S, and optionally having C1-6 alkyl substituent; R7 = H, (un)acylated NH2, thiol, halomethyl, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy; X1 = H, halo; A1 = Q; wherein X1 = H, NH2, halo, cyano, halomethyl, halomethoxy, etc.; or X2 and R5 are joined together to form a cyclic structure including the parent ring, optionally contg. O, N, or S, and optionally having C1-6 alkyl substituent: A2, A3 = N, C; or A2 and A3 together with the attached C atoms represent the partial structure Q2 or Q3; Y = H, Ph, acetoxymethyl, pivaloyloxymethyl, ethoxycarbonyl, cholanyl, dimethylaminoethyl, 5-indanyl, etc.] are prepd. These derivs. are useful as **antibacterial** compds. which have excellent **antibacterial** actions over a wide scope of bacteria including gram-neg. and gram-pos. ones, exert potent **antibacterial** activities particularly on methicillin-resistant (*Staphylococcus aureus*) (MRSA), penicillin-resistant *Streptococcus pneumoniae* and quinolone-resistant bacteria and are excellent in the (in vivo) dynamics and safety. Thus, 5-amino-6,7-difluoro-1-[2-(S)-fluoro-1-(R)-cyclopropyl]-8-methyl-1,4-dihydro-4-oxoquinoline-3-carboxylic acid and 3-[3-(tert-butoxycarbonylamino)-1-fluorocyclobutan-3-yl]pyrrolidine (prepn. given) were suspended in DMSO, followed by adding Et3N, and the



09/919,347

resulting mixt. was stirred at 110.degree. for 72 h. The solvent was distd. off under reduced pressure and the residue was treated with concd. HCl under ice-cooling to give, after workup and chromatog. purifn., the title compd. (II) in 36.0% yield. II showed min. inhibitory concn. of 0.013 and .ltoreq.0.003 .mu.g/mL against Staphylococcus aureus 870307 and Streptococcus pneumoniae J24, resp. **Pharmaceutical** formulations contg. I were prepd.

IT 127199-34-2

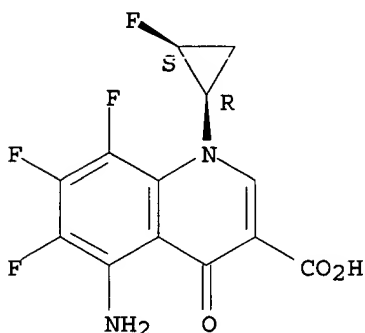
RL: RCT (Reactant)

(prepn. of substituted cyclobutylamine derivs. as **antibacterial** agents)

RN 127199-34-2 CA

CN 3-Quinolonecarboxylic acid, 5-amino-6,7,8-trifluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 127199-34-2 181814-90-4

RL: RCT (Reactant)

(prepn. of substituted cyclobutylamine derivs. as **antibacterial** agents)

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 7 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 127:307319 CA

TITLE: Novel Fluoroquinolone **Antibacterial** Agents Containing Oxime-Substituted (Aminomethyl)pyrrolidines: Synthesis and **Antibacterial** Activity of 7-(4-(Aminomethyl)-3-(methoxyimino)pyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro[1,8]naphthyridine-3-carboxylic Acid (LB20304)

AUTHOR(S): Hong, Chang Yong; Kim, Young Kwan; Chang, Jay Hyok; Kim, Se Ho; Choi, Hoon; Nam, Do Hyun; Kim, Yong Zu; Kwak, Jin Hwan

CORPORATE SOURCE: Biotech Research Institute, LG Chem Research Park, Tae-Jon, 305-380, S. Korea

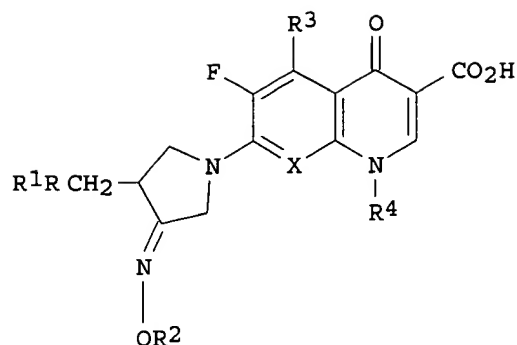
SOURCE: J. Med. Chem. (1997), 40(22), 3584-3593  
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB Title compds. I [X = CF, CCl, CH, COMe, N; R, R1 = H, Me; R2 = Me, Pr, CHMe2, CMe3, CH2Ph, Ph; R3 = H, NH2; R4 = Et, cyclopropyl, 2,4-F2C6H3] were prepd. from the quinolone and the pyrrolidinone fragments. These fluoroquinolones possess potent antimicrobial activity against both Gram-neg. and Gram-pos. organisms, including methicillin-resistant *Staphylococcus aureus* (MRSA). The activity imparted to the substituted quinolone nucleus by the C-8 substituent was in the order F (C5-NH2) > F (C5-H) > naphthyridine > Cl = OMe = H against Gram-pos. organisms. In the case of Gram-neg. strains, activity was in the order F (C5-NH2) > naphthyridine = F (C5-H) > H > Cl > OMe. The advantages provided by the newly introduced oxime group of the quinolones were clearly demonstrated by their comparison to a desoximino compd. In addn., the oxime moiety greatly improved the **pharmacokinetic** parameters of the novel quinolones. LB20304 (I, X = N, R, R1, R3 = H, R2 = Me, R4 = cyclopropyl) showed the best in vivo efficacy and **pharmacokinetic** profile in animals, as well as good phys. properties.

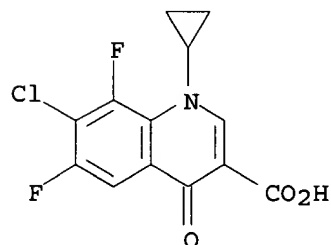
IT 140412-78-8

RL: RCT (Reactant)

(prepn. of **antibacterial** aminomethyl(oximino)pyrrolidinylquinolinones)

RN 140412-78-8 CA

CN 3-Quinolonecarboxylic acid, 7-chloro-1-cyclopropyl-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



IT 140412-78-8 140412-79-9 197143-61-6

197143-62-7

RL: RCT (Reactant)

(prepn. of **antibacterial** aminomethyl(oximino)pyrrolidinylquinolinones)

L14 ANSWER 8 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 127:176359 CA

TITLE: Synthesis, **pharmacokinetics**, and biological activity of a series of new pyridonecarboxylic acid

**antibacterial** agents bearing a 5-fluoro-2-pyridyl group or a 3-fluoro-4-pyridyl group at N-1

AUTHOR(S): Yoon, Sung June; Chung, Yong Ho; Lee, Chi Woo; Oh, Yoon Seok; Choi, Dong Rack; Kim, Nam Doo; Lim, Jae Kyung; Jin, Yoon Ho; Lee, Dug Keun; Lee, Won Yong  
 CORPORATE SOURCE: Dong Wha Pharmaceutical Research Division, Department of Chemistry, Anyang, 430-010, S. Korea  
 SOURCE: J. Heterocycl. Chem. (1997), 34(3), 1021-1027  
 CODEN: JHTCAD; ISSN: 0022-152X  
 PUBLISHER: HeteroCorporation  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

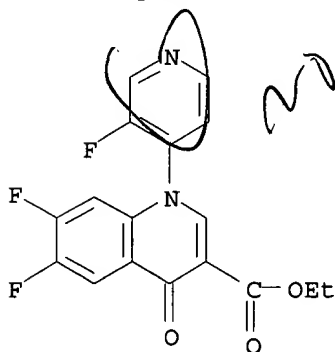
AB The 1-(5-fluoro-2-pyridyl) or 1-(3-fluoro-4-pyridyl) group was introduced in the syntheses of new pyridonecarboxylic acid **antibacterial** agents. 1-(5-Fluoro-2-pyridyl)-6-fluoro-1,4-dihydro-7-(4-methyl-1-piperazinyl)-4-oxoquinolone-3-carboxylic acid (DW-116) showed a moderate in vitro **antibacterial** activity, but it was found to have very excellent **pharmacokinetic** profiles so that DW-116 showed dramatic increased in vivo efficacy.

IT 164151-04-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn., **pharmacokinetics**, and **antibacterial** activity of pyridonecarboxylic acids)

RN 164151-04-6 CA

CN 3-Quinolonecarboxylic acid, 6,7-difluoro-1-(3-fluoro-4-pyridinyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



IT 164151-04-6P 164151-07-9P 164151-09-1P  
 193884-05-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn., **pharmacokinetics**, and **antibacterial** activity of pyridonecarboxylic acids)

L14 ANSWER 9 OF 35 CA COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 127:233 CA

TITLE: **Pharmacokinetics** of prulifloxacin. Part 3. Metabolism in rats, dogs, and monkeys

AUTHOR(S): Okuyama, Yoshio; Morino, Akira  
 CORPORATE SOURCE: Research Laboratories, Nippon Shinyaku Co., Ltd., Kyoto, 601, Japan  
 SOURCE: Arzneim.-Forsch. (1997), 47(3), 293-298  
 CODEN: ARZNAD; ISSN: 0004-4172  
 PUBLISHER: Cantor  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

09/919,347

AB The metab. of the quinolone **antibacterial** prodrug prulifloxacin (NM441) in rats, dogs, and monkeys was investigated after oral administration of <sup>14</sup>C-NM441 or unlabeled NM441. NM394 which is the active metabolite of NM441, the NM394 acyl glucuronide, the ethylenediamino form, the diol form, and the amino form were found in the urine of all 3 species, and the oxo form was detected in monkey urine only. NM394 was the main metabolite in the urine of dogs and monkeys. NM394 was the main metabolite in the plasma, urine, and feces in rats, and NM394 and its acyl glucuronide were the main biliary metabolites. These results indicate that NM441 was transformed into a variety of metabolites, but that most of the drug administered was metabolized to NM394 by hydrolytic cleavage of the dioxolene ring.

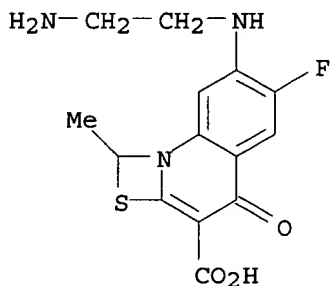
IT 178039-87-7

RL: MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)

(metab. of prulifloxacin in rats, dogs, and monkeys)

RN 178039-87-7 CA

CN 1H,4H-[1,3]Thiazeto[3,2-a]quinoline-3-carboxylic acid,  
7-[(2-aminoethyl)amino]-6-fluoro-1-methyl-4-oxo- (9CI) (CA INDEX NAME)



IT 178039-87-7 190320-06-0

RL: MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)

(metab. of prulifloxacin in rats, dogs, and monkeys)

L14 ANSWER 10 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 126:144048 CA

TITLE: preparation of diphosphonate derivatives of bactericides and antitumor agents

INVENTOR(S): Hartmann, John F.; Farcasiu, Dan

PATENT ASSIGNEE(S): Elizanor Biopharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 45PP

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640156	A1	19961219	WO 1996-US9271	19960606 <--
W: AU, BR, CA, CZ, HU, JP, MX				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5854227	A	19981229	US 1995-473787	19950607 <--
AU 9660949	A1	19961230	AU 1996-60949	19960606 <--
EP 831845	A1	19980401	EP 1996-918247	19960606 <--
R: DE, ES, FR, GB, IT, SE				
PRIORITY APPLN. INFO.:			US 1995-473787	19950607

US 1994-206113 19940304  
WO 1996-US9271 19960606

OTHER SOURCE(S): MARPAT 126:144048

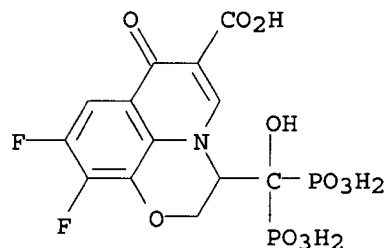
AB Novel chemotherapeutic agents A-(V)m-(R)n-C(PO<sub>3</sub>H<sub>2</sub>)<sub>2</sub>OH (I) [A = a residue of a **pharmaceutically** active chem. entity such as substituted pyrido[1,2,3-de]1,4-benzoxazine, 1,6-naphthyridine, tetracene-5,12-dione, penam or cephem; V = O, S, NR<sub>1</sub>, CONR<sub>1</sub>, CO<sub>2</sub>, O<sub>2</sub>C, OCO<sub>2</sub>, COS, SCO, SCOS, NR<sub>1</sub>CO, O<sub>2</sub>CNR<sub>1</sub>, NR<sub>1</sub>CO<sub>2</sub>, NR<sub>1</sub>CONR<sub>2</sub>, CONR<sub>1</sub>NR<sub>2</sub>, NR<sub>1</sub>NR<sub>2</sub>CO, NR<sub>1</sub>C(=NH)NR<sub>2</sub>, NR<sub>1</sub>C(=NH)NHC(=NH)NR<sub>2</sub> wherein R, R<sub>1</sub>, R<sub>2</sub> = H, (un)substituted org. or (un)substituted heteroorg. group; m = n = 1 or m or n = 0] having utility in treating infectious diseases such as periodontal disease, certain urinary tract infections, infectious urinary tract stones, and bone cancer, are obtained by combining chem. a diphosphonate compd. with a therapeutic agent effective against the foregoing diseases. **Pharmaceutical** compns. contg. I and the **pharmaceutically** active entities pyrido[1,2,3-de]1,4-benzoxazine and 1,6-naphthyridine are described.

IT 186520-58-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of diphosphonate derivs. of bactericides and antitumor agents)

RN 186520-58-1 CA

CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid,  
9,10-difluoro-2,3-dihydro-3-(hydroxydiphosphonomethyl)-7-oxo- (9CI) (CA  
INDEX NAME)



IT 186520-58-1P 186520-59-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of diphosphonate derivs. of bactericides and antitumor agents)

IT 186520-17-2P 186520-21-8P 186520-23-0P

186520-26-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of diphosphonate derivs. of bactericides and antitumor agents)

L14 ANSWER 11 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 125:300911 CA

TITLE: On the binding site of quinolone  
**antibacterials**. An attempt to probe the Shen  
model

AUTHOR(S): Hanessian, Stephen; Saladino, Raffaele; Nunez, Jose  
Cid

CORPORATE SOURCE: Dep. Chem., Universite Montreal, Montreal, H3C 3J7,  
Can.

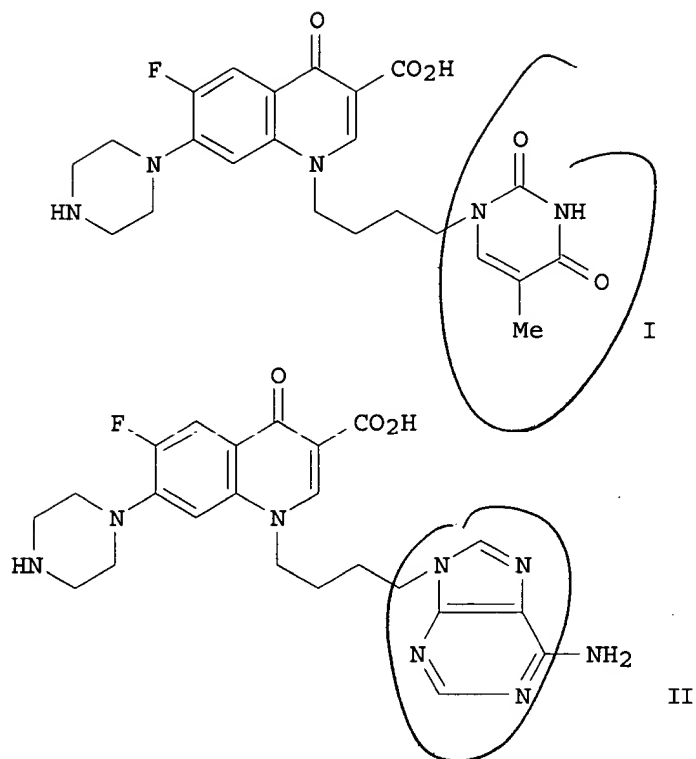
SOURCE: Bioorg. Med. Chem. Lett. (1996), 6(19),  
2333-2338

CODEN: BMCLE8; ISSN: 0960-894X

DOCUMENT TYPE: Journal

LANGUAGE: English

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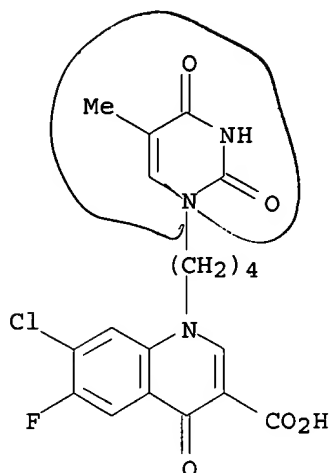
AB Previously, quinolinones were reported to exhibit preferential binding to unpaired guanidine bases in a single-stranded DNA region (Shen model). Thus, quinolinone derivs. of nucleic acid base hybrids were synthesized in an effort to probe a mechanistic model and a proposed mode of **antibacterial** action where stacked pairs of quinolones interact with DNA through H-bonding. Example compds. were I and II. However, the model compds. lacked biol. activity.

IT 182627-56-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of [(pyrimidinyl)alkyl]oxoquinolinecarboxylates as probes for DNA-cleaving activity of bactericides)

RN 182627-56-1 CA

CN 3-Quinolinecarboxylic acid, 7-chloro-1-[4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)butyl]-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



IT 182627-56-1P 182627-57-2P 182627-58-3P  
182627-59-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of [(pyrimidinyl)alkyl]oxoquinolinecarboxylates as probes for  
DNA-cleaving activity of bactericides)

L14 ANSWER 12 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 125:142773 CA

TITLE: Novel benzyl pyrimidines with **antibacterial** activity.

INVENTOR(S): Guerry, Philippe; Jolidon, Synese; Masciadri, Raffaello; Stalder, Henri; Then, Rudolf

PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.

SOURCE: PCT Int. Appl., 136 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

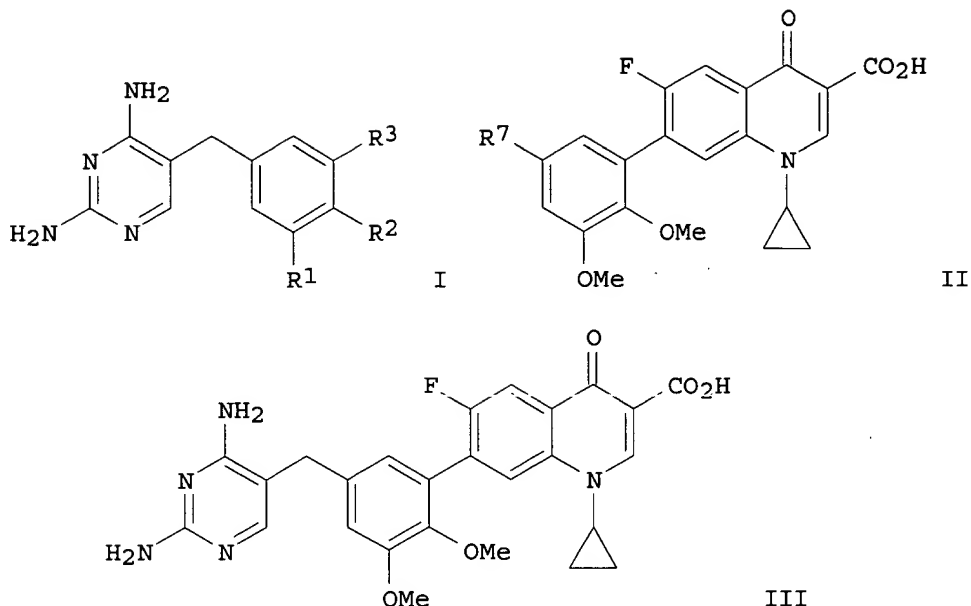
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9616046	A2	19960530	WO 1995-EP4451	19951113 <--
W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9641161	A1	19960617	AU 1996-41161	19951113 <--
AU 704911	B2	19990506		
EP 793656	A1	19970910	EP 1995-939267	19951113 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1166831	A	19971203	CN 1995-196398	19951113 <--
HU 77372	A2	19980330	HU 1997-1973	19951113 <--
BR 9509768	A	19980707	BR 1995-9768	19951113 <--
JP 11507009	T2	19990622	JP 1996-516521	19951113 <--
US 5763450	A	19980609	US 1997-836857	19970521 <--
FI 9702194	A	19970522	FI 1997-2194	19970522 <--
NO 9702393	A	19970529	NO 1997-2393	19970526 <--
PRIORITY APPLN. INFO.:			CH 1994-3536	A 19941124
			CH 1995-2704	A 19950925

OTHER SOURCE(S):  
GI

MARPAT 125:142773



AB Substituted 5-benzyl-2,4-diaminopyrimidines of formula I [R1 = alkoxy; R2 = Br or alkoxy; R3 = aryl, heteroaryl, QR4; Q = CH<sub>2</sub>CH<sub>2</sub>, CH:CH, C.tplbond.C; R4 = aryl, heteroaryl, alkoxycarbonyl, or carbamoyl], and their readily hydrolyzable esters and **pharmaceutically** acceptable salts, can be used in the control or prevention of infectious diseases. Prepns. of approx. 250 example compds. and many intermediates are described, plus bioassay results for selected compds. against 3 organisms. For example, quinoline deriv. II [R7 = CHO] was condensed with PhNHCH<sub>2</sub>CH<sub>2</sub>CN in DMSO in the presence of KOBu-tert to give 98% II [R7 = PhNHCH: C(CN)CH<sub>2</sub>]. This was then cyclocondensed with guanidine-HCl in EtOH in the presence of KOBu-tert to give 44% title compd. III, which was isolated as the trifluoroacetate (IV). IV inhibited purified dihydrofolate reductase (DHFR) of *Staphylococcus aureus* ATCC 25923 and *S. aureus* 157/4696 with IC<sub>50</sub> values of 0.0009 and 0.0500 .mu.M, resp., vs. 0.0340 .mu.M for trimethoprim. IV also had IC<sub>50</sub> of 0.0190 .mu.M against DHFR of *Pneumocystis carinii*, vs. 43.0 .mu.M for trimethoprim.

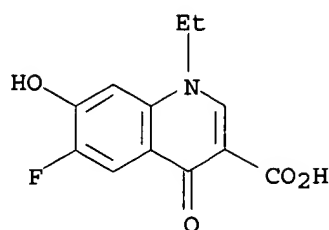
IT **126093-18-3P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(intermediate; prepn. of novel benzylpyrimidines as  
**antibacterials**)

RN 126093-18-3 CA

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-hydroxy-4-oxo-  
(9CI) (CA INDEX NAME)





IT 126093-18-3P 179942-67-7P 179942-68-8P  
 179942-69-9P 179942-71-3P 179942-72-4P  
 179942-73-5P 179942-74-6P 179942-80-4P  
 179942-81-5P 179942-82-6P 179942-83-7P  
 179942-84-8P 179942-85-9P 179942-86-0P  
 179942-87-1P 179942-88-2P 179942-89-3P  
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 179942-94-0P 179942-95-1P 179942-96-2P  
 179942-97-3P 179942-98-4P 179942-99-5P  
 179943-01-2P 179943-04-5P 179943-05-6P  
 179943-16-9P 179943-17-0P 179943-20-5P  
 179943-31-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (intermediate; prepn. of novel benzylpyrimidines as  
**antibacterials**)

IT 131993-96-9 148122-56-9 179943-55-6  
 179943-57-8, Ethyl 7-bromo-4-hydroxyquinoline-3-carboxylate

RL: RCT (Reactant)  
 (starting material; prepn. of novel benzylpyrimidines as  
**antibacterials**)

L14 ANSWER 13 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 124:75457 CA

TITLE: Single- and multiple-dose **pharmacokinetics**  
 of AM-1155, a new 6-fluoro-8-methoxy quinolone, in  
 humans

AUTHOR(S): Nakashima, Mitsuyoshi; Uematsu, Toshihiko; Kosuge,  
 Kazuhiro; Kusajima, Hisao; Ooie, Tsuyoshi; Masuda,  
 Yuichi; Ishida, Ryoza; Uchida, Hiroshi

CORPORATE SOURCE: Dep. Pharmacol., Hamamatsu Univ. Sch. Med., Hamamatsu,  
 431-31, Japan

SOURCE: Antimicrob. Agents Chemother. (1995),  
 39(12), 2635-40

CODEN: AMACCQ; ISSN: 0066-4804

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The **pharmacokinetics** of AM-1155, a new 6-fluoro-8-methoxy  
 quinolone, was examd. in healthy male volunteers after the oral  
 administration of a single dose of 100, 200, 400, or 600 mg and multiple  
 doses of 300 mg twice daily for 6.5 days (13 total doses). Throughout the  
 whole study period, AM-1155 was well tolerated in every subject. In the  
 single-dose study, the concns. in serum reached a peak between 1 and 2 h,  
 and the peak concns. were 0.873, 1.71, 3.35, and 5.41 .mu.g/mL at the  
 doses of 100, 200, 400, and 600 mg, resp. The elimination half-life was 7  
 to 8 h, independently of the doses. The unchanged drug was excreted  
 mainly in the urine, with 82 to 88% of the doses appearing for 72 h. The  
 fecal recovery of the unchanged drug amounted to 5.7% for 72 h after a  
 single oral administration of a 400-mg dose. Urinary excretion of  
 metabolites was minimal. The serum protein binding was 20%, independently

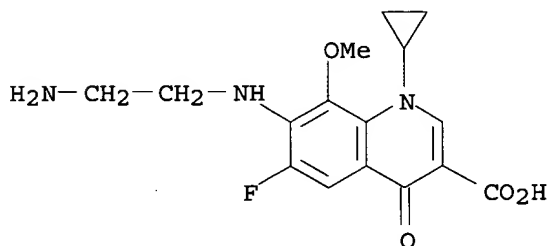
of the concns. in serum. The concns. in saliva were approx. 80% of those in serum. The intake of food had no effect on the **pharmacokinetic** parameters and urinary excretion of AM-1155 except the slight decrease in area under the concn.-time curve. The concurrent administration of probenecid prolonged the elimination half-life, increased the area under the concn.-time curve, and decreased the apparent total body clearance, renal clearance, urinary recovery of unchanged drug, and the excretion ratio (intrinsic renal clearance of AM-1155/creatinine clearance). This indicated that the tubular secretion contributed to the renal excretion of AM-1155. In the multiple-dose study, the concns. of AM-1155 in serum and urine reached a steady state within 2 to 3 days. The measured concns. in serum fitted well the simulation curve, which reflected the persistence of linear **pharmacokinetics** of AM-1155. In conclusion, AM-1155 is expected to be clin. useful because of its potent **antibacterial** activity and favorable **pharmacokinetics**.

IT 172426-86-7

RL: BPR (Biological process); BIOL (Biological study); PROC (Process)  
(single- and multiple-dose **pharmacokinetics** of  
6-fluoro-8-methoxy quinolone AM-1155 in humans)

RN 172426-86-7 CA

CN 3-Quinolonecarboxylic acid, 7-[(2-aminoethyl)amino]-1-cyclopropyl-6-fluoro-  
1,4-dihydro-8-methoxy-4-oxo- (9CI) (CA INDEX NAME)



IT 172426-86-7 172426-87-8 172426-88-9

RL: BPR (Biological process); BIOL (Biological study); PROC (Process)  
(single- and multiple-dose **pharmacokinetics** of  
6-fluoro-8-methoxy quinolone AM-1155 in humans)

L14 ANSWER 14 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 123:187608 CA

TITLE: **Pharmacokinetics** of grepafloxacin. IV.  
Metabolism after oral administration of grepafloxacin  
in rats, monkeys, and humans

AUTHOR(S): Akiyama, Hitoshi; Koike, Masami; Kyuushiki, Kazuyo;  
Suzuki, Takashi; Kusumoto, Naotoshi; Morita, Seiji;  
Odomi, Masaaki

CORPORATE SOURCE: Tokushima Res. Inst., Otsuka Pharm. Co., Ltd.,  
Tokushima, 771-01, Japan

SOURCE: Nippon Kagaku Ryoho Gakkai Zasshi (1995),  
43(Suppl. 1), 131-49  
CODEN: NKRZE5

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

AB The metab. after oral administration of grepafloxacin (GPFX) or [14C]GPFX was investigated in rats, monkeys, and humans. The metabolites of GPFX identified using human urine and rat urine and bile were 2 GPFX glucuronic acid conjugates (3-glucuronide and 4'-glucuronide), one GPFX sulfate conjugate (4'-sulfate), 4 metabolites with a metabolized 3-methylpiperazinyl ring (DM-1704, DM-1705, DM-1706, and DM-1725) and 2

5-hydroxymethyl-type metabolites (DM-1722 and DM-1723). The main metabolite of GPFX in human plasma was DM-1705. The metabolites excreted in urine during the period of 0-72 h after dosing were 3-glucuronide (4.0% of the administered dose), 4'-glucuronide (3.5%), DM-1705 (3.0%), DM-1704 (1.3%), 4'-sulfate (1.0%) and DM-1706 (0.2%). The metabolites excreted in feces during the period of 0-72 h after dosing were DM-1705 (2.6%), DM-1704 (2.1%), DM-1725 (1.9%), DM-1706 (1.8%), and the 4'-sulfate (1.3%). The main metabolite of GPFX in plasma in both male and female rats was the 3-glucuronide. The main metabolite in urine was DM-1723 in male rats and the 3-glucuronide in female rats. The main metabolite in feces in both sexes was the 4'-sulfate. The main metabolite in bile in male rats was the 3-glucuronide. GPFX in male rat lungs accounted for more than 90.5% of the total radioactivity in the lungs. The main metabolites in monkey plasma, urine, and feces were DM-1704, DM-1704, and the 4'-sulfate, resp.

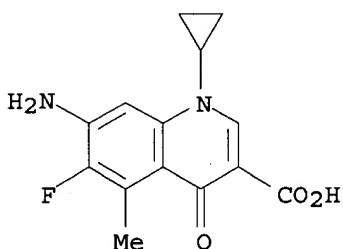
IT 149602-49-3, DM 1706

RL: MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)

(metab. of **antibacterial** grepafloxacin in lab. animals and humans and its sex-related difference)

RN 149602-49-3 CA

CN 3-Quinolonecarboxylic acid, 7-amino-1-cyclopropyl-6-fluoro-1,4-dihydro-5-methyl-4-oxo- (9CI) (CA INDEX NAME)



IT 149602-49-3, DM 1706 167971-92-8, DM 1705

167971-93-9, DM 1704 167971-94-0, DM 1725

RL: MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)

(metab. of **antibacterial** grepafloxacin in lab. animals and humans and its sex-related difference)

IT 149602-60-8, DM 1722

RL: MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)

(metabolite; metab. of **antibacterial** grepafloxacin in lab. animals and humans and its sex-related difference)

L14 ANSWER 15 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 123:169481 CA

TITLE: (Fluorocyclopropyl)quinolones. 2. Synthesis and Stereochemical Structure-Activity Relationships of Chiral 7-(7-Amino-5-azaspiro[2.4]heptan-5-yl)-1-(2-fluorocyclopropyl)quinolone **Antibacterial** Agents

AUTHOR(S): Kimura, Youichi; Atarashi, Shohgo; Kawakami, Katsuhiko; Sato, Kenichi; Hayakawa, Isao  
CORPORATE SOURCE: Exploratory Research Laboratories I, Daiichi Pharmaceutical Co. Ltd., Tokyo, 134, Japan

SOURCE: J. Med. Chem. (1994), 37(20), 3344-52

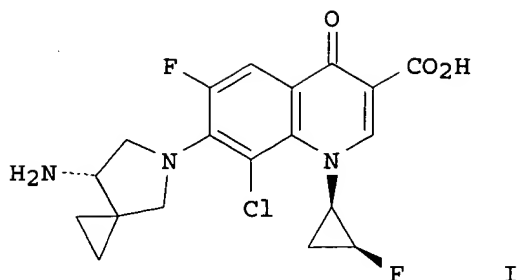
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

09/919,347

LANGUAGE:  
GI

English



AB A series of novel chiral 7-(7-amino-5-azaspiro[2.4]heptan-5-yl)-8-chloro-1-(2-fluorocyclopropyl)quinolones were synthesized as a continuation of a research project of 1-(2-fluorocyclopropyl)quinolones by considering stereochem. and physicochem. properties of the mol. Abs. configurations of the 1-(cis-2-fluorocyclopropyl) moiety and the 7-(7-amino-5-azaspiro[2.4]heptan-5-yl) moiety were detd. by x-ray crystallog. anal. Stereochem. structure-activity relationship studies indicated that 1-[(1R,2S)-2-fluorocyclopropyl] and 7-[(7S)-amino-5-azaspiro[2.4]heptan-5-yl] derivs. are more potent against Gram-pos. and Gram-neg. bacteria than the other stereoisomers and 7-[(7S)-7-amino-5-azaspiro[2.4]heptan-5-yl]-8-chloro-1-[(1R,2S)-2-fluorocyclopropyl]quinolone (I) is the most potent of all stereoisomers. **Pharmacokinetic** profiles and physicochem. properties of the selected compds. were also examd., and it was found that I (DU-6859a) possesses moderate lipophilicity and good **pharmacokinetic** profiles.

IT 127199-25-1P

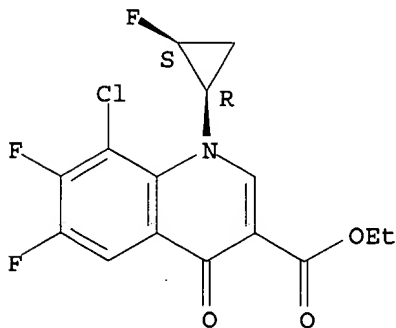
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(synthesis and stereochem. structure-activity relationships of chiral (aminoazaspiroheptanyl) (fluorocyclopropyl)quinolone **antibacterial** agents)

RN 127199-25-1 CA

CN 3-Quinolinecarboxylic acid, 8-chloro-6,7-difluoro-1-(2-fluorocyclopropyl)-1,4-dihydro-4-oxo-, ethyl ester, (1R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 127199-25-1P

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP

## (Preparation)

(synthesis and stereochem. structure-activity relationships of chiral  
(aminoazaspiroheptanyl) (fluorocyclopropyl) quinolone  
antibacterial agents)

IT 127199-24-0P 127199-26-2P 127199-27-3P  
167073-12-3P 167073-13-4P 167073-14-5P  
167073-15-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(synthesis and stereochem. structure-activity relationships of chiral  
(aminoazaspiroheptanyl) (fluorocyclopropyl) quinolone  
antibacterial agents)

L14 ANSWER 16 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 123:32969 CA

TITLE: Novel quinolone carboxylic acid derivatives

INVENTOR(S): Yoon, Sung June; Chung, Yong Ho; Lee, Chi Woo; Oh,  
Yoon Seok; Choi, Dong Rack; Kim, Nam Doo

PATENT ASSIGNEE(S): Dong Wha Pharmaceutical Industrial Co., Ltd., S. Korea

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9505373	A1	19950223	WO 1994-KR6	19940121 <--
W: AU, BG, BR, CA, CN, CZ, FI, HU, JP, NO, RO, RU, SK				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2168764	AA	19950223	CA 1994-2168764	19940121 <--
AU 9458666	A1	19950314	AU 1994-58666	19940121 <--
AU 679961	B2	19970717		
EP 713487	A1	19960529	EP 1994-904772	19940121 <--
EP 713487	B1	20000419		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, PT, SE				
CN 1128995	A	19960814	CN 1994-193069	19940121 <--
CN 1041202	B	19981216		
BR 9407283	A	19961001	BR 1994-7283	19940121 <--
JP 09500143	T2	19970107	JP 1994-506869	19940121 <--
JP 2758722	B2	19980528		
HU 75640	A2	19970528	HU 1996-322	19940121 <--
HU 216803	B	19990830		
RU 2120941	C1	19981027	RU 1996-104271	19940121 <--
AT 191911	E	20000515	AT 1994-904772	19940121 <--
US 5496947	A	19960305	US 1994-193475	19940208 <--
FI 9600634	A	19960212	FI 1996-634	19960212 <--
PRIORITY APPLN. INFO.:			KR 1993-15724	19930813
			WO 1994-KR6	19940121
			US 1994-193475	19940208

OTHER SOURCE(S): CASREACT 123:32969; MARPAT 123:32969

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to novel quinolone and naphthyridinone carboxylic acid derivs. I and their **pharmaceutically** acceptable salts and

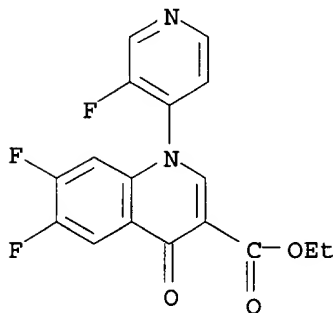
hydrates [in which X = CH, CF, or N; Y = H, Me; R1 = H, C1-5 alkyl; R2 = 3-fluoro-4-pyridyl or 5-fluoro-2-pyridyl; R3 = Q1 or Q2; R4 = amino group [racemic or (S)-configuration]; R5, R6, R7 = H, C1-3 alkyl]. In addn. to having a broad **antibacterial** spectrum, some I show (no data) excellent **pharmacokinetics**, superior to ciprofloxacin and ofloxacin, and low toxicity. I are prepd. by condensation of cyclic amines HR3 with corresponding leaving-group-substituted quinolone derivs. in a solvent (pyridine, MeCN, or DMF) and in the presence of an acid-acceptor or excess HR3. For example, condensation of Et 2,4,5-trifluorobenzoylacetate with HC(OEt)3 in Ac2O and then with 4-amino-3-fluoropyridine gave the .beta.-aminoacrylate II. This which underwent cyclization in the presence of K2CO3 and 18-crown-6 in MeCN, hydrolysis of the ester function in aq.-alc. HCl, and condensation with piperazine in pyridine in the presence of DBU, to give title compd. III.HCl. The similarly prepd. compd. IV.HCl was among the most active against 17 bacteria, e.g., an MIC of 0.156 .mu.g/mL against *Pseudomonas aeruginosa* ATCC27853.

IT 164151-04-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(intermediate; prepn. of fluoropyridyl quinolones and naphthyridinones as **antibacterials**)

RN 164151-04-6 CA

CN 3-Quinolonecarboxylic acid, 6,7-difluoro-1-(3-fluoro-4-pyridinyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



IT 164151-04-6P 164151-07-9P 164151-08-0P

164151-09-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(intermediate; prepn. of fluoropyridyl quinolones and naphthyridinones as **antibacterials**)

L14 ANSWER 17 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER:

122:55819 CA

TITLE:

Heterocyclic hydrazide derivatives of monocyclic  
.beta.-lactam antibiotics

INVENTOR(S):

Ermann, Peter H.; Straub, Henner

PATENT ASSIGNEE(S):

Squibb, E. R., and Sons, Inc., USA

SOURCE:

U.S., 20 pp. Cont. of U.S. Ser. No. 410,217,  
abandoned.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.

KIND

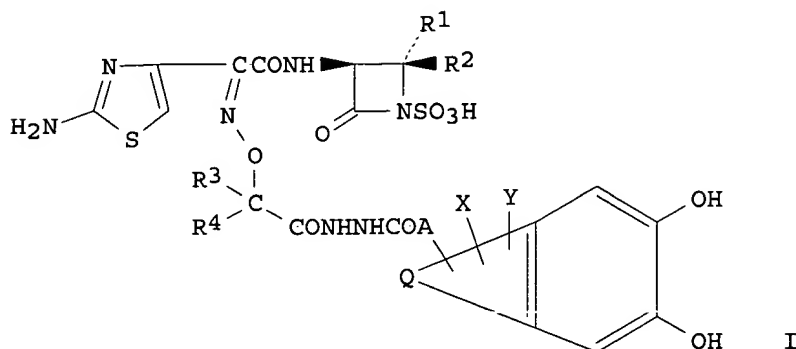
DATE

APPLICATION NO.

DATE

09/919,347

US 5318963	A	19940607	US 1990-620170	19901130 <--
CA 2024282	AA	19910322	CA 1990-2024282	19900830 <--
JP 03120276	A2	19910522	JP 1990-254057	19900921 <--
PRIORITY APPLN. INFO.:			US 1989-410217	19890921
OTHER SOURCE(S):		MARPAT 122:55819		
GI				

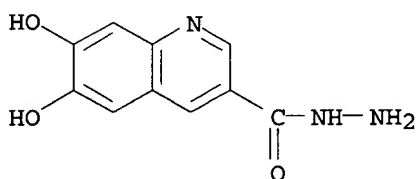


AB **Antibacterial** (no data) compds. (I) and **pharmaceutically** acceptable salts thereof, wherein: A is a bond or alkylene; Q completes a 5- or 6-membered satd. or unsatd. (including arom.) heterocyclic ring having one or two heteroatoms in the ring selected from nitrogen, NR5 .tplbond.N+R6, sulfur or oxygen; X is attached to an available carbon atom in the heterocyclic ring and is hydrogen, amino, hydroxyl, halogen, carboxamide, nitrile, or carboxyl, except that Y is not carboxyl when the bicyclic ring completed by Q is 2-quinolyl, 3-quinolyl, or quinoxalyl; and the remaining symbols are as defined in the specification.

IT **135214-98-1P**, 6,7-Dihydroxy-3-quinolinecarboxylic acid, hydrazide  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and reaction of, in prepn. of heterocyclic hydrazide derivs. of monocyclic .beta.-lactam antibiotics)

RN 135214-98-1 CA

CN 3-Quinolinecarboxylic acid, 6,7-dihydroxy-, hydrazide (9CI) (CA INDEX NAME)



IT **135214-98-1P**, 6,7-Dihydroxy-3-quinolinecarboxylic acid, hydrazide  
**135215-09-7P**, 1,4-Dihydro-1,6,7-trihydroxy-4-oxo-3-quinolinecarboxylic acid, hydrazide **135215-15-5P**, 3- (Hydrazinocarbonyl) -6,7-dihydroxy-4-oxo-1(4H) -quinolineacetic acid  
**135215-18-8P**, 1,4-Dihydro-6,7-dihydroxy-4-oxo-2,3-quinolinedicarboxylic acid **136135-38-1P**, 6,7-Dihydroxy-3-quinolinecarboxylic acid, hydrazide, monohydrobromide **136135-41-6P**, 1,4-Dihydro-6,7-dihydroxy-4-oxo-3-quinolinecarboxylic acid, hydrazide, monohydrobromide  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and reaction of, in prepn. of heterocyclic hydrazide derivs. of

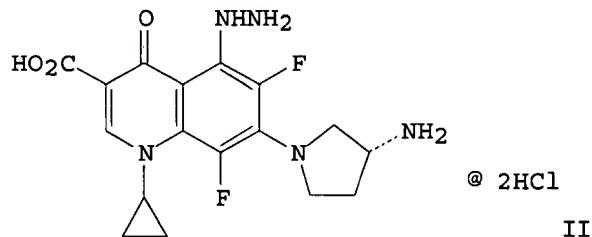
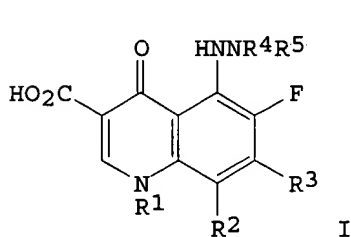
09/919,347

monocyclic .beta.-lactam antibiotics)  
IT 136135-25-6 136135-29-0 136135-30-3  
159911-05-4 159911-08-7 159911-09-8  
159989-67-0  
RL: RCT (Reactant)  
(prepn. as heterocyclic hydrazide deriv. of monocyclic .beta.-lactam antibiotics)

L14 ANSWER 18 OF 35 CA COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 121:108551 CA  
TITLE: Preparation of antimicrobial 5-hydrazinoquinolone derivatives  
INVENTOR(S): Demuth, Thomas Prosser, Jr.; White, Ronald Eugene  
PATENT ASSIGNEE(S): Procter and Gamble Co., USA  
SOURCE: PCT Int. Appl., 47 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9410163	A1	19940511	WO 1993-US10091	19931022 <--
W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
IL 107323	A1	20000601	IL 1993-107323	19931019 <--
CA 2148003	AA	19940511	CA 1993-2148003	19931022 <--
AU 9454097	A1	19940524	AU 1994-54097	19931022 <--
AU 687018	B2	19980219		
EP 666853	A1	19950816	EP 1993-924393	19931022 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08502750	T2	19960326	JP 1993-511167	19931022 <--
HU 72072	A2	19960328	HU 1995-1237	19931022 <--
CZ 282581	B6	19970813	CZ 1995-1097	19931022 <--
RU 2126000	C1	19990210	RU 1995-110762	19931022 <--
BR 9307347	A	19990525	BR 1993-7347	19931022 <--
PL 178094	B1	20000229	PL 1993-308671	19931022 <--
ZA 9308089	A	19940607	ZA 1993-8089	19931029 <--
CN 1092773	A	19940928	CN 1993-120224	19931030 <--
CN 1064357	B	20010411		
FI 9502049	A	19950428	FI 1995-2049	19950428 <--
NO 9501640	A	19950630	NO 1995-1640	19950428 <--
PRIORITY APPLN. INFO.:			US 1992-968960	A 19921030
			WO 1993-US10091	W 19931022

OTHER SOURCE(S): MARPAT 121:108551  
GI





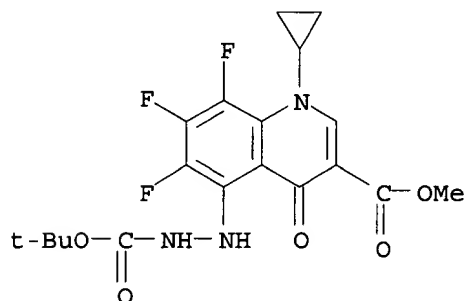
AB Title compds. I (R1 = alkyl, alkenyl, carbocyclyl, heterocyclyl, R7R6N wherein R6, R7 = H, alkyl, alkenyl, carbocyclyl, heterocyclyl, R6R7N = heterocyclyl; R2 = H, halo, alkyl, alkoxy, R1R2 = 6-membered heterocyclyl; R3 = carbocyclyl, heterocyclyl; R4, R5 = H, alkyl, cycloalkyl, heteroalkyl, COXR8 wherein X = a covalent bond, N, O, S, R8 = alkyl, alkenyl, arylalkyl, carbocyclyl, heterocyclyl, R4R5N = heterocyclyl), salts, biohydrolyzable esters and solvates thereof, useful as antimicrobials (no data), are prepd. Me propiolate, THF and cyclopropylamine in THF were reacted to give Me 3-(cyclopropylamino)-2-propenoate which in 6 steps was converted to II. **Pharmaceutical** formulations comprising I are given.

IT 156750-64-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, in prepn. of **antibacterials**)

RN 156750-64-0 CA

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-5-[2-[(1,1-dimethylethoxy)carbonyl]hydrazino]-6,7,8-trifluoro-1,4-dihydro-4-oxo-, methyl ester (9CI) (CA INDEX NAME)



IT 156750-64-0P 156750-65-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, in prepn. of **antibacterials**)

L14 ANSWER 19 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 121:57512 CA

TITLE: Preparation of 7-substituted-6-fluoro-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid compounds and related compounds as **antibacterial** agents

INVENTOR(S): Singh, Rajeshwar; Fathi-Afshar, Rakhshandeh; Singh, Inder Pal; Thomas, George; Doerksen, Thomas Roger; Singh, Maya Prakash; Micetich, Ronald George

PATENT ASSIGNEE(S): Synphar Laboratories, Inc., Can.

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9324481	A1	19931209	WO 1993-CA231	19930531 <--
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				

09/919,347

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,  
BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

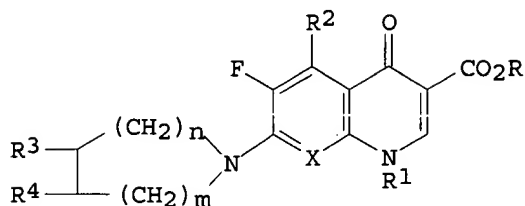
US 5342846	A	19940830	US 1992-913505	19920714	<--
AU 9343029	A1	19931230	AU 1993-43029	19930531	<--
JP 08501063	T2	19960206	JP 1993-500050	19930531	<--

PRIORITY APPLN. INFO.:

US 1992-891262	A	19920601
US 1992-913505	A	19920714
US 1990-621716	B2	19901205
WO 1993-CA231	A	19930531

OTHER SOURCE(S): MARPAT 121:57512

GI



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AB Title compds. I (R = H, C1-4 alkyl group; R1 (substituted) C3-C6 cycloalkyl, (substituted) Ph (substituted) C1-C4 alkyl; R2 = H, halo, C1-C4 alkyl, HO, H2N; R3 = H, HO, H2N; R4 = 1,2,3-, 1,2,4-triazol-1-yl, 1,2,3,4-tetrazol-1-yl, 1,2,3,4-tetrazol-2-yl, each of which may have 1 to 2 substituents; X = N, HC, FC, MeOC; m = 1,2; n = 0-2; etc.) or a **pharmaceutical salt**, are prepd. Et 7-chloro-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-1,8-naphthyridine-3-carboxylate (prepn. given) and cis-3-amino-4-(1,2,3-triazol-1-yl)pyrrolidine (prepn. given) were reacted in pyridine to give I (R = Et, R1 = cyclopropyl, R2 = H, R3 = H2N, R4 = 1,2,3-triazol-1-yl, X = N, m = n = 1) which in test for **antibacterial** activity showed a min. inhibitory concn. of 0.008, 0.03, 0.25, 0.25, 2 .mu.g/mL against Staphylococcus aureus, Escherichia coli, Enterobacter cloacae, Klebsiella pneumoniae and Pseudomonas aeruginosa, resp.

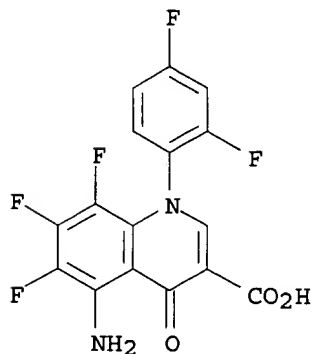
IT 127452-19-1

RL: RCT (Reactant)

(reaction of, in prepn. of **antibacterials**)

RN 127452-19-1 CA

CN 3-Quinolinecarboxylic acid, 5-amino-1-(2,4-difluorophenyl)-6,7,8-trifluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



09/919,347

IT 127452-19-1  
RL: RCT (Reactant)  
(reaction of, in prepn. of **antibacterials**)

L14 ANSWER 20 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 120:235316 CA

TITLE: **Pharmacokinetics** of temafloxacin in humans.

Quantification of metabolites and enantiomers

AUTHOR(S): Matsuoka, Masayuki; Mano, Hideyuki; Fujimoto, Yuzo;  
Yaku, Koji; Banno, Kiyoshi; Nishimura, Noriyuki;  
Kakimoto, Toshio; Matsushita, Tadahiro

CORPORATE SOURCE: Anal. Chem. Res. Lab., Tanabe Seiyaku Co., Ltd.,  
Osaka, 532, Japan

SOURCE: Chemotherapy (Tokyo) (1993), 41(Suppl. 5),  
260-72

CODEN: NKRZAZ; ISSN: 0009-3165

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

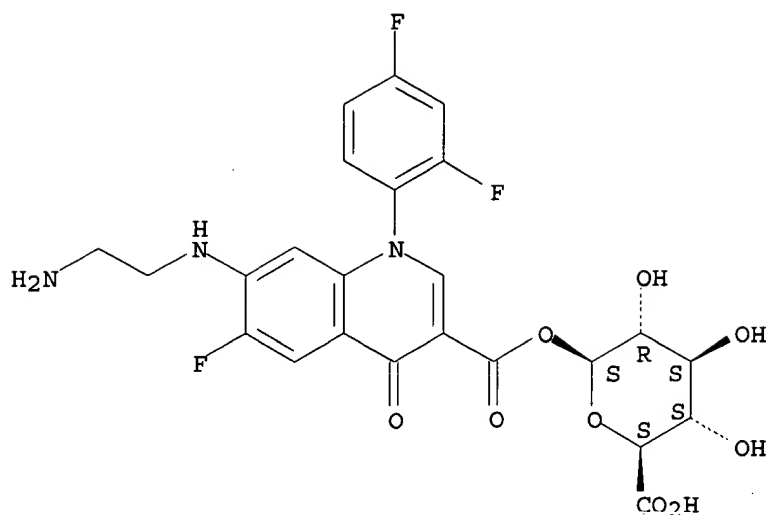
AB The **pharmacokinetics** of temafloxacin (TMFX) was studied by a single oral administration of the drug to healthy volunteers at a dose of 600 mg. Av. serum levels of TMFX reached the max. concn. at 2 h after oral dosing, then declined with a half-life of 6.6 h. When TMFX was administered orally, 65% of the dose was excreted as unchanged TMFX in urine within 48 h after dosing. In addn. to unchanged TMFX, 3 metabolites (AQ: aminoquinolone form, EDA: ethylenediamine form, and MEDA: methylethylenediamine form), which were formed by oxidn. at the piperazine ring, were found in serum and urine. Glucuronic acid conjugates of TMFX and its metabolites were also found. The amt. of these metabolites were small. The time-course of the serum level of (S)-(-)-TMFX was similar to that of (R)-(+)-TMFX. The **antibacterial** activities of metabolites were less potent than those of TMFX. No difference in **antibacterial** activities between enantiomers was obsd.

IT 154499-40-8  
RL: BIOL (Biological study)  
(as temafloxacin metabolite)

RN 154499-40-8 CA

CN .beta.-D-Glucopyranuronic acid, 1-[7-[(2-aminoethyl)amino]-1-(2,4-difluorophenyl)-6-fluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylate] (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



IT 154499-40-8 154499-41-9 154499-42-0

RL: BIOL (Biological study)  
(as temafloxacin metabolite)

IT 131183-29-4 131183-30-7 133514-78-0

RL: BAC (Biological activity or effector, except adverse); BIOL  
(Biological study)  
(as temafloxacin metabolite, **antibacterial** activity of)

L14 ANSWER 21 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 120:8541 CA

TITLE: Quinolinecarboxylic acids. 3. Synthesis and **antibacterial** evaluation of 2-substituted 7-oxo-2,3-dihydro-7H-pyrido[1,2,3-de][1,4]benzothiazine-6-carboxylic acids related to rufloxacin

AUTHOR(S): Cecchetti, Violetta; Fravolini, Arnaldo; Pagella, Pier Giuseppe; Savino, Angela; Tabarrini, Oriana

CORPORATE SOURCE: Ist. Chim. Farm. Tech. Farm., Univ. Perugia, Perugia, 06123, Italy

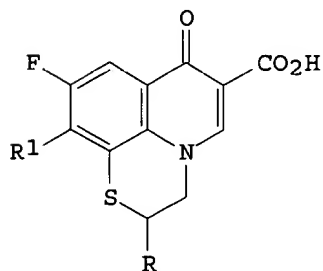
SOURCE: J. Med. Chem. (1993), 36(22), 3449-54

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

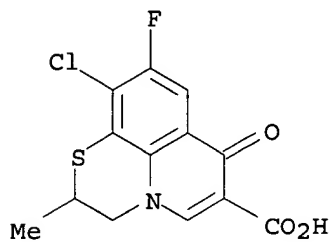
LANGUAGE: English

GI



I

- AB A series of title acids I (R = Me, 2-FC<sub>6</sub>H<sub>4</sub>, 3-FC<sub>6</sub>H<sub>4</sub>, 4-FC<sub>6</sub>H<sub>4</sub>; R<sub>1</sub> = Cl, substituted piperazino, pyrrolidino, imidazol-1-yl, homopiperazin-1-yl) has been prepd. and evaluated for in vitro **antibacterial** activity. These derivs. were less active than corresponding desmethylated analogs I (R = H). Among these derivs., the most active compd. (I; R = Me, R<sub>1</sub> = 4-methylpiperazin-1-yl) (II) was selected for preliminary **pharmacokinetics** in rats. The **pharmacokinetic** data indicated that II was rapidly absorbed and induced lasting plasma and urinary levels. In comparison with rufloxacin, II was excreted in low quantity in urine; a significant amt. of desmethylated piperazinyl urinary metabolite was obsd.
- IT **151295-39-5P**  
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. and **antibacterial** activity of)
- RN 151295-39-5 CA
- CN 7H-Pyrido[1,2,3-de]-1,4-benzothiazine-6-carboxylic acid, 10-chloro-8-fluoro-2,3-dihydro-2-methyl-7-oxo- (9CI) (CA INDEX NAME)



- IT **151295-39-5P 151295-40-8P 151295-41-9P 151295-42-0P**  
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. and **antibacterial** activity of)
- IT **151295-24-8P 151295-25-9P**  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and sapon. of)
- IT **151295-26-0P**  
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and substitution of, with cyclic amines)
- IT **151295-22-6P 151295-23-7P**  
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn., sapon., or S-oxidn. of)

L14 ANSWER 22 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER:

118:6894 CA

TITLE:

Studies on pyridonecarboxylic acids. 1. Synthesis and **antibacterial** evaluation of 7-substituted-6-halo-4-oxo-4H-[1,3]thiazeto[3,2-a]quinoline-3-carboxylic acids

AUTHOR(S):

Segawa, Jun; Kitano, Masahiko; Kazuno, Kenji; Matsuoka, Masato; Shirahase, Ichiro; Ozaki, Masakuni; Matsuda, Masato; Tomii, Yoshifumi; Kise, Masahiro  
Res. Lab., Nippon Shinyak Co., Ltd., Kyoto, 601, Japan  
J. Med. Chem. (1992), 35(25), 4727-38

CORPORATE SOURCE:

SOURCE:

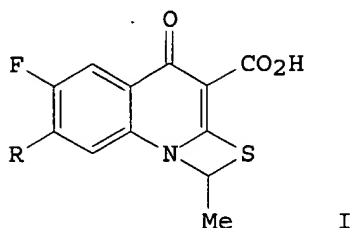
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE:

Journal

09/919,347

LANGUAGE: English  
OTHER SOURCE(S): CASREACT 118:6894  
GI



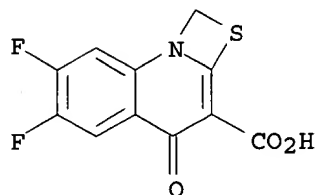
AB A series of [1,3]thiazeto[3,2-a]quinoline-3-carboxylic acids (I) and their esters were prepd. and evaluated for **antibacterial** activity. The derivs. with an H or Me group at C-1, F at C-6, and a piperazinyl or 4-methyl-1-piperazinyl group at C-7 showed superior in vitro **antibacterial** activity, and the derivs. with 4-methyl-1-piperazinyl group at C-7 had potent in vivo activity. I (R = piperazino) (NM394) showed excellent in vitro **antibacterial** activity and low toxicity but poor absorption from the gastrointestinal tract. I [R = (5-methyl-2-oxo-1,3-dioxol-4-yl)methylpiperazino) (NM441) had a favorable **pharmacokinetic** profile and oral activity superior to that of ciprofloxacin in exptl. animals.

IT 144514-26-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and amination of, with cyclic amines)

RN 144514-26-1 CA

CN 1H,4H-[1,3]Thiazeto[3,2-a]quinoline-3-carboxylic acid, 6,7-difluoro-4-oxo-  
(9CI) (CA INDEX NAME)



IT 144514-26-1P 144514-27-2P 144514-28-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and amination of, with cyclic amines)

IT 144514-44-3P 144514-45-4P 144514-46-5P  
144514-47-6P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and bactericidal activity of)

IT 144514-19-2P 144514-20-5P 144514-21-6P  
144514-22-7P 144514-23-8P 144514-24-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn., bactericidal activity, hydrolysis or amination of, with cyclic amines)

L14 ANSWER 23 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 116:214537 CA

TITLE: Novel quinolinecarboxylic acid derivatives, use

thereof, **antibacterial** agent containing the same, process for preparing said compounds and intermediate compound

INVENTOR(S): Kondo, Hirosato; Taguchi, Masahiro; Jinbo, Yoshikazu; Inoue, Yoshimasa; Kotera, Yasuo; Sakamoto, Fumio

PATENT ASSIGNEE(S): Kanebo, Ltd., Japan

SOURCE: Eur. Pat. Appl., 61 pp.  
CODEN: EPXXDW

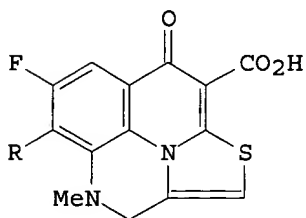
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 472826	A2	19920304	EP 1991-108818	19910529 <--
EP 472826	A3	19920429		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 1332171	A1	19940927	CA 1988-584402	19881129 <--
US 5166203	A	19921124	US 1991-703739	19910512 <--
CA 2043104	AA	19920301	CA 1991-2043104	19910523 <--
JP 04352788	A2	19921207	JP 1991-155264	19910530 <--
CN 1059339	A	19920311	CN 1991-103856	19910608 <--
US 5191079	A	19930302	US 1992-907184	19920630 <--
PRIORITY APPLN. INFO.:			JP 1990-229651	19900830
			US 1991-703739	19910512
OTHER SOURCE(S):			MARPAT 116:214537	
GI				

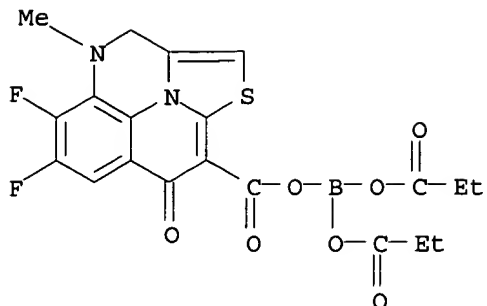


AB Bactericidal quinolinecarboxylic acids I [R = 2-(Me, halomethyl, HOCH<sub>2</sub>, or alkoxyethyl), morpholino, 3-(halomethyl, HOCH<sub>2</sub>, or alkoxyethyl)-4-alkyl-1-piperazinyl, 4-(HO, dialkylamino, or oxo)piperidino, or 1,8-diaza-4-oxabicyclo[4.4.0]dec-8-yl] and their **pharmaceutically** acceptable salts were prepd. Thus, treatment of I (R = F) Et ester with triacetoxyborane gave the diacetoxy carboxyborane deriv., which reacted with 2-methylmorpholine hydrochloride in Me<sub>2</sub>SO in the presence of Et<sub>3</sub>N to afford I (R = 2-methylmorpholino). This and 16 other I were tested against a variety of microorganisms.

IT **132305-97-6P**  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and hydrolysis of)

RN 132305-97-6 CA

CN 8H-1-Thia-4,9b-diazacyclopenta[cd]phenalene-9-carboxylic acid, 5,6-difluoro-3,4-dihydro-4-methyl-8-oxo-, monoanhydride with boric acid (H<sub>3</sub>BO<sub>3</sub>), dianhydride with propanoic acid (9CI) (CA INDEX NAME)



IT 132305-97-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and hydrolysis of)

IT 132305-95-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and hydrolysis or reaction of, with triacyloxyborane)

IT 132305-96-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and substitution reaction of, with methyldmorpholine)

IT 132305-99-8P 141108-54-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and substitution reactions of)

L14 ANSWER 24 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER:

115:105485 CA

TITLE:

The synthesis and **pharmacological** profile of  
the stereoisomers of a tricyclic quinolone  
**antibacterial**

AUTHOR(S):

Gerster, John F.; Rohlfing, Steve R.; Rustad, Nancy  
J.; Reiter, Michael J.; Pecore, Sharon E.; Winandy,  
Richard M.; Landmesser, June E.

CORPORATE SOURCE:

3M Cent., Riker Lab., Inc., St. Paul, MN, 55144, USA

SOURCE:

Quinolones (1989), 85-98. Editor(s):

Fernandes, Prabhavathi B. Prous: Barcelona, Spain.

CODEN: 57BAAH

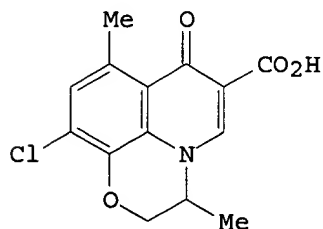
DOCUMENT TYPE:

Conference

LANGUAGE:

English

GI



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AB The tricyclic quinolone, racemic I, exhibits both in vitro **antibacterial** activity and CNS stimulation in mice similar to that seen with amfonelic acid and (+)-amphetamine. The R and S isomers of I were synthesized and their **antibacterial** and **pharmacol** . profiles compared. The **antibacterial** activity and CNS properties of the isomers paralleled one another. Therefore, while other



09/919,347

structural modifications of tricyclic systems can definitely sep. these activities, CNS stimulation cannot be eliminated by sepn. of the R and S stereoisomers.

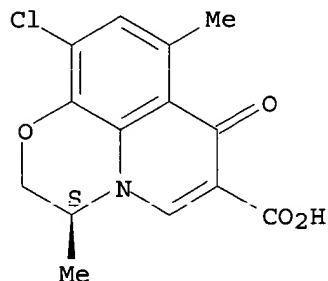
IT 135662-28-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and **antibacterial** and CNS stimulant activities of)

RN 135662-28-1 CA

CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid,  
10-chloro-2,3-dihydro-3,8-dimethyl-7-oxo-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 135662-28-1P 135662-29-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and **antibacterial** and CNS stimulant activities of)

L14 ANSWER 25 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 114:247159 CA

TITLE: 7-(Cycloalkylamino)-6-fluoro-4-oxo-3-quinolinecarboxylic acids: their preparation and bactericidal activity

INVENTOR(S): Bitha, Panayota; Lin, Yang I

PATENT ASSIGNEE(S): American Cyanamid Co., USA

SOURCE: U.S., 5 pp.  
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

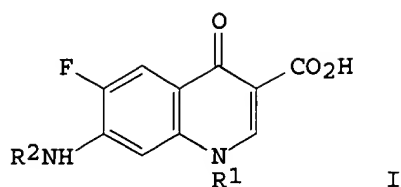
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4992449	A	19910212	US 1990-473498	19900201 <--
EP 439688	A1	19910807	EP 1990-120658	19901029 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE				
CA 2028869	AA	19910802	CA 1990-2028869	19901030 <--
JP 03209368	A2	19910912	JP 1990-306369	19901114 <--

PRIORITY APPLN. INFO.: US 1990-473498 19900102

OTHER SOURCE(S): CASREACT 114:247159; MARPAT 114:247159

GI



AB Title compds. I (R1 = alkyl, cycloalkyl, alkoxy, alkylamino, vinyl, Ph, benzyl, CH<sub>2</sub>CH<sub>2</sub>F, etc; R2 = hydroxycycloalkyl, aminocycloalkyl, alkylcycloalkyl) and their **pharmacol.** acceptable salts are claimed. I are **antibacterial** agents. A mixt. of 1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid (1.33 g) and cis-1,2-diaminocyclohexane (1.73 g) in 10 mL pyridine was heated 1 h to give 1.09 g cis-I (R1 = cyclopropyl, R2 = 2-aminocyclohexyl) (II). II showed activity against strains of *Escherichia coli*, *Klebsiella pneumoniae*, *Staphylococcus aureus*, and other bacteria.

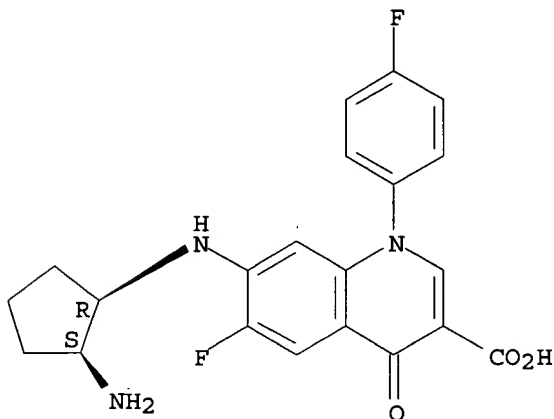
IT 133899-19-1P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and **antibacterial** activity of)

RN 133899-19-1 CA

CN 3-Quinolinecarboxylic acid, 7-[(2-aminocyclopentyl)amino]-6-fluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 133899-19-1P 133899-20-4P 133899-21-5P  
133899-22-6P 133899-23-7P 133899-24-8P  
133963-64-1P 133963-65-2P 134001-32-4P  
134001-33-5P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and **antibacterial** activity of)

IT 133899-25-9P 133899-29-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

L14 ANSWER 26 OF 35 CA COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 114:199008 CA

09/919,347

TITLE: **Pharmacokinetics** of temafloxacin in humans  
after single oral doses  
AUTHOR(S): Granneman, G. Richard; Carpenter, Pierre; Morrison,  
Paul J.; Pernet, Andre G.  
CORPORATE SOURCE: Abbott Lab., Abbott Park, IL, 60064-3500, USA  
SOURCE: Antimicrob. Agents Chemother. (1991), 35(3),  
436-41  
CODEN: AMACCQ; ISSN: 0066-4804  
DOCUMENT TYPE: Journal  
LANGUAGE: English

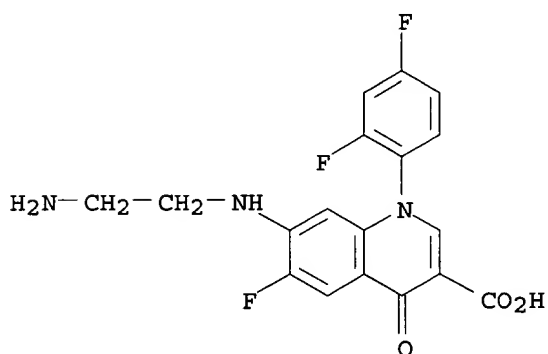
AB Temafloxacin (A-63,004) is a new quinolone **antibacterial** agent with a broad spectrum of activity against gram-pos. and gram-neg. aerobes and anaerobes. The **pharmacokinetics** and metab. of temafloxacin were detd. in healthy volunteers after administration of single oral doses of 100, 200, 400, 600, 800, and 1000 mg. The corresponding peak concns. in plasma were 0.98, 1.61, 2.43, 3.87, 4.54, and 6.67 .mu.g/mL. The times that elapsed to attain peak levels ranged from 1.25 to 3.5 h. Statistical analyses of parameters related to the extent of absorption and the linearity of the dispositional **pharmacokinetics** detected no dose-related trends. Study-wide, total clearance (223 mL/min) and renal clearance (125 mL/min) showed low intersubject variability, with coeffs. of variation near 20%. The terminal-phase rate const. of 0.090 h<sup>-1</sup> corresponds to a half-life of 7.7 h. Temafloxacin was excreted mainly in the urine, with 57% of the dose appearing in the urine unchanged. Conjugated temafloxacin, oxidative metabolites, and conjugates thereof were minor components in urine, collectively accounting for 5 to 8% of the dose. Since i.v. dosed dogs eliminated 50% of the dose by nonrenal processes, urinary recoveries approaching two-thirds of the dose in humans were consistent with high, if not quant., absorption. Reported adverse events were generally mild, were randomly distributed between temafloxacin- and placebo-treated subjects, and were not dose related.

IT 131183-29-4

RL: BIOL (Biological study)  
(as temafloxacin metabolite in humans)

RN 131183-29-4 CA

CN 3-Quinolonecarboxylic acid, 7-[(2-aminoethyl)amino]-1-(2,4-difluorophenyl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



IT 131183-29-4 131183-30-7 133514-78-0

RL: BIOL (Biological study)  
(as temafloxacin metabolite in humans)

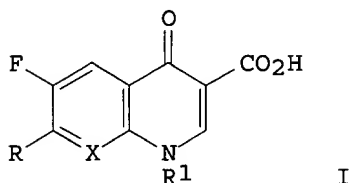
L14 ANSWER 27 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 114:42604 CA

TITLE: Fluoronaphthyridines and -quinolones as

**antibacterial agents.** 3. Synthesis and structure-activity relationships of new 1-(1,1-dimethyl-2-fluoroethyl), 1-[1-methyl-1-(fluoromethyl)-2-fluoroethyl], and 1-[1,1-(difluoromethyl)-2-fluoroethyl] substituted derivatives

AUTHOR(S): Remuzon, P.; Bouzard, D.; Di Cesare, P.; Essiz, M.; Jacquet, J. P.; Kiechel, J. R.; Ledoussal, B.; Kessler, R. E.; Fung-Tomc, J.  
 CORPORATE SOURCE: Cent. Rech. Bristol-Myers Squibb, Marne-la-Vallee, 77422, Fr.  
 SOURCE: J. Med. Chem. (1991), 34(1), 29-37  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 114:42604  
 GI

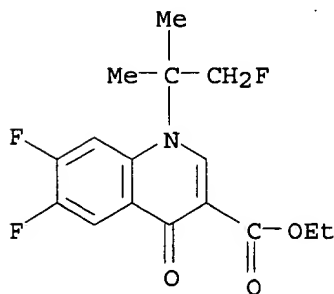


AB The title quinolones and naphthyridines I (R = (un)substituted cyclic amino; R1 = CMe2CH2F, C(CH2F)2Me, C(CH2F)3; X = CH, N) were prepd. as **antibacterial** agents, and compared to the nonfluorinated tert-Bu analogs. The CMe2CH2F group was shown to enhance the in vitro **antibacterial** activity in the quinoline series compared to the CMe3 group, whereas, it decreased it in the naphthyridine series. The best in vitro **antibacterial** activity was found for I [R = (3S)-aminopyrrolidino, R1 = CMe2CH2F, X = CH].

IT **130435-38-0P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and amination of, with piperazine)

RN 130435-38-0 CA

CN 3-Quinolonecarboxylic acid, 6,7-difluoro-1-(2-fluoro-1,1-dimethylethyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



IT **130435-38-0P 130435-39-1P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and amination of, with piperazine)

09/919,347

IT 130436-04-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

L14 ANSWER 28 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 114:6495 CA

TITLE: Preparation of **antibacterial**  
isothiazoloquinoline derivatives

INVENTOR(S): Ito, Yasuo; Kato, Hideo; Etsuchu, Eiichi; Ogawa,  
Nobuo; Yagi, Noriyuki; Yoshida, Toshihiko; Suzuki,  
Tomio

PATENT ASSIGNEE(S): Hokuriku Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

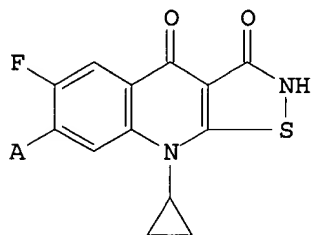
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02178290	A2	19900711	JP 1988-328988	19881228 <--
OTHER SOURCE(S): MARPAT 114:6495				
GI				



AB The title derivs. I [A = R<sup>4</sup>R<sup>5</sup>NCR<sup>2</sup>R<sup>3</sup>(CH<sub>2</sub>)<sub>n</sub>CHR<sup>1</sup>O; R<sup>1</sup>-R<sup>5</sup> = H, lower alkyl; R<sup>1</sup>R<sup>2</sup>, R<sup>2</sup>R<sup>4</sup> = C<sub>3</sub>-5 alkylene; R<sup>1</sup>R<sup>4</sup> = C<sub>2</sub>-4 alkylene; n = 0-2] or their **pharmaceutically** acceptable acid salts are prepd. as agents having broad-spectrum **antibacterial** activity (no data). Thus, a suspension of 0.50 g I (A = F) (prepn. given) in DMF was treated dropwise with a soln. contg. 0.45 g H<sub>2</sub>NCMe<sub>2</sub>CH<sub>2</sub>OH and NaH in DMF at 0.degree. and stirred 1 h at room temp. to give 0.10 g I (A = H<sub>2</sub>NCMe<sub>2</sub>CH<sub>2</sub>O).

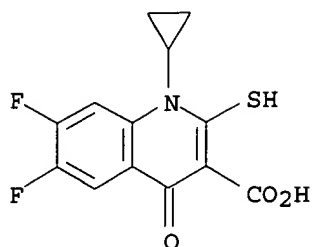
IT 130629-93-5

RL: RCT (Reactant)

(cyclocondensation of, with hydroxylamine sulfonate)

RN 130629-93-5 CA

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,7-difluoro-1,4-dihydro-2-mercapto-4-oxo- (9CI) (CA INDEX NAME)



IT 130629-93-5

RL: RCT (Reactant)

(cyclocondensation of, with hydroxylamine sulfonate)

L14 ANSWER 29 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 113:231366 CA

TITLE: Preparation of **antibacterial**  
isothiazoloquinoline derivativesINVENTOR(S): Ito, Yasuo; Kato, Hideo; Etsuchu, Eiichi; Ogawa,  
Nobuo; Yagi, Noriyuki; Yoshida, Toshihiko

PATENT ASSIGNEE(S): Hokuriku Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

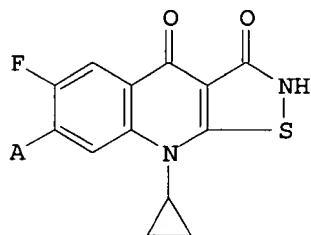
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

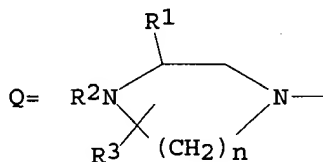
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02174784	A2	19900706	JP 1988-327761	19881227 <--

OTHER SOURCE(S): MARPAT 113:231366

GI



I



AB The title derivs. I [A = Q; R1 = lower (hydroxy)alkyl; R2, R3 = H, lower alkyl; if R1 = Me then R2 = R3 .noteq. H; n = 2, 3] or their **pharmaceutically** acceptable acid salts are prepd. as broad-spectrum **antibacterials** (no data). Thus, a suspension of I (A = F) (prepn. given), 2-hydroxymethylpiperazine (II), and DBU in pyridine was refluxed 3 h, mixed with addnl. II, and then refluxed 1 h to give I (A = 3-hydroxymethyl-1-piperazinyl).

IT 130629-93-5

RL: RCT (Reactant)

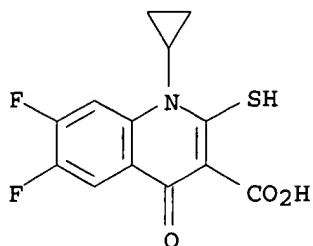
(cyclocondensation of, with hydroxylamine sulfonate)

RN 130629-93-5 CA

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,7-difluoro-1,4-dihydro-2-

09/919,347

mercapto-4-oxo- (9CI) (CA INDEX NAME)



IT 130629-93-5

RL: RCT (Reactant)

(cyclocondensation of, with hydroxylamine sulfonate)

L14 ANSWER 30 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 113:132032 CA

TITLE: Preparation of 8-fluoro and 7,8,10-trifluoro-9-(substituted)-6-oxo-6H-benzo[c]quinolizine-5-carboxylic acids as **antibacterials**

INVENTOR(S): Moran, Daniel B.; Lin, Yang I.; Ziegler, Carl B.

PATENT ASSIGNEE(S): American Cyanamid Co., USA

SOURCE: U.S., 5 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

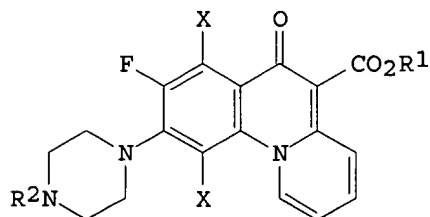
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4923868	A	19900508	US 1989-312153	19890221 <--
US 4948894	A	19900814	US 1989-446747	19891206 <--
PRIORITY APPLN. INFO.:			US 1989-312153	19890221

OTHER SOURCE(S): CASREACT 113:132032; MARPAT 113:132032

GI



I

AB Title compds. I (R1 = H, C1-3 alkyl, alkali metal, alk. earth metal; R2 = H, PhCH2, alkyl; X = H, F), a **pharmaceutically** acceptable salt thereof, were prepd. I (R1 = Et; R2 = Me; X = H) (prepn. given), 0.1N NaOH and EtOH were refluxed for 18 h to give I (R1 = X = H; R2 = Me) (II). II showed a min. inhibitory concn. of 0.5 .mu.g/mL against *Morganella morganii* CMC 84-38 and MOR 84-45.

IT 129356-05-4P

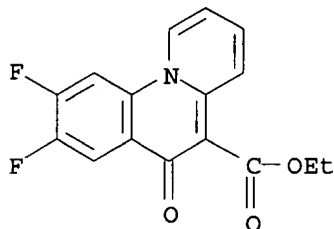
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

09/919,347

(Preparation); USES (Uses)  
(prepn. of, as **antibacterial**)

RN 129356-05-4 CA

CN 6H-Benzo[c]quinolizine-5-carboxylic acid, 8,9-difluoro-6-oxo-, ethyl ester  
(9CI) (CA INDEX NAME)



IT 129356-05-4P 129356-10-1P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of, as **antibacterial**)

L14 ANSWER 31 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 113:132023 CA

TITLE: **Antibacterial** 6-fluoro-1,4-dihydroquinol-4-one-3-carboxylates and intermediates and a process for their preparation

INVENTOR(S): McGuirk, Paul Robert

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: Eur. Pat. Appl., 38 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

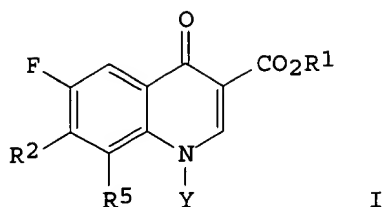
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 348088	A1	19891227	EP 1989-305969	19890613 <--
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5039682	A	19910813	US 1988-209660	19880621 <--
CA 1334756	A1	19950314	CA 1989-603221	19890619 <--
DK 8903036	A	19891222	DK 1989-3036	19890620 <--
FI 8903030	A	19891222	FI 1989-3030	19890620 <--
FI 93008	B	19941031		
FI 93008	C	19950210		
JP 02045469	A2	19900215	JP 1989-158147	19890620 <--
JP 07121914	B4	19951225		
US 5104868	A	19920414	US 1990-575117	19900829 <--
US 5103040	A	19920407	US 1991-706903	19910529 <--
US 5233091	A	19930803	US 1991-707358	19910529 <--

PRIORITY APPLN. INFO.: US 1988-209660 19880621

OTHER SOURCE(S): MARPAT 113:132023

GI





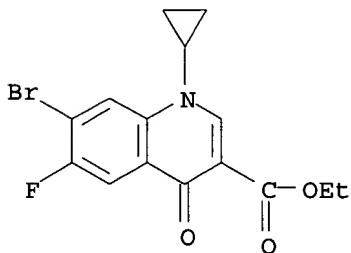
AB **Antibacterial** (no data) title compds. [I; R1 = H, C1-7 alkyl, CH2Ph, **pharmaceutically** acceptable cation; R2 = vinyl, vinyl substituted by W, MeC.tplbond.C, WCH2C.tplbond.C, cyclopropyl (optionally 2-substituted by W); W = R3(CH2)m; m = 1, 2; R3 = OH, NH2, C1-3 alkylamino, alkylsulfonyl, or alkylsulfamoyl, SO2NH2; R5 = H, F, Cl, OMe; Y = C1-3 (halo)alkyl, cyclopropyl, vinyl, p-FC6H4, o,p-F2C6H3; or R5Y = X(CH2)nCHR4; X = CH2, O; n = 0-2; R4 = H, C1-3 (halo)alkyl, CH2OH, hydroxyethyl, aminomethyl, Ph, methylene] were prepd., with some I (Y = cyclopropyl) being prepd. via a novel method and intermediates. Thus, a cuprate prepd. from 3,4-BrFC6H3NH2, BuLi, and CuCN was added to cyclopropyllithium to give N-cyclopropyl-3-bromo-4-fluoroaniline, which underwent condensation with EtOCH:C(CO2Et)2 and cyclization to give Et 1-cyclopropyl-6-fluoro-7-bromo-1,4-dihydroquinol-4-one-3-carboxylate. This was vinylated by CH2:CHMgBr/ZnCl2 and Pd(PPh3)4, and the 7-vinyl compd. cyclopropanated with CH2N2 and Pd(OAc)2 and hydrolyzed with HCl, to give I (R1 = R5 = H, R2 = Y = cyclopropyl).

IT **123942-15-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and reaction of, as intermediate for **antibacterials**)

RN 123942-15-4 CA

CN 3-Quinolonecarboxylic acid, 7-bromo-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



IT **123942-15-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and reaction of, as intermediate for **antibacterials**)

IT **127803-42-3**

RL: RCT (Reactant)  
(reaction of, in prepn. of quinolonecarboxylate **antibacterials**)

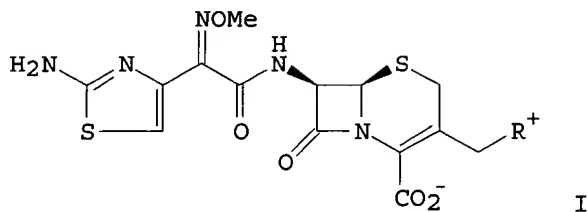
L14 ANSWER 32 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 113:52068 CA

TITLE: Synthesis and biological evaluation of a series of parenteral 3'-quaternary ammonium cephalosporins

AUTHOR(S): Brown, Raymond F.; Kinnick, Michael D.; Morin, John M., Jr.; Vasileff, Robert T.; Counter, Fred T.; Davidson, Edward O.; Ensminger, Paul W.; Eudaly,

Judith A.; Kasher, Jeffrey S.; et al.  
 CORPORATE SOURCE: Lilly Corp. Cent., Eli Lilly and Co., Indianapolis,  
 IN, 46285, USA  
 SOURCE: J. Med. Chem. (1990), 33(8), 2114-21  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 113:52068  
 GI



AB The prepn. and biol. evaluation of a series of 7.beta.-[2-(2-aminothiazol-4-yl)-2(Z)-methoximinoacetamide]cephalosporins (I where R = e.g., pyridinyl, quinolinyl), substituted at the 3'-position with monocyclic or bicyclic nitrogen-contg. heterocycles, are described. The resulting family of parenteral compds. displayed a broad spectrum of **antibacterial** activity. Some compds. exhibit a similar level of Gram-neg. activity to that of the "third-generation" cephalosporins with increased staphylococcal activity. The in vitro and in vivo antimicrobial activity, structure-activity relations, .beta.-lactamase stability, and in vitro and in vivo **pharmacol.** evaluations are presented.

IT 127400-09-3P

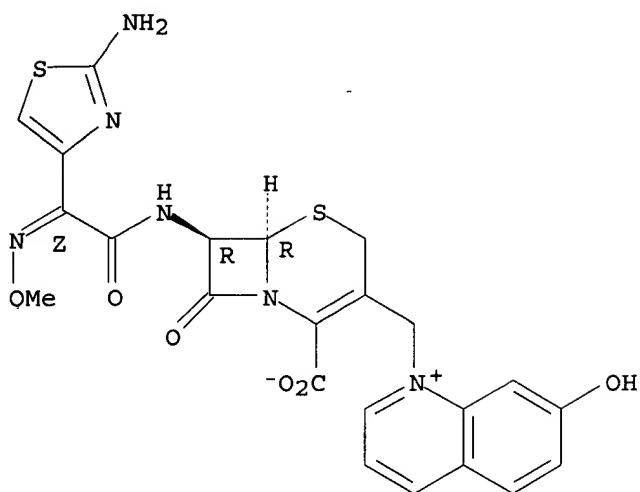
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (prepn. and **antibacterial** activity of)

RN 127400-09-3 CA

CN Quinolinium, 1-[[7-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-7-hydroxy-, inner salt, [6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



IT 127400-09-3P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and **antibacterial** activity of)

L14 ANSWER 33 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 112:179020 CA

TITLE: Preparation of optically active  
benzo[*ij*]quinolizinecarboxylic acid derivatives as  
medicinal **antibacterials**

INVENTOR(S): Tomari, Masazumi; Nagamatsu, Yasuhiro; Suzuki, Senji

PATENT ASSIGNEE(S): Tokyo Tanabe Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 25 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

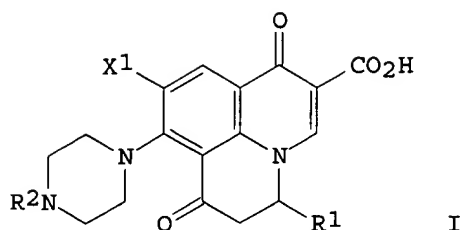
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 323189	A2	19890705	EP 1988-312299	19881223 <--
EP 323189	A3	19920226		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 01250380	A2	19891005	JP 1988-264127	19881021 <--
AU 8827035	A1	19890629	AU 1988-27035	19881219 <--
AU 624102	B2	19920604		
US 4946844	A	19900807	US 1988-286467	19881219 <--
CA 1326026	A1	19940111	CA 1988-586275	19881219 <--
PRIORITY APPLN. INFO.:			JP 1987-328370	19871226
			JP 1988-264127	19881021

OTHER SOURCE(S): CASREACT 112:179020; MARPAT 112:179020

GI



AB The title compds. [(+)-I; X1 = halo; R1, R2 = alkyl] and their physiologically acceptable salts and hydrates, useful as **antibacterials**, are prepared. (+)-5-Chloro-6-fluoro-2-methyl-4-oxo-1-(N-tosyl-L-prolyl)-1,2,3,4-tetrahydroquinoline, obtained by reacting (+)-5-chloro-6-fluoro-2-methyl-4-oxo-1,2,3,4-tetrahydroquinoline with N-tosyl-L-prolyl chloride and chromatographic separation of the resulting diastereomeric acylquinolines, was deacylated to give (-)-5-chloro-6-fluoro-2-methyl-4-oxo-1,2,3,4-tetrahydroquinoline, which was then cyclocondensed with EtOCH=C(CO2Et)2 to give (-)-8-chloro-9-fluoro-5-methyl-6,7-dihydro-1,7-dioxo-1H,5H-benzo[*ij*]quinolizine-2-carboxylic acid Et ester, which was condensed with N-methylpiperazine to give (+)-I (X1 = F, R1 = R2 = Me) (II). II.HCl had an MIC of 0.05  $\mu\text{g/mL}$  vs. 1.56  $\mu\text{g/mL}$  for its enantiomer and 0.10  $\mu\text{g/mL}$  for its racemate.

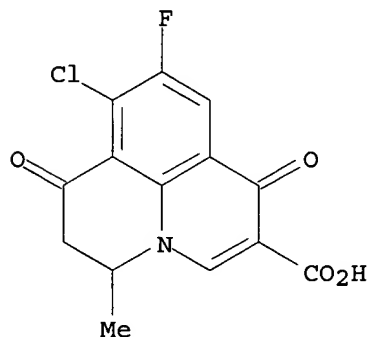
IT 125098-08-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (preparation and amination of, by piperazine derivative.)

RN 125098-08-0 CA

CN 1H,5H-Benzo[*ij*]quinolizine-2-carboxylic acid, 8-chloro-9-fluoro-6,7-dihydro-5-methyl-1,7-dioxo-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



IT 125098-08-0P 125098-18-2P 125127-83-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (preparation and amination of, by piperazine derivative.)

L14 ANSWER 34 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 112:77161 CA

TITLE: Preparation of 6-fluoro-1,4-dihydro-4-oxo-(1,8-naphthyridine or quinoline)-3-carboxylic acid derivatives as **antibacterial** agents

INVENTOR(S): Brighty, Katherine E.; Lowe, John Adams, III; McGuirk, Paul Robert

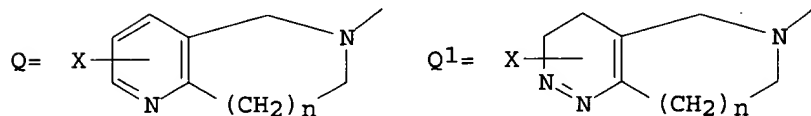
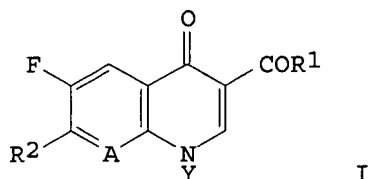
PATENT ASSIGNEE(S): Pfizer Inc., USA

09/919,347

SOURCE: Eur. Pat. Appl., 36 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 321191	A2	19890621	EP 1988-311797	19881214 <--
EP 321191	A3	19910227		
EP 321191	B1	19941102		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
WO 8905643	A1	19890629	WO 1987-US3412	19871218 <--
W: FI, HU, NO, RO, SU, US				
HU 50469	A2	19900228	HU 1987-1279	19871218 <--
IL 88664	A1	19930818	IL 1988-88664	19881212 <--
ES 2061695	T3	19941216	ES 1988-311797	19881214 <--
ZA 8809395	A	19900829	ZA 1988-9395	19881215 <--
AU 8826987	A1	19890622	AU 1988-26987	19881216 <--
AU 600188	B2	19900802		
DK 8806997	A	19890811	DK 1988-6997	19881216 <--
JP 01211587	A2	19890824	JP 1988-319341	19881216 <--
JP 07025757	B4	19950322		
FI 8903883	A	19890817	FI 1989-3883	19890817 <--
FI 90239	B	19930930		
FI 90239	C	19940110		
NO 8903305	A	19891017	NO 1989-3305	19890817 <--
NO 178149	B	19951023		
NO 178149	C	19960131		

PRIORITY APPLN. INFO.: WO 1987-US3412 19871218  
 OTHER SOURCE(S): CASREACT 112:77161  
 GI



AB The title compds. [I; Y = C1-3 (hydroxy, fluoro, or chloro)alkyl, cyclopropyl, 2,4-F2C6H3, 4-FC6H4; A = CH, CF, CCl, COMe, N; or A = C and AY = CZCH2CR3 or CZCH2C(:CH2); Z = O, CH2; R3 = H, C1-3 alkyl, FCH2, ClCH2; R1 = OH, C1-6 alkoxy, (C1-6 alkyl)amino, OM; M = **pharmaceutically** acceptable cation; R2 = heterocyclyl, e.g. Q, Q1; X = H, 1 or 2 of CH2NHR4, NHR4 or C1-6 alkylsulfonyl; R4 = H, C1-6 alkyl; n = 0, 1] are prep'd. as **antibacterial** agents (no data). Thus, a soln. of 2-amino-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridine in Me2SO was treated with 1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxoquinoline-3-carboxylic acid and heated to 80.degree. overnight to give 94%

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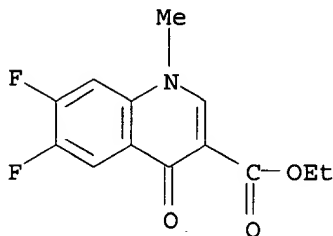
7-[5-(2-amino-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridyl)]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxoquinoline-3-carboxylic acid.

IT 124458-07-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as intermediate for **antibacterial**)

RN 124458-07-7 CA

CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1,4-dihydro-1-methyl-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



IT 124458-07-7P 124458-33-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as intermediate for **antibacterial**)

L14 ANSWER 35 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 111:174128 CA

TITLE: Preparation and testing of 1-cyclopropyl-7-piparazinylnquinolonecarboxylates as **antibacterials**

INVENTOR(S): Matsumoto, Junichi; Minamida, Akira; Fujita, Masahiro; Hirose, Tohru; Nakano, Junji; Nakamura, Shinichi

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 36 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

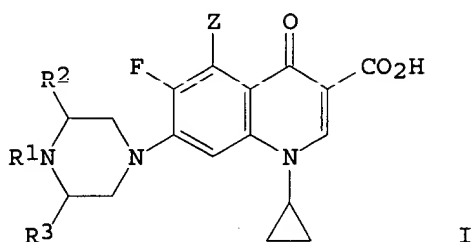
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 312085	A2	19890419	EP 1988-117113	19881014 <--
EP 312085	A3	19900530		
EP 312085	B1	19930512		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
IL 88003	A1	19921115	IL 1988-88003	19881011 <--
DK 8805737	A	19890417	DK 1988-5737	19881014 <--
FI 8804752	A	19890417	FI 1988-4752	19881014 <--
NO 8804599	A	19890417	NO 1988-4599	19881014 <--
NO 171016	B	19921005		
NO 171016	C	19930113		
AU 8823754	A1	19890420	AU 1988-23754	19881014 <--
AU 619214	B2	19920123		
ZA 8807678	A	19890726	ZA 1988-7678	19881014 <--
HU 49342	A2	19890928	HU 1988-5296	19881014 <--
HU 204521	B	19920128		
JP 02028157	A2	19900130	JP 1988-260219	19881014 <--
JP 07094452	B4	19951011		
DD 275685	A5	19900131	DD 1988-320780	19881014 <--
CS 277016	B6	19921118	CS 1988-6813	19881014 <--

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SU 1780533	A3	19921207	SU 1988-4356754	19881014 <--
AT 89272	E	19930515	AT 1988-117113	19881014 <--
ES 2054762	T3	19940816	ES 1988-117113	19881014 <--
CN 1033996	A	19890719	CN 1988-108434	19881015 <--
CN 1021967	B	19930901		
US 5013841	A	19910507	US 1989-389900	19890804 <--
SU 1780534	A3	19921207	SU 1989-4742183	19891018 <--
SU 1830067	A3	19930723	SU 1989-4742186	19891020 <--
PRIORITY APPLN. INFO.:			JP 1987-262441	19871016
			JP 1988-108840	19880430
			EP 1988-117113	19881014
			US 1988-258613	19881017
OTHER SOURCE(S):			MARPAT 111:174128	
GI				



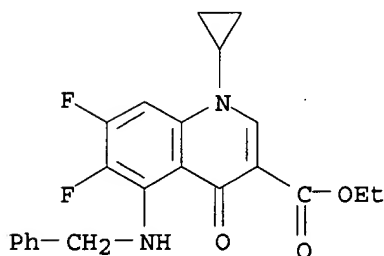
AB The title compds. (I; R1, R2, R3 = H, C1-5 alkyl; Z = amino, halo), and their **pharmaceutically** acceptable salts and esters were prepd. as bactericides. 5-Amino-1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxoquinoline-3-carboxylic acid (prepn. starting from 2,3,4,6-tetrafluorobenzoic acid and PhCH2NH2 given) and piperazine were refluxed in pyridine to give I (R1 = R2 = R3 = H, Z = NH2) (II). II had an ED50 of 1.41 mg/kg orally against S. aureus in mice. Generic tablet, capsule, and injection formulations were given.

IT **123016-59-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and debenzoylation of, in prepn. of quinolonecarboxylate **antibacterial**)

RN 123016-59-1 CA

CN 3-Quinolonecarboxylic acid, 1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxo-5-[(phenylmethyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



IT **123016-59-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and debenzoylation of, in prepn. of quinolonecarboxylate **antibacterial**)

IT **123016-60-4P**

09/919,347

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and hydrolysis of, in prepn. of quinolonecarboxylate  
**antibacterial**)

IT 123016-57-9P 123016-58-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as intermediate for piperazinyloquinolonecarboxylate  
**antibacterial**)

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=> s l6

L15 362 L6

=> s pharm? and l15

157934 PHARM?

L16 310 PHARM? AND L15

=> s antibact? and l16

21865 ANTIBACT?

L17 152 ANTIBACT? AND L16

=> d ibib abs fhitr 1-50

L17 ANSWER 1 OF 152 USPATFULL

ACCESSION NUMBER: 2002:1333 USPATFULL

TITLE: Quinolonecarboxylic acid derivatives or salts thereof

INVENTOR(S): Hayashi, Kazuya, Toyama, JAPAN

Kito, Tokunori, Toyama, JAPAN

Mitsuyama, Junichi, Toyama, JAPAN

Yamakawa, Tetsumi, Toyama, JAPAN

Kuroda, Hiroshi, Ishikawa, JAPAN

Kawafuchi, Hiroyo, Toyama, JAPAN

PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Tokyo, JAPAN (non-U.S.  
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6335447	B1	20020101
	WO 9951588		19991014
APPLICATION INFO.:	US 2000-647763		20001005 (9)
	WO 1999-JP1799		19990406
			20001005 PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1998-110146	19980406
	JP 1998-340217	19981130

DOCUMENT TYPE: Utility

FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Killos, Paul J.

LEGAL REPRESENTATIVE: Sughrue Mion, PLLC

NUMBER OF CLAIMS: 7

EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT: 2281

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel quinolonecarboxylic acid derivatives of general formula (1) or  
salts thereof which have potent **antibacterial** effects on  
gram-positive bacteria in particular *Propionibacterium acnes* ##STR1##



(wherein R<sup>sup.1</sup> represents a hydrogen atom or a carboxyl-protective group; R<sup>sup.2</sup> represents an optionally substituted cycloalkyl group; R<sup>sup.3</sup> represents a hydrogen atom, a halogen atom, an optionally substituted alkyl, alkoxy or alkylthio group, an optionally protected hydroxyl or amino group, or a nitro group; R<sup>sup.4</sup> represents an optionally substituted alkyl or alkoxy group; and Z represents a pyridin-4-yl or pyridin-3-yl group which is optionally substituted with at least one group selected from a halogen atom, an optionally substituted alkyl, alkenyl, cycloalkyl, alkoxy, alkylthio or amino group and an optionally protected hydroxyl or amino group).

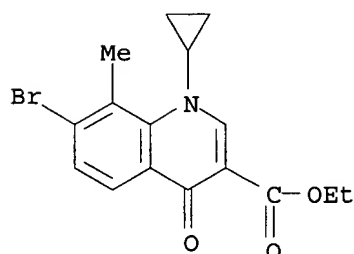
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 194805-04-4P

(Prepn. of quinolonecarboxylic acid derivs. as antibiotics)

RN 194805-04-4 USPATFULL

CN 3-Quinolonecarboxylic acid, 7-bromo-1-cyclopropyl-1,4-dihydro-8-methyl-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L17 ANSWER 2 OF 152 USPATFULL

ACCESSION NUMBER: 2001:226646 USPATFULL

TITLE: Antimicrobial quinolones, their compositions and uses

INVENTOR(S): Ledoussal, Benoit, Mason, OH, United States

Almstead, Ji-In Kim, Loveland, OH, United States

Gray, Jeffrey Lyle, Loveland, OH, United States

Hu, Xiufeng Eric, Cincinnati, OH, United States

PATENT ASSIGNEE(S): The Procter & Gamble Co., Cincinnati, OH, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6329391	B1	20011211
APPLICATION INFO.:	US 1999-266197		19990310 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1998-139859, filed on 25 Aug 1998, now abandoned		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-58891	19970915 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Seaman, D. Margaret	
LEGAL REPRESENTATIVE:	Upite, David V., Roof, Carl J.	
NUMBER OF CLAIMS:	53	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2390	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to novel antimicrobial compounds of formula;  
##STR1##

wherein X, R.sub.1, R.sub.3, R.sub.5, R.sub.6, and R.sub.8 are defined in the claims, and to their optical isomers, diastereomers or enantiomers, as well as **pharmaceutically**-acceptable salts, hydrates, and biohydrolyzable esters, amides and imides thereof, and to compositions and uses of such compounds. The invention also relates to compounds derived from these compounds having antimicrobial uses.

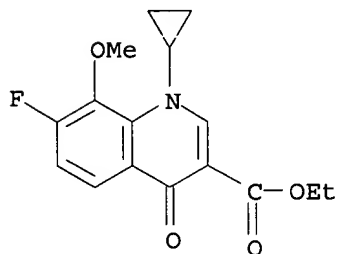
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 221221-15-4P

(intermediate; compns. and uses of antimicrobial quinolones)

RN 221221-15-4 USPATFULL

CN 3-Quinolonecarboxylic acid, 1-cyclopropyl-7-fluoro-1,4-dihydro-8-methoxy-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L17 ANSWER 3 OF 152 USPATFULL

ACCESSION NUMBER: 2001:215062 USPATFULL

TITLE: Possibly substituted 8-cyano-1-cyclopropyl-7-(2,8-diazabicyclo-[4.3.0]-nonan-8-yl)-6-fluoro-1,4-dihydro-4-oxo-3-quinolin carboxylic acids and their derivatives

INVENTOR(S): Bartel, Stefan, Bergisch Gladbach, Germany, Federal Republic of  
Jaetsch, Thomas, Koln, Germany, Federal Republic of  
Himmler, Thomas, Odenthal, Germany, Federal Republic of  
Rast, Hans-Georg, Bergisch Gladbach, Germany, Federal Republic of  
Hallenbach, Werner, Monheim, Germany, Federal Republic of  
Heinen, Ernst, Echternacherbruck, Germany, Federal Republic of  
Pirro, Franz, Langenfeld, Germany, Federal Republic of  
Scheer, Martin, Wuppertal, Germany, Federal Republic of  
Stegemann, Michael, Kansas City, MO, United States  
Stupp, Hans-Peter, Leverkusen, Germany, Federal Republic of  
Wetzstein, Heinz-Georg, Leverkusen, Germany, Federal Republic of

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6323213	B1	20011127
	WO 9731001		19970828
APPLICATION INFO.:	US 1998-125191		19980813 (9)

WO 1997-EP637

19970212

19980813 PCT 371 date

19980813 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1996-19606762	19960223
	DE 1996-19633805	19960822
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Kifle, Bruck	
LEGAL REPRESENTATIVE:	Gil, Joseph C., Akorli, Godfried R.	
NUMBER OF CLAIMS:	8	
EXEMPLARY CLAIM:	1	
LINE COUNT:	778	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel optionally substituted 8-cyano-1-cyclo-propyl-7-(2,8-diazabicyclo[4.3.0]nonan-8-yl)-6-fluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acids and their derivatives, of the general formula (I) ##STR1##

in which

R.sup.1 represents hydrogen, C.sub.1 -C.sub.4 -alkyl which is optionally substituted by hydroxyl, methoxy, amino, methylamino or dimethylamino, or (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl,

R.sup.2 represents hydrogen, benzyl, C.sub.1 -C.sub.3 -alkyl, (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl, radicals having the structures --CH.dbd.CH--COOR.sup.3, --CH.sub.2 CH.sub.2 COOR.sup.3, --CH.sub.2 CH.sub.2 CN, --CH.sub.2 CH.sub.2 COCH.sub.3 or --CH.sub.2 COCH.sub.3, in which R.sup.3 represents methyl or ethyl, or a radical of the general structure R.sup.4 --(NH--CHR.sup.5 --CO).sub.n --, in which R.sup.4 represents hydrogen, C.sub.1 -C.sub.3 -alkyl or the radical --COO-tert-butyl, R.sup.5 represents hydrogen, C.sub.1 -C.sub.4 -alkyl, hydroxyalkyl, aminoalkyl, thioalkyl, carboxyalkyl or benzyl and n is 1 or 2, and

Y is oxygen or sulfur,

the process for their preparation and their use in **antibacterial** compositions.

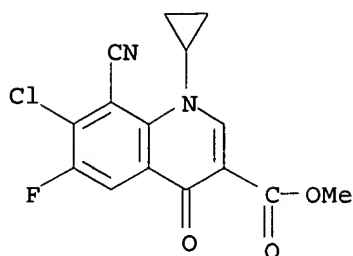
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 195532-50-4

(prepn. of diazabicyclononylquinolinecarboxylic acid derivs. as bactericides)

RN 195532-50-4 USPATFULL

CN 3-Quinolinecarboxylic acid, 7-chloro-8-cyano-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, methyl ester (9CI) (CA INDEX NAME)



L17 ANSWER 4 OF 152 USPATFULL

ACCESSION NUMBER:

2001:194426 USPATFULL

TITLE:

Use of 7-(1-aminomethyl-2-oxa-7-aza-bicyclo[3.3.0]oct-7-yl)-quinolonecarboxylic acid and -naphthyridonecarboxylic acid derivatives for the therapy of Helicobacter pylori infections and associated gastroduodenal disorders

INVENTOR(S):

Petersen, Uwe, Leverkusen, Germany, Federal Republic of  
 Matzke, Michael, Wuppertal, Germany, Federal Republic of  
 Jaetsch, Thomas, Koln, Germany, Federal Republic of  
 Schenke, Thomas, Bergisch Gladbach, Germany, Federal Republic of  
 Himmeler, Thomas, Odenthal, Germany, Federal Republic of  
 Bartel, Stephan, Kurten, Germany, Federal Republic of  
 Baasner, Bernd, Bergisch Gladbach, Germany, Federal Republic of  
 Werling, Hans-Otto, Wuppertal, Germany, Federal Republic of  
 Schaller, Klaus, Wuppertal, Germany, Federal Republic of  
 Labischinski, Harald, Wuppertal, Germany, Federal Republic of  
 Endermann, Rainer, Wuppertal, Germany, Federal Republic of

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001036941	A1	20011101
APPLICATION INFO.:	US 2001-829776	A1	20010410 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1999-319848, filed on 6 Aug 1999, PENDING A 371 of International Ser. No. WO 1997-EP6751, filed on 3 Dec 1997, UNKNOWN		

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1996-19652219	19961216
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	KURT BRISCOE, NORRIS, MCLAUGHLIN & MARCUS, P.A., 220 EAST 42ND STREET, 30TH FLOOR, NEW YORK, NY, 10017	
NUMBER OF CLAIMS:	10	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1851	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to the use of quinolone- and naphthyridonecarboxylic acid derivatives which are substituted in

position 7 by a 1-aminomethyl-2-oxa-7-azabicyclo[3.3.0]oct-7-yl radical, and of their salts for the therapy of *Helicobacter pylori* infections and associated gastroduodenal disorders.

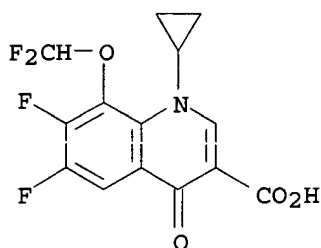
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 128426-95-9

((aminomethyloxaazabicyclooctyl)quinolonecarboxylates, -naphthyridonecarboxylates, and related compds. for *Helicobacter pylori* infection therapy and assocd. gastroduodenal illnesses)

RN 128426-95-9 USPATFULL

CN 3-Quinolonecarboxylic acid, 1-cyclopropyl-8-(difluoromethoxy)-6,7-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 5 OF 152 USPATFULL

ACCESSION NUMBER: 2001:152978 USPATFULL

TITLE: Use of 7-(1-aminomethyl-2-oxa-7-aza-bicyclo[3.3.0]oct-7-yl)-quinolone carboxylic acid and naphthyridone carboxylic acid derivatives for treating *Helicobacter pylori* infections and the gastroduodenal diseases associated therewith

INVENTOR(S): Petersen, Uwe, Leverkusen, Germany, Federal Republic of  
Matzke, Michael, Wuppertal, Germany, Federal Republic of  
Jaetsch, Thomas, Koln, Germany, Federal Republic of  
Schenke, Thomas, Bergisch Gladbach, Germany, Federal Republic of  
Himmler, Thomas, Odenthal, Germany, Federal Republic of  
Bartel, Stephan, Kurten, Germany, Federal Republic of  
Baasner, Bernd, Bergisch Gladbach, Germany, Federal Republic of  
Werling, Hans-Otto, Wuppertal, Germany, Federal Republic of  
Schaller, Klaus, Wuppertal, Germany, Federal Republic of  
Labischinski, Harald, Wuppertal, Germany, Federal Republic of  
Endermann, Rainer, Wuppertal, Germany, Federal Republic of

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6288081	B1	20010911
	WO 9826768		19980625
APPLICATION INFO.:	US 1999-319848		19990806 (9)
	WO 1997-EP6751		19971203

19990806 PCT 371 date  
19990806 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1996-19652219	19961216
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Huang, Evelyn Mei	
LEGAL REPRESENTATIVE:	Norris McLaughlin & Marcus	
NUMBER OF CLAIMS:	8	
EXEMPLARY CLAIM:	4	
LINE COUNT:	1772	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to the use of quinolone- and naphthyridonecarboxylic acid derivatives which are substituted in position 7 by a 1-aminomethyl-2-oxa-7-azabicyclo[3.3.0]oct-7-yl radical, and of their salts for the therapy of *Helicobacter pylori* infections and associated gastroduodenal disorders.

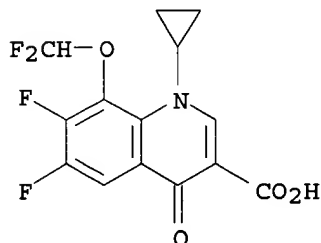
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 128426-95-9

((aminomethyloxazabicyclooctyl)quinolonecarboxylates, -naphthyridonecarboxylates, and related compds. for *Helicobacter pylori* infection therapy and assocd. gastroduodenal illnesses)

RN 128426-95-9 USPATFULL

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-8-(difluoromethoxy)-6,7-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 6 OF 152 USPATFULL

ACCESSION NUMBER: 2001:136814 USPATFULL

TITLE: Optionally substituted 8-cyano-1-cyclopropyl-7-(2,8-diazabicyclo[4.3.0]nonan-8-yl)-6-fluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acids and their derivatives

INVENTOR(S): Bartel, Stefan, Bergisch Gladbach, Germany, Federal Republic of  
Jaetsch, Thomas, Koln, Germany, Federal Republic of  
Himmler, Thomas, Odenthal, Germany, Federal Republic of  
Rast, Hans-Georg, Bergisch Gladbach, Germany, Federal Republic of  
Hallenbach, Werner, Monheim, Germany, Federal Republic of  
Heinen, Ernst, Echternacherbruck, Germany, Federal Republic of  
Pirro, Franz, Langenfeld, Germany, Federal Republic of  
Scheer, Martin, Wuppertal, Germany, Federal Republic of  
Stegemann, Michael, Kansas City, MO, United States

PATENT ASSIGNEE(S): Stupp, Hans-Peter, Leverkusen, Germany, Federal Republic of  
Wetzstein, Heinz-Georg, Leverkusen, Germany, Federal Republic of  
Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6278013	B1	20010821
APPLICATION INFO.:	US 2000-718062		20001121 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1998-125191, filed on 13 Aug 1998		

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1996-19606762	19960223
	DE 1996-19633805	19960822
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Kifle, Bruck	
LEGAL REPRESENTATIVE:	Gil, Joseph C., Akorli, Godfried R.	
NUMBER OF CLAIMS:	3	
EXEMPLARY CLAIM:	1	
LINE COUNT:	696	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Intermediates useful in the preparation of 8-cyano-1-cyclopropyl-7-(2,8-diazabicyclo[4.3.0]nonan-8-yl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acids of the following structures are claimed.  
##STR1##

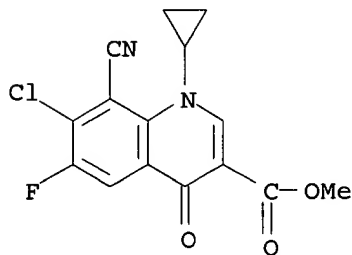
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 195532-50-4

(prepn. of diazabicyclononylquinolinecarboxylic acid derivs. as bactericides)

RN 195532-50-4 USPATFULL

CN 3-Quinolinecarboxylic acid, 7-chloro-8-cyano-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, methyl ester (9CI) (CA INDEX NAME)



L17 ANSWER 7 OF 152 USPATFULL

ACCESSION NUMBER: 2001:48247 USPATFULL

TITLE: Pyridonecarboxylic acid derivatives or salts thereof and **antibacterial** agents containing the same as the active ingredient

INVENTOR(S): Yazaki, Akira, Hiroshima, Japan  
Niino, Yoshiko, Hiroshima, Japan  
Ohshita, Yoshihiro, Hiroshima, Japan

Hayashi, Norihiro, Hiroshima, Japan  
 Amano, Hirotaka, Hiroshima, Japan  
 Hirao, Yuzo, Hiroshima, Japan  
 Yamane, Tamae, Hiroshima, Japan  
 PATENT ASSIGNEE(S): Wakunaga Pharmaceutical Co., Ltd., Hiroshima, Japan  
 (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6211375	B1	20010403
	WO 9740036		19971030
APPLICATION INFO.:	US 1999-171411		19990120 (9)
	WO 1997-JP1327		19970417
			19990120 PCT 371 date
			19990120 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1996-122538	19960419
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Morris, Patricia L.	
LEGAL REPRESENTATIVE:	Birch, Stewart, Kolasch & Birch, LLP	
NUMBER OF CLAIMS:	5	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1223	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel pyridonecarboxylic acid derivative or its salt exhibiting satisfactory **antibacterial** activities, intestinal absorption, metabolic stability, and reduced side effects, in particular, phototoxicity and cytotoxicity, as well as an **antibacterial** agent containing such pyridonecarboxylic acid derivative or its salt are provided.

For such an object, a pyridonecarboxylic acid derivative represented by the following formula (1): ##STR1##

(wherein R.sup.1 represents hydrogen atom, a halogen atom or a lower alkyl group; R.sup.2 represents hydrogen atom or a lower alkyl group; R.sup.3 represents substituted or unsubstituted amino group or hydroxyl group; and R.sup.4 represents hydrogen atom, a lower alkyl group, amino group or nitro group) or its salt is provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

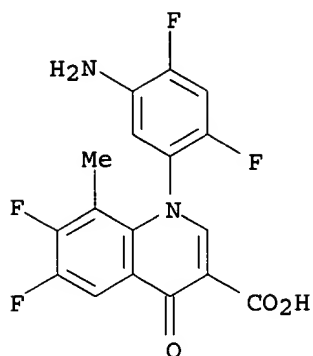
IT 179741-37-8P

(prepn. of azetidinyphenyloxoquinolinecarboxylic acid derivs. as antibacterial agents)

RN 179741-37-8 USPATFULL

CN 3-Quinolinecarboxylic acid, 1-(5-amino-2,4-difluorophenyl)-6,7-difluoro-1,4-dihydro-8-methyl-4-oxo- (9CI) (CA INDEX NAME)





L17 ANSWER 8 OF 152 USPATFULL

ACCESSION NUMBER: 2001:25894 USPATFULL

TITLE: Bicyclic amine derivative

INVENTOR(S): Takemura, Makoto, Tokyo, Japan  
Takahashi, Hisashi, Tokyo, Japan  
Kawakami, Katsuhiko, Tokyo, JapanPATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Tokyo, Japan  
(non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6191129	B1	20010220
	WO 9813370		19980402
APPLICATION INFO.:	US 1999-147893		19990318 (9)
	WO 1997-JP3440		19970926
			19990318 PCT 371 date
			19990318 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1996-255202	19960927
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Shah, Mukund J.	
ASSISTANT EXAMINER:	Schroeder, Ben	
LEGAL REPRESENTATIVE:	Sughrue, Mion, Zinn, Macpeak & Seas, PLLC	
NUMBER OF CLAIMS:	24	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1009	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A pyridobenzoxazine derivative having a bicyclic amine derivative as its substituent, represented by the formula (I): ##STR1##

exhibiting antimicrobial activity, and useful in treating infectious diseases and preserving food and agricultural products.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

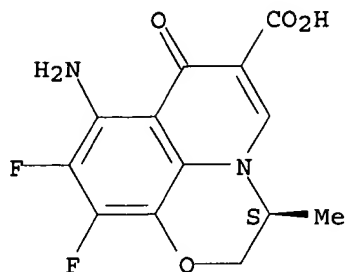
IT 135325-15-4

(prepn. of pyridobenzoxazine derivs. as antibacterial agents)

RN 135325-15-4 USPATFULL

CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid,  
8-amino-9,10-difluoro-2,3-dihydro-3-methyl-7-oxo-, (3S)- (9CI) (CA  
INDEX NAME)

Absolute stereochemistry. Rotation (-).



L17 ANSWER 9 OF 152 USPATFULL

ACCESSION NUMBER: 2001:18634 USPATFULL

TITLE: Substituted aminocycloalkylpyrrolidine derivatives and  
cis-substituted aminocycloalkylpyrrolidine derivativesINVENTOR(S): Takemura, Makoto, Tokyo, Japan  
Kimura, Youichi, Tokyo, Japan  
Takahashi, Hisashi, Tokyo, Japan  
Kimura, Kenichi, Tokyo, Japan  
Miyauchi, Satoru, Tokyo, Japan  
Ohki, Hitoshi, Tokyo, Japan  
Sugita, Kazuyuki, Tokyo, Japan  
Miyauchi, Rie, Tokyo, JapanPATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Tokyo, Japan  
(non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6184388	B1	20010206
APPLICATION INFO.:	US 1999-397515		19990917 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1998-82155, filed on 21 May 1998 Continuation-in-part of Ser. No. WO 1996-JP3440, filed on 22 Nov 1996		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1995-304129	19951122
	JP 1996-192637	19960723
	JP 1997-131413	19970521
	JP 1997-140643	19970529

DOCUMENT TYPE: Utility  
 FILE SEGMENT: Granted  
 PRIMARY EXAMINER: Seaman, D. Margaret  
 LEGAL REPRESENTATIVE: Sughrue, Mion, Zinn, Macpeak & Seas, PLLC  
 NUMBER OF CLAIMS: 4  
 EXEMPLARY CLAIM: 1  
 LINE COUNT: 4579

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB An antimicrobial drug having excellent antimicrobial activity and high safety is disclosed, which comprises as an active ingredient, a quinolone derivative having a substituted aminocycloalkylpyrrolidine as a substituent and which is further substituted with various substituents, represented by formula (I), its salts and hydrates thereof: ##STR1##

wherein Q is represented by formula (II) or (IV). ##STR2##

Also disclosed is a quinolone derivative where R<sup>sup.4</sup> and the substituent on the pyrrolidine ring of the following formula: ##STR3##

are located at the cis-configuration; and Q is represented by the formula: ##STR4##

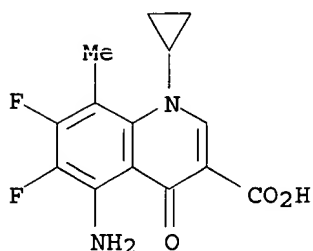
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 167888-38-2

(prepn. of substituted aminocycloalkylpyrrolidinylquinolines as medical bactericides)

RN 167888-38-2 USPATFULL

CN 3-Quinolonecarboxylic acid, 5-amino-1-cyclopropyl-6,7-difluoro-1,4-dihydro-8-methyl-4-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 10 OF 152 USPATFULL

ACCESSION NUMBER: 2000:164659 USPATFULL

TITLE: Pyridonecarboxylic acid derivatives or their salts, and **antibacterial** agents containing the same as their effective components

INVENTOR(S): Yazaki, Akira, Takata-gun, Japan  
Niino, Yoshiko, Takata-gun, Japan  
Ohshita, Yoshihiro, Takata-gun, Japan  
Hirao, Yuzo, Takata-gun, Japan  
Amano, Hirotaka, Takata-gun, Japan  
Hayashi, Norihiro, Takata-gun, Japan  
Kuramoto, Yasuhiro, Takata-gun, Japan

PATENT ASSIGNEE(S): Wakunaga Pharmaceutical Co., Ltd., Osaka, Japan  
(non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6156903		20001205
APPLICATION INFO.:	US 1999-329336		19990610 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 43472		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1995-269280	19950922
	JP 1996-178462	19960619
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Seaman, D. Margaret	
NUMBER OF CLAIMS:	5	
EXEMPLARY CLAIM:	1	
LINE COUNT:	3385	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A pyridonecarboxylic acid derivative represented by the following general formula (1): ##STR1## [wherein R.sup.1 represents hydrogen atom or a carboxyl protective group; R.sup.2 represents hydroxyl group, a lower alkoxy group, or a substituted or unsubstituted amino group; R.sup.3 represents hydrogen atom or a halogen atom; R.sup.4 represents hydrogen atom or a halogen atom; R.sup.5 represents a halogen atom or an optionally substituted saturated cyclic amino group; R.sup.6 represents hydrogen atom, a halogen atom, nitro group, or an optionally protected amino group; X, Y and Z may be the same or different and respectively represent nitrogen atom, --CH.dbd. or --CR.sup.7 .dbd. (wherein R.sup.7 represents a lower alkyl group, a halogen atom, or cyano group) (with the proviso that at least one of X, Y and Z represent the nitrogen atom), and W represents nitrogen atom or --CR.sup.8 .dbd. (wherein R.sup.8 represents hydrogen atom, a halogen atom, or a lower alkyl group)] or its salt, as well as an **antibacterial agent** containing such compound are provided.

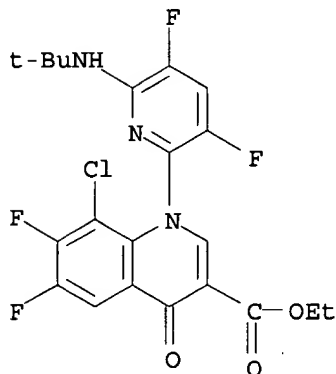
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 189279-47-8P

(properation of novel pyridonecarboxylic acid derivs. as antibacterial agents)

RN 189279-47-8 USPATFULL

CN 3-Quinolinecarboxylic acid, 8-chloro-1-[6-[(1,1-dimethylethyl)amino]-3,5-difluoro-2-pyridinyl]-6,7-difluoro-1,4-dihydro-4-oxo-, ethyl ester (9CI)  
(CA INDEX NAME)



L17 ANSWER 11 OF 152 USPATFULL

ACCESSION NUMBER: 2000:161014 USPATFULL

TITLE: Irreversible bicyclic inhibitors of tyrosine kinases

INVENTOR(S): Bridges, Alexander James, Saline, MI, United States

PATENT ASSIGNEE(S): Warner-Lambert Company, Morris Plains, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6153617		20001128
	WO 9906396		19990211
APPLICATION INFO.:	US 1999-269647		19990325 (9)
	WO 1998-US15592		19980729
			19990325 PCT 371 date
			19990325 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-54061	19970729 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Shah, Mukund J.	
ASSISTANT EXAMINER:	Patel, Sudhaker B.	
LEGAL REPRESENTATIVE:	Tinney, Francis J.	
NUMBER OF CLAIMS:	22	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2589	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides compounds of Formula I ##STR1## that are irreversible inhibitors of tyrosine kinases. Also provided is a method of treating cancer, restenosis, atherosclerosis, endometriosis, and psoriasis and a **pharmaceutical** composition that comprises a compound that is an irreversible inhibitor of tyrosine kinases.

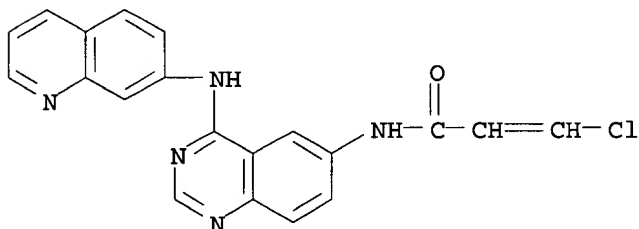
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 220576-87-4P

(prepn. of heterocyclic compds. as irreversible bicyclic inhibitors of tyrosine kinases)

RN 220576-87-4 USPATFULL

CN 2-Propenamide, 3-chloro-N-[4-(7-quinolinylamino)-6-quinazolinyl]- (9CI)  
(CA INDEX NAME)



L17 ANSWER 12 OF 152 USPATFULL

ACCESSION NUMBER: 2000:142389 USPATFULL

TITLE: Pyridonecarboxylic acid derivatives or salts thereof and drugs containing the same as the active ingredient

INVENTOR(S): Sakae, Nobuya, Hiroshima, Japan  
Yazaki, Akira, Hiroshima, Japan  
Kuramoto, Yasuhiro, Hiroshima, Japan  
Yoshida, Jiro, Hiroshima, Japan  
Niino, Yoshiko, Hiroshima, Japan  
Ohshita, Yoshihiro, Hiroshima, Japan  
Hirao, Yuzo, Hiroshima, Japan  
Hayashi, Norihiro, Hiroshima, Japan  
Amano, Hirotaka, Hiroshima, Japan

PATENT ASSIGNEE(S): Wakunaga Pharmaceutical Co., Ltd., Osaka, Japan  
(non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6136823		20001024
	WO 9823592		19980604
APPLICATION INFO.:	US 1999-308641		19990528 (9)

WO 1997-JP4326

19971127

19990528 PCT 371 date

19990528 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1996-317693	19961128
	JP 1997-167245	19970624
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Seaman, D. Margaret	
LEGAL REPRESENTATIVE:	Oblon, Spivak, McClelland, Maier & Neustadt, P.C.	
NUMBER OF CLAIMS:	3	
EXEMPLARY CLAIM:	1	
LINE COUNT:	3773	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to pyridonecarboxylic acid derivatives represented by the general formula (1): ##STR1## wherein R.sup.1 is --OH, a carboxy-protecting group or (alkyl)amino group, R.sup.2 is H, or --NO.sub.2, (protected) amino, (protected) hydroxyl, lower alkyl or lower alkoxy group, R.sup.3 is a halogen atom, H, or --NO.sub.2, lower alkyl, lower alkoxy or amino group, R.sup.4 is an azido, (substituted) hydrazino, (substituted) amino, lower alkoxy or hydroxyl group, R.sup.5, R.sup.6 and R.sup.7 are independently H, --NO.sub.2, halogen atom or lower alkyl group, R.sup.8 is a --NO.sub.2, (substituted) amino, --OH or lower alkoxy group, A is N or C--R.sup.12, in which R.sup.12 is H, halogen atom, or (substituted) lower alkyl, lower alkenyl, lower alkynyl, lower alkoxy, lower alkylthio or nitro group, and B is N or C--R.sup.13, in which R.sup.13 is H or halogen atom, or salts thereof, and medicine comprising such a compound as an active ingredient. The derivatives or the salts thereof exhibit excellent **antibacterial** action and peroral absorbability, scarcely cause side effects, and are easy of synthesis.

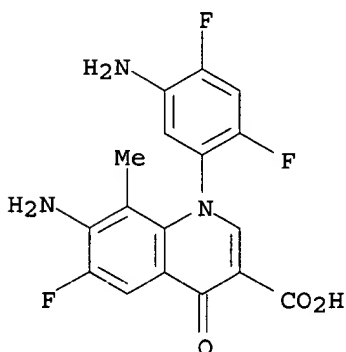
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 208164-87-8P

(prepn. of quinolinecarboxylic acid derivs. as bactericides)

RN 208164-87-8 USPATFULL

CN 3-Quinolinecarboxylic acid, 7-amino-1-(5-amino-2,4-difluorophenyl)-6-fluoro-1,4-dihydro-8-methyl-4-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 13 OF 152 USPATFULL

ACCESSION NUMBER: 2000:138370 USPATFULL

TITLE: Pyridonecarboxylic acid derivatives or their salts, and  
**antibacterial** agents containing the same as  
their effective components

INVENTOR(S): Yazaki, Akira, Hiroshima-ken, Japan  
Niino, Yoshiko, Hiroshima-ken, Japan  
Ohshita, Yoshihiro, Hiroshima-ken, Japan  
Hirao, Yuzo, Hiroshima-ken, Japan  
Amano, Hirotaka, Hiroshima-ken, Japan  
Hayashi, Norihiro, Hiroshima-ken, Japan  
Kuramoto, Yasuhiro, Hiroshima-ken, Japan

PATENT ASSIGNEE(S): Wakunaga Pharmaceutical Co., Ltd., Osaka, Japan  
(non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6133284		20001017
APPLICATION INFO.:	US 1999-329246		19990610 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 43472		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1995-269280	19950922
	JP 1996-178462	19960619
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Seaman, D. Margaret	
LEGAL REPRESENTATIVE:	Birch, Stewart, Kolasch & Birch, LLP	
NUMBER OF CLAIMS:	3	
EXEMPLARY CLAIM:	1	
LINE COUNT:	3267	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A pyridonecarboxylic acid derivative represented by the following general formula (1): ##STR1## [wherein R.sup.1 represents hydrogen atom or a carboxyl protective group; R.sup.2 represents hydroxyl group, a lower alkoxy group, or a substituted or unsubstituted amino group; R.sup.3 represents hydrogen atom or a halogen atom; R.sup.4 represents hydrogen atom or a halogen atom; R.sup.5 represents a halogen atom or an optionally substituted saturated cyclic amino group; R.sup.6 represents hydrogen atom, a halogen atom, nitro group, or an optionally protected amino group; X, Y and Z may be the same or different and respectively represent nitrogen atom, --CH.dbd. or --CR.sup.7 .dbd. (wherein R.sup.7 represents a lower alkyl group, a halogen atom, or cyano group) (with the proviso that at least one of X, Y and Z represent the nitrogen atom), and W represents nitrogen atom or --CR.sup.8 .dbd. (wherein R.sup.8 represents hydrogen atom, a halogen atom, or a lower alkyl group)]

or its salt, as well as an **antibacterial** agent containing such compound are provided.

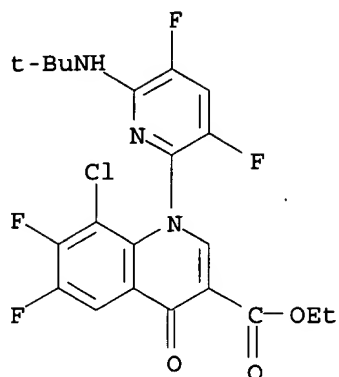
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 189279-47-8P

(properation of novel pyridonecarboxylic acid derivs. as antibacterial agents)

RN 189279-47-8 USPATFULL

CN 3-Quinolonecarboxylic acid, 8-chloro-1-[6-[(1,1-dimethylethyl)amino]-3,5-difluoro-2-pyridinyl]-6,7-difluoro-1,4-dihydro-4-oxo-, ethyl ester (9CI)  
(CA INDEX NAME)



L17 ANSWER 14 OF 152 USPATFULL  
 ACCESSION NUMBER: 2000:138346 USPATFULL  
 TITLE: Use of 7-(2-oxa-5,8-diazabicyclo[4.3.0]non-8-yl)-quinolone carboxylic acid and naphthyridon carboxylic acid derivatives for the treatment of Helicobacter pylori infections and associated gastroduodenal diseases  
 INVENTOR(S): Matzke, Michael, Wuppertal, Germany, Federal Republic of  
 Petersen, Uwe, Leverkusen, Germany, Federal Republic of  
 Jaetsch, Thomas, Koln, Germany, Federal Republic of  
 Bartel, Stephan, Kurten, Germany, Federal Republic of  
 Schenke, Thomas, Bergisch Gladbach, Germany, Federal Republic of  
 Himmler, Thomas, Odenthal-Globusch, Germany, Federal Republic of  
 Baasner, Bernd, Bergisch Gladbach, Germany, Federal Republic of  
 Werling, Hans-Otto, Wuppertal, Germany, Federal Republic of  
 Schaller, Klaus, Wuppertal, Germany, Federal Republic of  
 Labischinski, Harald, Wuppertal, Germany, Federal Republic of  
 PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6133260		20001017
	WO 9826779		19980625
APPLICATION INFO.:	US 1999-319888		19990614 (9)
	WO 1997-EP6781		19971204
			19990614 PCT 371 date
			19990614 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1996-19652239	19961216
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Shah, Mukund J.	
LEGAL REPRESENTATIVE:	Norris, McLaughlin & Marcus, P.A.	
NUMBER OF CLAIMS:	3	



EXEMPLARY CLAIM: 1

LINE COUNT: 1136

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to the use of quinolone- and naphthyridonecarboxylic acid derivatives which are substituted in the 7-position by a 2-oxa-5,8-diazabicyclo[4.3.0]-non-8-yl) radical, and their **pharmaceutically** utilizable hydrates and/or salts for the therapy of Helicobacter pylori infections and the gastroduodenal disorders associated therewith.

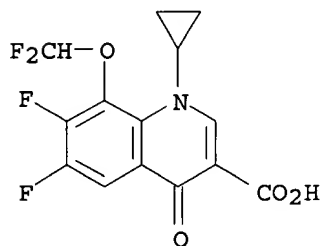
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 128426-95-9

(amination with oxadiazabicyclononane; prepn. of (oxadiazabicyclononyl)quinolone- and -naphthyridonecarboxylic acid derivs. as drugs for therapy of Helicobacter pylori infections and assocd. gastroduodenal illnesses)

RN 128426-95-9 USPATFULL

CN 3-Quinolonecarboxylic acid, 1-cyclopropyl-8-(difluoromethoxy)-6,7-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 15 OF 152 USPATFULL

ACCESSION NUMBER: 2000:134898 USPATFULL

TITLE: Integrin receptor antagonists

INVENTOR(S): Wityak, John, West Grove, PA, United States

Tobin, Aleksandra Ewa, Lincoln University, PA, United States

PATENT ASSIGNEE(S): DuPont Pharmaceuticals, Wilmington, DE, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6130231		20001010
APPLICATION INFO.:	US 1997-980016		19971126 (8)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1996-33208	19961127 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Raymond, Richard L.	
ASSISTANT EXAMINER:	Coleman, Brenda	
NUMBER OF CLAIMS:	18	
EXEMPLARY CLAIM:	1	
LINE COUNT:	6233	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to novel fused heterocycles which are useful as antagonists of the .alpha..sub.v .beta..sub.3 and related integrin

receptors, to **pharmaceutical** compositions containing such compounds, processes for preparing such compounds, and to methods of using these compounds, alone or in combination with other therapeutic agents, for the inhibition of cell adhesion, the treatment of angiogenic disorders, inflammation, bone degradation, cancer metastasis, diabetic retinopathy, thrombosis, restenosis, macular degeneration, and other conditions mediated by cell adhesion and/or cell migration and/or angiogenesis.

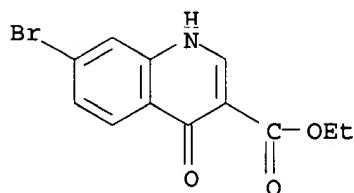
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 208580-23-8P

(prepn. and N-methylation; prepn. of novel integrin receptor antagonists)

RN 208580-23-8 USPATFULL

CN 3-Quinolinecarboxylic acid, 7-bromo-1,4-dihydro-4-oxo-, ethyl ester (9CI)  
(CA INDEX NAME)



L17 ANSWER 16 OF 152 USPATFULL

ACCESSION NUMBER: 2000:125062 USPATFULL

TITLE: Substituted aminocycloalkylpyrrolidine derivatives and cis-substituted aminocycloalkylpyrrolidine derivatives

INVENTOR(S): Takemura, Makoto, Tokyo, Japan  
Kimura, Youichi, Tokyo, Japan  
Takahashi, Hisashi, Tokyo, Japan  
Kimura, Kenichi, Tokyo, Japan  
Miyauchi, Satoru, Tokyo, Japan  
Ohki, Hitoshi, Tokyo, Japan  
Sugita, Kazuyuki, Tokyo, Japan  
Miyauchi, Rie, Tokyo, Japan  
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Tokyo, Japan  
(non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6121285		20000919
APPLICATION INFO.:	US 1998-82155		19980521 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. WO 1996-JP3440, filed on 22 Nov 1996		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1995-304129	19951122
	JP 1996-192637	19960723
	JP 1997-131413	19970521
	JP 1997-140643	19970529

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Mach, D Margaret  
LEGAL REPRESENTATIVE: Sughrue, Mion, Zinn, Macpeak & Seas, PLLC

09/919,347

NUMBER OF CLAIMS: 47  
EXEMPLARY CLAIM: 1  
LINE COUNT: 4961

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB An antimicrobial drug having excellent antimicrobial activity and high safety is disclosed, which comprises as an active ingredient, a quinolone-derivative having a substituted aminocycloalkylpyrrolidine as a substituent and which is further substituted with various substituents, represented by formula (I), its salts and hydrates thereof: ##STR1## wherein Q is represented by formula (II) or (IV). ##STR2## Also disclosed is a quinolone derivative where R<sup>sup.4</sup> and the substituent on the pyrrolidine ring of the following formula: ##STR3## are located at the cis-configuration; and Q is represented by the formula: ##STR4##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

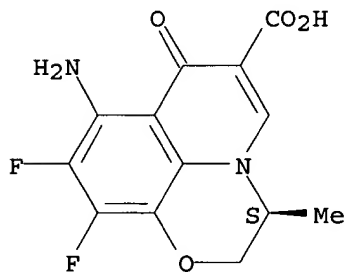
IT 135325-15-4

(prepn. of 6-(aminocycloalkylpyrrolidinyl)-1,4-dihydro-4-oxoquinolines as antimicrobial agents by addn. of 6-fluoro-1,4-dihydro-4-oxoquinolines to aminocycloalkylpyrrolidines)

RN 135325-15-4 USPATFULL

CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid, 8-amino-9,10-difluoro-2,3-dihydro-3-methyl-7-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L17 ANSWER 17 OF 152 USPATFULL

ACCESSION NUMBER: 2000:102273 USPATFULL

TITLE: Macrolide derivatives

INVENTOR(S): Cheng, Hengmiao, East Lyme, CT, United States  
Rafka, Robert John, Stonington, CT, United States  
Dutra, Jason K., Salem, CT, United States  
Letavic, Michael A., Mystic, CT, United States  
Bronk, Brian S., Gales Ferry, CT, United States  
PATENT ASSIGNEE(S): Pfizer Inc, New York, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6100240		20000808
APPLICATION INFO.:	US 1999-396876		19990916 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-103838	19981009 (60)
DOCUMENT TYPE:	Utility	

FILE SEGMENT: Granted  
 PRIMARY EXAMINER: Peselev, Elli  
 LEGAL REPRESENTATIVE: Richardson, Peter C., Ginsburg, Paul H.  
 NUMBER OF CLAIMS: 68  
 EXEMPLARY CLAIM: 1  
 LINE COUNT: 2516

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to compounds of the formula I ##STR1## and to **pharmaceutically** acceptable salts thereof, wherein R.sup.1, R.sup.2, R.sup.3, Q, X, Y and Z are as defined herein. The invention also relates to **pharmaceutical** compositions containing the compounds of formula I, methods of using said compounds of formula I in the treatment of infections, and methods of preparing said compounds of formula I.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

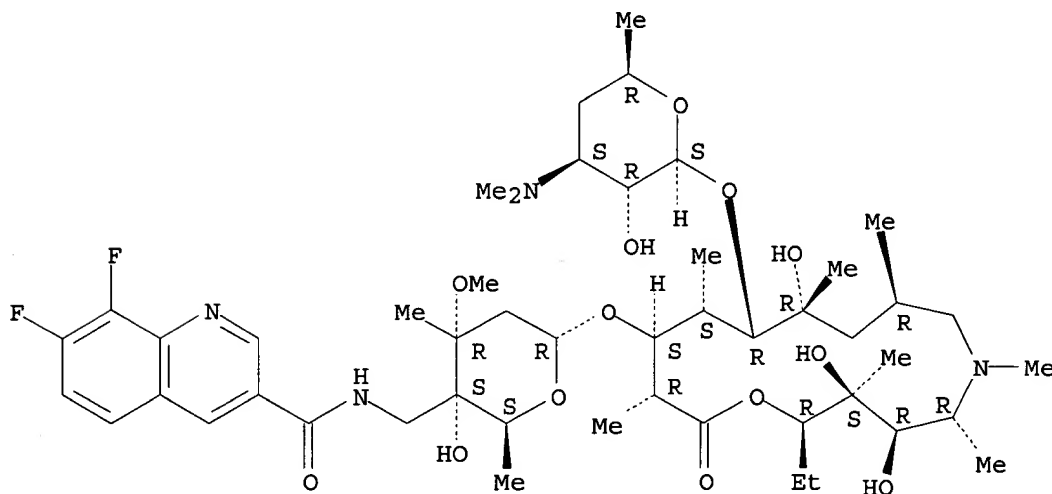
IT 262449-46-7P

(prepn. of erythromycin derivs. as antibacterial agents)

RN 262449-46-7 USPATFULL

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[[[2,6-dideoxy-4-C-[[[(7,8-difluoro-3-quinolinyl)carbonyl]amino]methyl]-3-C-methyl-3-O-methyl-.alpha.-L-ribo-hexopyranosyl]oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-.beta.-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 18 OF 152 USPATFULL

ACCESSION NUMBER: 2000:95031 USPATFULL

TITLE: 4-hydroxyquinoline-3-carboxamides and hydrazides as antiviral agents

INVENTOR(S): Tucker, John Alan, Kalamazoo, MI, United States  
 Vaillancourt, Valerie A., Kalamazoo, MI, United States  
 Strohbach, Joseph Walter, Mendon, MI, United States  
 Romines, Karen Rene, Paw Paw, MI, United States  
 Schnute, Mark E., Kalamazoo, MI, United States  
 Cudahy, Michele M., Kalamazoo, MI, United States  
 Thaisrivongs, Suvit, Kalamazoo, MI, United States

PATENT ASSIGNEE(S): Turner, Steven Ronald, Kalamazoo, MI, United States  
Pharmacia & Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6093732		20000725
APPLICATION INFO.:	US 1998-203259		19981201 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-68460	19971222 (60)
	US 1998-76717	19980304 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Davis, Zinna Northington	
LEGAL REPRESENTATIVE:	Yang, Lucy X.	
NUMBER OF CLAIMS:	17	
EXEMPLARY CLAIM:	1	
LINE COUNT:	8051	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides 4-hydroxyquinoline-3-carboxamide and hydrazide compounds of formula I ##STR1## These compounds are useful to treat or prevent the herpesviral infections, particularly, human cytomegaloviral infection.

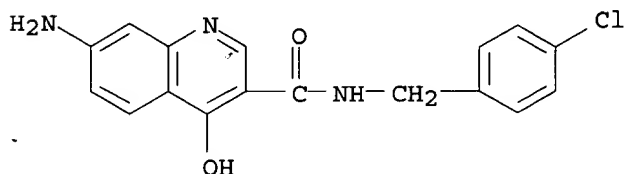
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 228725-34-6P, 7-Amino-N-[(4-chlorophenyl)methyl]-4-hydroxy-3-quinolinecarboxamide

(prepn. of 4-hydroxyquinoline-3-carboxamides and hydrazides as antiviral agents)

RN 228725-34-6 USPATFULL

CN 3-Quinolinecarboxamide, 7-amino-N-[(4-chlorophenyl)methyl]-4-hydroxy-(9CI) (CA INDEX NAME)



L17 ANSWER 19 OF 152 USPATFULL

ACCESSION NUMBER: 2000:54230 USPATFULL

TITLE: Quinoline sulfide derivatives

INVENTOR(S): Kawashima, Seiichiro, Tokyo, Japan

Terada, Sumio, Tokyo, Japan

Saito, Kenichi, Tokyo, Japan

Suzuki, Toshiaki, Tokyo, Japan

Sasahara, Hiroya, Tokyo, Japan

Kanda, Toshihisa, Tokyo, Japan

Inoue, Tsuneo, Tokyo, Japan

PATENT ASSIGNEE(S): Zenyaku Kogyo Kabushiki Kaisha, Tokyo, Japan (non-U.S. corporation)

NUMBER	KIND	DATE
-----		

09/919,347

PATENT INFORMATION: US 6057447 20000502  
WO 9804529 19980205  
APPLICATION INFO.: US 1999-147605 19990201 (9)  
WO 1997-JP2641 19970730  
19990201 PCT 371 date  
19990201 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1996-200466	19960730
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Seaman, D. Margaret	
LEGAL REPRESENTATIVE:	Oblon, Spivak, McClelland, Maier & Neustadt, P.C.	
NUMBER OF CLAIMS:	8	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1383	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is directed to quinoline sulfide derivatives with **antibacterial** activities selectively against Hp, obtained by reacting quinoline-4(1H)-thione derivatives with halides and represented by the formula I ##STR1## wherein R.sub.1 represents hydrogen or halogen atom, C.sub.1 -C.sub.6 alkoxy, C.sub.1 -C.sub.6 alkylthio or di C.sub.1 -C.sub.6 alkylamino; R.sub.2 and R.sub.3 respectively represent hydrogen atom or C.sub.1 -C.sub.6 alkyl; one of R.sub.4 and R.sub.5 represents hydroxyl group and the other represents hydrogen atom or CR.sub.4 R.sub.5 represents carbonyl; and m and n are integers, m being 1 or 2, n being 0 or 1.

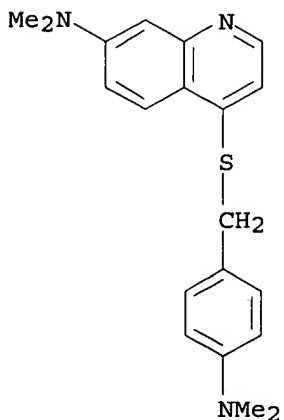
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 202814-41-3P

(prepn. of quinoline sulfide derivs. as selective antibacterial agents for Helicobacter pylori)

RN 202814-41-3 USPATFULL

CN 7-Quinolinamine, 4-[[[4-(dimethylamino)phenyl]methyl]thio]-N,N-dimethyl-(9CI) (CA INDEX NAME)



L17 ANSWER 20 OF 152 USPATFULL  
ACCESSION NUMBER: 2000:27982 USPATFULL  
TITLE: Trifluoromethylquinolinecarboxylic acid derivative  
INVENTOR(S): Kimura, Tomio, Tokyo, Japan

PATENT ASSIGNEE(S): Katsube, Tetsushi, Ube, Japan  
 Nishigaki, Takashi, Tokyo, Japan  
 Ube Industries, Ltd., Ube, United States (non-U.S. corporation)  
 Sankyo Company, Limited, Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6034086		20000307
APPLICATION INFO.:	US 1998-154464		19980916 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 776083		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1994-165126	19940718
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Clardy, S. Mark	
ASSISTANT EXAMINER:	Qazi, Sabiha N.	
LEGAL REPRESENTATIVE:	Frishauf, Holtz, Goodman, Langer & Chick, P.C.	
NUMBER OF CLAIMS:	25	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1040	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to a 8-trifluoromethylquinolinecarboxylic acid derivative represented by the following formula (I): ##STR1## (wherein R.sup.1 represents a lower alkyl group, a halogeno-lower alkyl group or a cycloalkyl group, R.sup.2 represents a phenyl group which may be substituted by R.sup.0, a 5-membered or 6-membered aromatic heteromonocyclic ring group containing 1 or 2 hetero atoms selected from N, O and S, which may be substituted by R.sup.0, or an aromatic heterocyclic fused ring group in which the said aromatic heteromonocyclic ring group and a benzene ring are fused, R.sup.0 represents a group selected from a halogen, a lower alkyl, a fluorine-substituted lower alkyl, a lower alkoxy or a lower alkylthio, R.sup.3 represents hydrogen or a lower alkyl group, and m represents an integer of 2 or 3.) or a **pharmaceutically** acceptable salt thereof or an ester thereof.

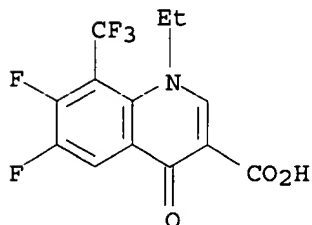
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 177360-65-5P

(prepn. of (trifluoromethyl)piperazinylquinolinecarboxylic acid derivs.)

RN 177360-65-5 USPATFULL

CN 3-Quinolinecarboxylic acid, 1-ethyl-6,7-difluoro-1,4-dihydro-4-oxo-8-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L17 ANSWER 21 OF 152 USPATFULL  
 ACCESSION NUMBER: 2000:24776 USPATFULL  
 TITLE: Optically active pyridonecarboxylic acid derivatives  
 INVENTOR(S): Hayakawa, Isao, Tokyo, Japan  
 Kimura, Youichi, Tokyo, Japan  
 PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Tokyo, Japan  
 (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6031102		20000229
APPLICATION INFO.:	US 1998-55127		19980406 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1995-477886, filed on 7 Jun 1995, now patented, Pat. No. US 5767127 which is a division of Ser. No. US 1993-142105, filed on 28 Oct 1993, now patented, Pat. No. US 5587386 which is a continuation of Ser. No. US 1990-610916, filed on 9 Nov 1990, now abandoned which is a continuation-in-part of Ser. No. US 1989-343567, filed on 27 Apr 1989, now abandoned		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1988-104625	19880427
	JP 1988-296984	19881124
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Shah, Mukund J.	
ASSISTANT EXAMINER:	Coleman, Brenda	
LEGAL REPRESENTATIVE:	Sughrue, Mion, Zinn Macpeak & Seas, PLLC	
NUMBER OF CLAIMS:	5	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2989	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB N.sub.1 -(1,2-cis-2-halogenocyclopropyl)-substituted pyridonecarboxylic acid derivatives represented by the following formula (I) the terms of which are defined in the specification and the salts thereof are disclosed: ##STR1## These compounds have patent **antibacterial** activities against a wide variety of infectious bacteria and are useful as **antibacterial** agents by oral or parenteral administration.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 127199-19-3P

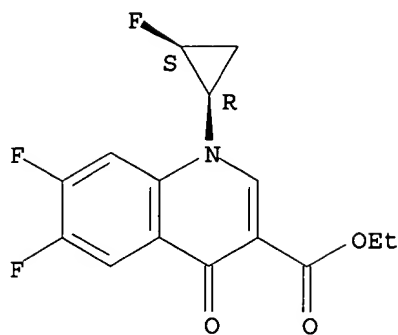
(prepn. and reaction of, in prepn. of microbicides)

RN 127199-19-3 USPATFULL

CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1-(2-fluorocyclopropyl)-1,4-dihydro-4-oxo-, ethyl ester, cis-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.





L17 ANSWER 22 OF 152 USPATFULL  
 ACCESSION NUMBER: 2000:24657 USPATFULL  
 TITLE: Lavendamycin analogs, quinoline-5,8-diones and methods of using them  
 INVENTOR(S): Behforouz, Mohammad, Muncie, IN, United States  
 Behforouz, Nancy C., Muncie, IN, United States  
 PATENT ASSIGNEE(S): Ball State University, Muncie, IN, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6030983		20000229
APPLICATION INFO.:	US 1997-962427		19971031 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1995-476213, filed on 7 Jun 1995, now patented, Pat. No. US 5712289 which is a continuation-in-part of Ser. No. US 1994-345509, filed on 28 Nov 1994, now patented, Pat. No. US 5646150 which is a continuation-in-part of Ser. No. US 1993-71648, filed on 4 Jun 1993, now patented, Pat. No. US 5525611		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Rotman, Alan L.		
LEGAL REPRESENTATIVE:	Brinks Hofer Gilson & Lione		
NUMBER OF CLAIMS:	12		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	3 Drawing Figure(s); 1 Drawing Page(s)		
LINE COUNT:	3180		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides novel lavendamycin analogs having the following general formula: ##STR1## and quinoline-5,8-diones having the following formula: ##STR2## Methods of making and using and compositions containing these compounds are also disclosed.

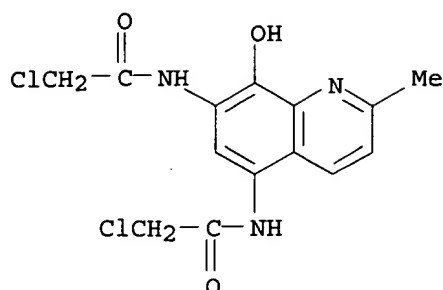
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 162219-43-4P

(prepn. of lavendamycin analogs and quinoline-5,8-diones for pharmaceutical uses, such as antitumor and anti-HIV agents)

RN 162219-43-4 USPATFULL

CN Acetamide, N,N'-(8-hydroxy-2-methyl-5,7-quinolinediyl)bis[2-chloro- (9CI)  
 (CA INDEX NAME)



L17 ANSWER 23 OF 152 USPATFULL  
 ACCESSION NUMBER: 2000:18455 USPATFULL  
 TITLE: Quinolonecarboxylic acid derivatives or salts thereof  
 INVENTOR(S): Todo, Yozo, Toyama, Japan  
 Hayashi, Kazuya, Uozu, Japan  
 Takahata, Masahiro, Imizu-gun, Japan  
 Watanabe, Yasuo, Toyama, Japan  
 Narita, Hirokazu, Toyama, Japan  
 PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6025370		20000215
	WO 9729102		19970814
APPLICATION INFO.:	US 1998-125016		19980810 (9)
	WO 1997-JP317		19970207
			19980810 PCT 371 date
			19980810 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1996-47936	19960209
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Seaman, D. Margaret	
LEGAL REPRESENTATIVE:	Oblon, Spivak, McClelland, Maier & Neustadt, P.C.	
NUMBER OF CLAIMS:	21	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1934	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a quinolone-carboxylic acid derivative represented by the general formula [1], or its salt: ##STR1## Of the compounds of the present invention, preferable are compounds in which R.sup.2 represents a substituted or unsubstituted cycloalkyl group; R.sup.3 represents at least one member selected from the group consisting of a hydrogen atom, a halogen atom, a substituted or unsubstituted lower alkyl or lower alkoxy group, and a protected or unprotected hydroxyl or amino group; R.sup.4 represents a hydrogen atom or a substituted or unsubstituted lower alkyl group; each of R.sup.5 and R.sup.6 represents a hydrogen atom; and A represents C--Y in which Y represents a halogen atom, a lower alkyl or lower alkoxy group which may be substituted by one or more halogen atoms, or a protected or unprotected hydroxyl group.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

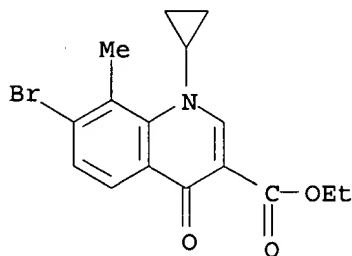
09/919,347

IT 194805-04-4P

(prepn. of quinolonecarboxylic acid derivs. as antibiotics)

RN 194805-04-4 USPATFULL

CN 3-Quinolonecarboxylic acid, 7-bromo-1-cyclopropyl-1,4-dihydro-8-methyl-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L17 ANSWER 24 OF 152 USPATFULL

ACCESSION NUMBER: 2000:10043 USPATFULL

TITLE: 7-isoindolinyl-quinolone derivatives and  
7-isoindolinyl-naphthyridone derivatives

INVENTOR(S): Philipps, Thomas, Cologne, Germany, Federal Republic of  
Bartel, Stephan, Bergisch Gladbach, Germany, Federal  
Republic of  
Krebs, Andreas, Odenthal, Germany, Federal Republic of  
Petersen, Uwe, Leverkusen, Germany, Federal Republic of  
Schenke, Thomas, Bergisch Gladbach, Germany, Federal  
Republic of  
Bremm, Klaus-Dieter, Wuppertal, Germany, Federal  
Republic of  
Endermann, Rainer, Wuppertal, Germany, Federal Republic  
of  
Metzger, Karl Georg, Wuppertal, Germany, Federal  
Republic of  
Mielke, Burkhard, Leverkusen, Germany, Federal Republic  
of  
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal  
Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6018054		20000125
APPLICATION INFO.:	US 1997-979751		19971126 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1996-649380, filed on 17 May 1996, now patented, Pat. No. US 5739339 which is a division of Ser. No. US 1993-119369, filed on 10 Sep 1993, now patented, Pat. No. US 5556979		

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1992-4230804	19920915
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Huang, Evelyn Mei	
LEGAL REPRESENTATIVE:	Sprung Kramer Schaefer & Briscoe	
NUMBER OF CLAIMS:	3	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2554	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to intermediate compounds for preparing novel quinolone derivatives- and naphthyridone derivatives which are substituted in the 7-position by a partially hydrogenated isoindoliny ring, to processes for their preparation and to **antibacterial** agents and feed additives containing them.

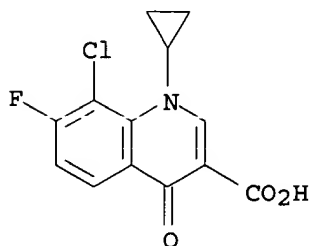
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 157373-06-3

(reaction of, in prepn. of isoindolinyquinolone)

RN 157373-06-3 USPATFULL

CN 3-Quinolinecarboxylic acid, 8-chloro-1-cyclopropyl-7-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 25 OF 152 USPATFULL

ACCESSION NUMBER: 1999:160052 USPATFULL

TITLE: Pyridonecarboxylic acid derivatives or their salts and **antibacterial** agent comprising the same as the active ingredient

INVENTOR(S): Yazaki, Akira, Hiroshima-ken, Japan  
 Niino, Yoshiko, Hiroshima-ken, Japan  
 Ohshita, Yoshihiro, Hiroshima-ken, Japan  
 Hirao, Yuzo, Hiroshima-ken, Japan  
 Amano, Hirotaka, Hiroshima-ken, Japan  
 Hayashi, Norihiro, Hiroshima-ken, Japan  
 Kuramoto, Yasuhiro, Hiroshima-ken, Japan

PATENT ASSIGNEE(S): Wakunaga Pharmaceuticals Co., Ltd., Osaka, Japan  
 (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5998436		19991207
	WO 9711068		19970327
APPLICATION INFO.:	US 1998-43472		19980320 (9)
	WO 1996-JP2710		19960920
			19980320 PCT 371 date
			19980320 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1995-269280	19950922
	JP 1996-178462	19960619
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Mach, D. Margaret	
LEGAL REPRESENTATIVE:	Birch, Stewart, Kolasch & Birch, LLP	
NUMBER OF CLAIMS:	11	

EXEMPLARY CLAIM: 1

LINE COUNT: 3409

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A pyridonecarboxylic acid derivative represented by the following general formula (1): ##STR1## [wherein R.sup.1 represents hydrogen atom or a carboxyl protective group; R.sup.2 represents hydroxyl group, a lower alkoxy group, or a substituted or unsubstituted amino group; R.sup.3 represents hydrogen atom or a halogen atom; R.sup.4 represents hydrogen atom or a halogen atom; R.sup.5 represents a halogen atom or an optionally substituted saturated cyclic amino group; R.sup.6 represents hydrogen atom, a halogen atom, nitro group, or an optionally protected amino group; X, Y and Z may be the same or different and respectively represent nitrogen atom, --CH.dbd. or --CR.sup.7 .dbd. (wherein R.sup.7 represents a lower alkyl group, a halogen atom, or cyano group) (with the proviso that at least one of X, Y and Z represent the nitrogen atom), and W represents nitrogen atom or --CR.sup.8 .dbd. (wherein R.sup.8 represents hydrogen atom, a halogen atom, or a lower alkyl group)] or its salt, as well as an **antibacterial agent** containing such compound are provided.

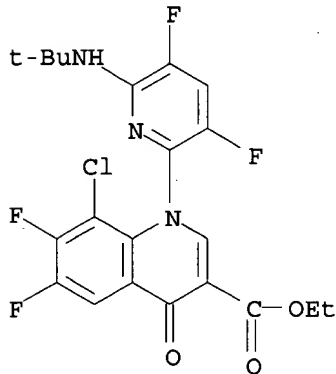
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 189279-47-8P

(properation of novel pyridonecarboxylic acid derivs. as antibacterial agents)

RN 189279-47-8 USPATFULL

CN 3-Quinolonecarboxylic acid, 8-chloro-1-[6-[(1,1-dimethylethyl)amino]-3,5-difluoro-2-pyridinyl]-6,7-difluoro-1,4-dihydro-4-oxo-, ethyl ester (9CI)  
(CA INDEX NAME)



L17 ANSWER 26 OF 152 USPATFULL

ACCESSION NUMBER: 1999:151225 USPATFULL

TITLE: Quinolone- and naphthyridonecarboxylic acid derivatives

INVENTOR(S): Petersen, Uwe, Leverkusen, Germany, Federal Republic of  
Schenke, Thomas, Bergisch Gladbach, Germany, Federal Republic of  
Jaetsch, Thomas, Koln, Germany, Federal Republic of  
Bartel, Stephan, Bergisch Gladbach, Germany, Federal Republic of  
Bremm, Klaus Dieter, Recklinghausen, Germany, Federal Republic of  
Endermann, Rainer, Wuppertal, Germany, Federal Republic of  
Metzger, Karl Georg, Wuppertal, Germany, Federal

PATENT ASSIGNEE(S): Republic of  
Bayer Aktiengesellschaft, Leverkusen, Germany, Federal  
Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5990122		19991123
APPLICATION INFO.:	US 1998-3613		19980107 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1996-764548, filed on 12 Dec 1996, now patented, Pat. No. US 5753669 which is a division of Ser. No. US 1995-508603, filed on 28 Jul 1995, now patented, Pat. No. US 5605910		

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1994-4427530	19940804
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Mach, D. Margaret M.	
LEGAL REPRESENTATIVE:	Sprung Kramer Schaefer & Briscoe	
NUMBER OF CLAIMS:	9	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1507	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to new quinolone- and naphthyridonecarboxylic acid derivatives which are substituted in the 7-position by a tricyclic amine radical, their salts, processes for their preparation and **antibacterial** compositions comprising these compounds.

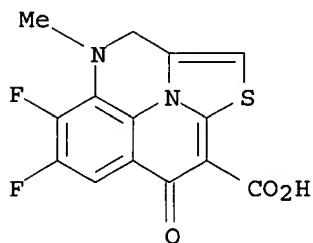
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 132305-96-5

(prepn. of quinolone- and naphthyridonecarboxylic acid-deriv. antibiotics)

RN 132305-96-5 USPATFULL

CN 8H-1-Thia-4,9b-diazacyclopenta[cd]phenalene-9-carboxylic acid, 5,6-difluoro-3,4-dihydro-4-methyl-8-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 27 OF 152 USPATFULL

ACCESSION NUMBER: 1999:146804 USPATFULL

TITLE: Quinolone- and naphthyridonecarboxylic acid derivatives

INVENTOR(S): Petersen, Uwe, Leverkusen, Germany, Federal Republic of  
Schenke, Thomas, Bergisch Gladbach, Germany, Federal Republic of  
Jaetsch, Thomas, Koln, Germany, Federal Republic of  
Bartel, Stephan, Bergisch Gladbach, Germany, Federal Republic of  
Bremm, Klaus Dieter, Recklinghausen, Germany, Federal

Republic of  
 Endermann, Rainer, Wuppertal, Germany, Federal Republic  
 of  
 Metzger, Karl Georg, Wuppertal, Germany, Federal  
 Republic of  
 PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal  
 Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5986105		19991116
APPLICATION INFO.:	US 1998-196971		19981120 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1998-3613, filed on 7 Jan 1998 which is a division of Ser. No. US 1996-764548, filed on 12 Dec 1996, now patented, Pat. No. US 5753669 which is a division of Ser. No. US 1995-508603, filed on 28 Jul 1995, now patented, Pat. No. US 5605910		

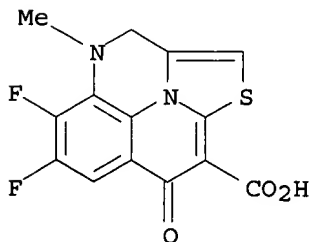
	NUMBER	DATE
PRIORITY INFORMATION:	DE 1994-4427530	19940804
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Mach, D. Margaret	
LEGAL REPRESENTATIVE:	Sprung Kramer Schaefer & Briscoe	
NUMBER OF CLAIMS:	1	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1469	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to new quinolone- and naphthyridonecarboxylic acid  
 derivatives which are substituted in the 7-position by a tricyclic amine  
 radical, their salts, processes for their preparation and  
**antibacterial** compositions comprising these compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 132305-96-5  
 (prepn. of quinolone- and naphthyridonecarboxylic acid-deriv.  
 antibiotics)  
 RN 132305-96-5 USPATFULL  
 CN 8H-1-Thia-4,9b-diazacyclopenta[cd]phenalene-9-carboxylic acid,  
 5,6-difluoro-3,4-dihydro-4-methyl-8-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 28 OF 152 USPATFULL  
 ACCESSION NUMBER: 1999:137547 USPATFULL  
 TITLE: Support for synthesis and purification of compounds  
 INVENTOR(S): DeWitt, Sheila Helen, Stockton, NJ, United States  
 Ramage, Robert, Scotland, United Kingdom

MacDonald, Alasdair Arthur, San Mateo, CA, United States  
PATENT ASSIGNEE(S): Warner-Lambert Company, Morris Plains, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5977400		19991102
APPLICATION INFO.:	US 1998-48166		19980325 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-41618	19970327 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Achutamurthy, Ponnathapura	
LEGAL REPRESENTATIVE:	Tinney, Francis J.	
NUMBER OF CLAIMS:	4	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	1 Drawing Figure(s); 1 Drawing Page(s)	
LINE COUNT:	1079	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method for the preparation and purification of compounds using a novel support, a tetrabenzo[a,c,g,i]fluorene group (Tbf) comprising reacting a building block (A) containing a Tbf group (Tbf-A), with a second building block (B), to afford an intermediate compound (Tbg-A-B) followed by purifying the intermediate compound by adsorption on a carbon support, removing the intermediate compound from the support with a solvent and repeating the previous reactions using the required number of building blocks to synthesize the compounds followed by removal of the Tbf group to afford the desired compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

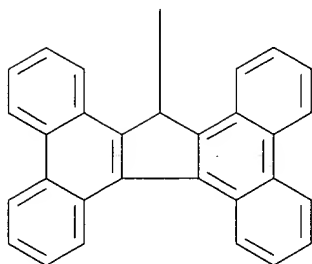
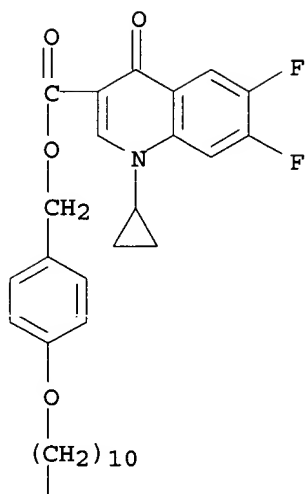
IT 219532-25-9P

(prepn. of tetrabenzo[a,c,g,i]fluorene derivs. as supports for synthesis and purifn. of compds. or library of compds.)

RN 219532-25-9 USPATFULL

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxo-, [4-[[[10-(17H-cyclopenta[1,2-l:3,4-l']diphenanthren-17-yl)decyl]oxy]phenyl]methyl ester (9CI) (CA INDEX NAME)





L17 ANSWER 29 OF 152 USPATFULL  
 ACCESSION NUMBER: 1999:92668 USPATFULL  
 TITLE: Quinolone- or naphthylidone-carboxylic acid derivatives or their salts  
 INVENTOR(S): Todo, Yozo, Toyama, Japan  
 Hayashi, Kazuya, Uozu, Japan  
 Tadahata, Masahiro, Imizu-Gun, Japan  
 Watanabe, Yasuo, Toyama, Japan  
 Narita, Hirokazu, Toyama, Japan  
 PATENT ASSIGNEE(S): Toyama Chemical Co., LTD., Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5935952		19990810

APPLICATION INFO.:	WO 9605192	19960222
	US 1997-776711	19970212 (8)
	WO 1995-JP1551	19950804
		19970212 PCT 371 date
		19970212 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1994-212083	19940812
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Huang, Evelyn	
LEGAL REPRESENTATIVE:	Oblon, Spivak, McClelland, Maier & Neustadt, P.C.	
NUMBER OF CLAIMS:	15	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2694	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to a novel quinolone- or naphthyridone-carboxylic acid derivative or its salt useful as an **antibacterial** agent, said derivative has a substituent represented by the following formula at the 7 position: ##STR1## wherein preferably R.sup.3 represents at least one member selected from the group consisting of a hydrogen atom, a halogen atom, a substituted or unsubstituted lower alkyl, lower alkoxy or lower alkylthio group, a nitro group, a cyano group, a protected or unprotected hydroxyl group and a protected or unprotected amino group; R.sup.4 represents a hydrogen atom, a substituted or unsubstituted lower alkyl group, a lower alkylidene group and a group forming a cycloalkane ring together with the carbon atom to which R.sup.4 is bonded; and R.sup.5 represents a hydrogen atom or a substituted or unsubstituted lower alkyl or cycloalkyl group.

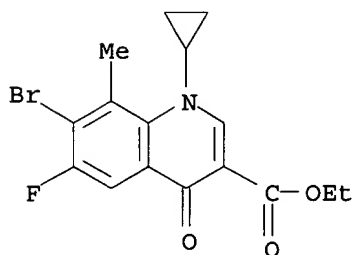
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 178445-10-8P

(prepn. of quinolone- or naphthyridonecarboxylic acid derivs. and salts as antibacterial agents)

RN 178445-10-8 USPATFULL

CN 3-Quinolonecarboxylic acid, 7-bromo-1-cyclopropyl-6-fluoro-1,4-dihydro-8-methyl-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L17 ANSWER 30 OF 152 USPATFULL

ACCESSION NUMBER: 1999:65254 USPATFULL

TITLE: Pyridonecarboxylic acid derivatives or salts thereof and **antibacterial** agents comprising the same as active ingredient

INVENTOR(S): Yazaki, Akira, Hiroshima, Japan  
Yoshida, Jiro, Hiroshima, Japan

Niino, Yoshiko, Hiroshima, Japan  
 Ohshita, Yoshihiro, Hiroshima, Japan  
 Hayashi, Norihiro, Hiroshima, Japan  
 Amano, Hirotaka, Hiroshima, Japan  
 Hirao, Yuzo, Hiroshima, Japan  
 Kuramoto, Yasuhiro, Hiroshima, Japan  
 PATENT ASSIGNEE(S): Wakunaga Seiyaku Kabushiki Kaisha, Osaka, Japan  
 (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5910498		19990608
	WO 9612704		19960502
APPLICATION INFO.:	US 1997-817603		19970708 (8)
	WO 1995-JP2156		19951020
			19970708 PCT 371 date
			19970708 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1994-255046	19941020
	JP 1995-12673	19950130
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Dentz, Bernard	
LEGAL REPRESENTATIVE:	Birch, Stewart, Kolasch & Birch, LLP	
NUMBER OF CLAIMS:	12	
EXEMPLARY CLAIM:	1	
LINE COUNT:	4322	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides a pyridonecarboxylic acid derivative of the following general formula (1): ##STR1## wherein R.sup.1 is a hydrogen atom or carboxy protecting group, R.sup.2 is a nitro or substituted or unsubstituted amino group, R.sup.3 is a halogen atom, each of R.sup.4 and R.sup.5, which may be the same or different, is a hydrogen atom, halogen atom, lower alkyl group or lower alkoxy group, A is a nitrogen atom or --CX.dbd. wherein X is a hydrogen atom, halogen atom, lower alkyl group or lower alkoxy group, and Z is a halogen atom or a saturated cyclic amino group which may have a substituent, or a salt thereof and an **antibacterial** agent comprising the same.

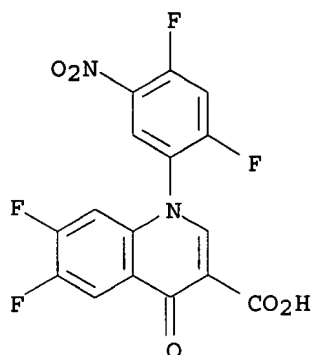
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 179739-27-6P

(prepn. of novel quinoline- and naphthyridinecarboxylate derivs. as antibacterial agents)

RN 179739-27-6 USPATFULL

CN 3-Quinolinecarboxylic acid, 1-(2,4-difluoro-5-nitrophenyl)-6,7-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 31 OF 152 USPATFULL  
 ACCESSION NUMBER: 1999:40426 USPATFULL  
 TITLE: Method of inhibiting cell adhesion  
 INVENTOR(S): Miyake, Akio, Hirakata, Japan  
 Nakamura, Masahira, Kashiba-cho, Japan  
 Fukushima, Hideto, Osaka, Japan  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Osaka, Japan  
 (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5889009		19990330
APPLICATION INFO.:	US 1997-931453		19970917 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1996-608697, filed on 29 Feb 1996, now patented, Pat. No. US 5703081 which is a division of Ser. No. US 1994-207091, filed on 8 Mar 1994, now patented, Pat. No. US 5519024		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1993-47917	19930309
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Dentz, Bernard	
LEGAL REPRESENTATIVE:	Wenderoth, Lind & Ponack, L.L.P.	
NUMBER OF CLAIMS:	11	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1844	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A composition comprises a 1,7-disubstituted-4-oxo-3 -quinolinecarboxylic acid or 1,7-disubstituted-4-oxo-3 -naphthyridinecarboxylic acid derivative which is useful as a prophylactic and/or therapeutic agent for peripheral arterial obstruction, acute myocardial infarction, an antitumor agent, and as a prophylactic and/or therapeutic agent for osteoporosis.

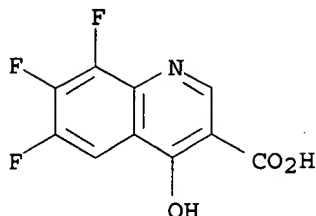
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 151391-68-3

(oxoquinolinecarboxylic acid derivs., oxonaphthyridinecarboxylic acid derivs., their prepn., and their use as cell adhesion inhibitors)

RN 151391-68-3 USPATFULL

CN 3-Quinolinecarboxylic acid, 6,7,8-trifluoro-4-hydroxy- (9CI) (CA INDEX NAME)



L17 ANSWER 32 OF 152 USPATFULL  
 ACCESSION NUMBER: 1999:4683 USPATFULL  
 TITLE: Quinoline carboxylic acid  
 INVENTOR(S): Ito, Yasuo, Fukui, Japan  
 Kato, Hideo, Fukui, Japan  
 Yasuda, Shingo, Fukui, Japan  
 Kada, Noriyuki, Fukui, Japan  
 Yoshida, Toshihiko, Fukui, Japan  
 Yamamoto, Yoichi, Ishikawa, Japan  
 PATENT ASSIGNEE(S): Hokuriku Seiyaku Co., Ltd., Fukui, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5859026		19990112
	WO 9622988		19960801
APPLICATION INFO.:	US 1997-860469		19970709 (8)
	WO 1995-JP2614		19951220
			19970709 PCT 371 date
			19970709 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1995-27270	19950124
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Shah, Mukund J.	
ASSISTANT EXAMINER:	Sripada, Pavanaram K.	
LEGAL REPRESENTATIVE:	Greenblum & Bernstein, P.L.C.	
NUMBER OF CLAIMS:	6	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1180	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB 5-Amino-7-((3S,4S)-3-amino-4-methyl (or ethyl)-1-pyrrolidinyl)-1-cyclopropyl-6-fluoro-1,4-dihydro-8-methyl-4-oxoquinoline-3-carboxylic acid or a **pharmacologically** acceptable salt thereof represented by the following formula wherein asymmetric carbon atoms marked with asterisks are in the S-configurations and R.sup.1 represents methyl group or ethyl group; and an **antibacterial** agent comprising said compound as an active ingredient. ##STR1##

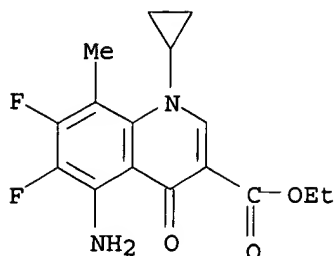
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 167888-37-1P

(prepn. of quinolinecarboxylic acid derivs. as medical bactericides)

RN 167888-37-1 USPATFULL

CN 3-Quinolinecarboxylic acid, 5-amino-1-cyclopropyl-6,7-difluoro-1,4-dihydro-8-methyl-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L17 ANSWER 33 OF 152 USPATFULL  
 ACCESSION NUMBER: 1998:162505 USPATFULL  
 TITLE: Pyrido[3,2,1-I,J][3,1]benzoxazine derivatives  
 INVENTOR(S): Hallenbach, Werner, Monheim, Germany, Federal Republic of  
 Himmeler, Thomas, Odenthal, Germany, Federal Republic of  
 Jaetsch, Thomas, Koln, Germany, Federal Republic of  
 Mielke, Burkhard, Leverkusen, Germany, Federal Republic of  
 Bremm, Klaus Dieter, Recklinghausen, Germany, Federal Republic of  
 Endermann, Rainer, Wuppertal, Germany, Federal Republic of  
 Pirro, Franz, Langenfeld, Germany, Federal Republic of  
 Stegemann, Michael, Shawnee Mission, KS, United States  
 Wetzstein, Heinz-Georg, Koln, Germany, Federal Republic of  
 PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5854241		19981229
	WO 9601829		19960125
APPLICATION INFO.:	US 1997-765212		19970103 (8)
	WO 1995-EP2510		19950628
			19970103 PCT 371 date
			19970103 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1994-4424369	19940711
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Clardy, S. Mark	
ASSISTANT EXAMINER:	Qazi, Sabiha N.	
LEGAL REPRESENTATIVE:	Sprung Kramer Schaefer & Briscoe	
NUMBER OF CLAIMS:	7	
EXEMPLARY CLAIM:	1	
LINE COUNT:	620	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are pyrido[3,2,1-i,j][3,1]benzoxazine compounds of the formula (I): ##STR1## wherein z represents a radical having the formula: ##STR2## wherein B represents --CH.sub.2--, --O-- or a direct bond; and the other variables in formula (I) and Z are as described herein. The compounds have **antibacterial** properties and also disclosed are

**antibacterial** compositions containing them and methods of using them to prevent or combat bacterial infections. Methods for preparing the compounds are also disclosed.

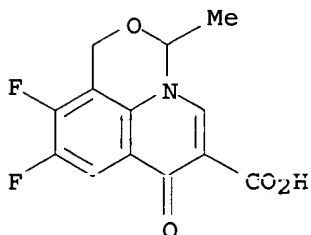
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 130305-30-5

(prepn. of pyrido[3,2,1-i,j][3,1]benzoxazines as bactericides)

RN 130305-30-5 USPATFULL

CN 1H,3H,7H-Pyrido[3,2,1-i,j][3,1]benzoxazine-6-carboxylic acid,  
9,10-difluoro-3-methyl-7-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 34 OF 152 USPATFULL

ACCESSION NUMBER: 1998:157359 USPATFULL

TITLE: Pyridonecarboxylic acid derivatives substituted by a bicyclic amino group as **antibacterials**

INVENTOR(S): Takemura, Makoto, Tokyo, Japan  
Kimura, Youichi, Tokyo, Japan  
Kawakami, Katsuhiko, Tokyo, Japan  
Kimura, Kenichi, Tokyo, Japan  
Ohki, Hitoshi, Tokyo, Japan  
Matsushashi, Norikazu, Tokyo, Japan  
Kawato, Haruko, Tokyo, Japan

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Tokyo, Japan  
(non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5849757		19981215
	WO 9623782		19960808
APPLICATION INFO.:	US 1997-875678		19970804 (8)
	WO 1996-JP208		19960201
			19970804 PCT 371 date
			19970804 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1995-15614	19950202
	JP 1995-19478	19950207
	JP 1995-19481	19950207

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Shah, Mukund J.  
ASSISTANT EXAMINER: Kifle, Bruck  
LEGAL REPRESENTATIVE: Sughrue, Mion, Zinn, Macpeak & Seas, PLLC  
NUMBER OF CLAIMS: 7  
EXEMPLARY CLAIM: 1  
LINE COUNT: 3655

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to a N.sub.1 - (halogenocyclopropyl)-substituted pyridonecarboxylic acid derivative represented by the following formula (I): ##STR1## wherein X.sup.1 is a halogen atom or a hydrogen atom; X.sup.2 is a halogen atom; R.sup.1 is a hydrogen atom, a hydroxyl group, a thiol group, a halogenomethyl group, an amino group, an alkyl group or an alkoxy group which may have a substituent group; R.sup.2 is a group represented by the following formula (II): ##STR2## wherein R.sup.3 and R.sup.4 are independently a hydrogen atom or an alkyl group and n is an integer of 1 or 2; A is a nitrogen atom or a partial structure of the following formula (III): ##STR3## wherein X.sup.3 is a hydrogen atom, a halogen atom, a cyano group, an amino group, an alkyl group, a halogenomethyl group, an alkoxyl group or a halogenomethoxyl group which may have a substituent group; and R is a hydrogen atom, a phenyl group, an acetoxymethyl group, a pivaloyloxymethyl group, an ethoxycarbonyl group, a choline group, a dimethylaminoethyl group, a 5-indanyl group, a phthalidynyl group, a 5-alkyl-2-oxo-1,3-dioxol-4-ylmethyl group, a 3-acetoxy-2-oxobutyl group, an alkyl group, an alkoxymethyl group or a phenylalkyl group, and provides a heterocyclic compound useful as **antibacterial drugs**.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

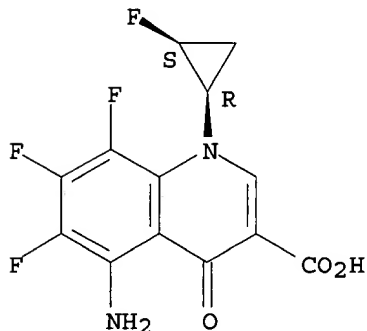
IT 127199-34-2

(prepn. of heterocyclic compds. as medical bactericides)

RN 127199-34-2 USPATFULL

CN 3-Quinolonecarboxylic acid, 5-amino-6,7,8-trifluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 35 OF 152 USPATFULL

ACCESSION NUMBER: 1998:150970 USPATFULL

TITLE: Symmetrical bis-heteroarylmethoxy-phenylalkyl carboxylates as inhibitors of leukotriene biosynthesis

INVENTOR(S): Brooks, Clint D. W., Libertyville, IL, United States  
 Bhatia, Pramila, Libertyville, IL, United States  
 Kolasa, Teodozyj, Lake Villa, IL, United States  
 Stewart, Andrew O., Libertyville, IL, United States  
 Gunn, David E., Hamden, CT, United States  
 Craig, Richard A., Racine, WI, United States  
 PATENT ASSIGNEE(S): Abbott Laboratories, Abbott Park, IL, United States (U.S. corporation)

NUMBER	KIND	DATE
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PATENT INFORMATION: US 5843968 19981201  
 APPLICATION INFO.: US 1997-958301 19971027 (8)  
 RELATED APPLN. INFO.: Division of Ser. No. US 1996-703441, filed on 17 Sep 1996

	NUMBER	DATE
PRIORITY INFORMATION:	US 1995-4706	19951003 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Chang, Ceila	
ASSISTANT EXAMINER:	Mach, Margaret M.	
LEGAL REPRESENTATIVE:	Pope, Lawrence S.	
NUMBER OF CLAIMS:	14	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1863	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds having the formula: ##STR1## wherein W is the same at each occurrence and is selected from optionally substituted quinolyl, optionally substituted benzothiazolyl, optionally substituted benzoxazolyl, optionally substituted benzimidazolyl, optionally substituted quinoxalyl, optionally substituted pyridyl, optionally substituted pyrimidyl, and optionally substituted thiazolyl; R<sup>sup.1</sup> and R<sup>sup.2</sup> are independently selected from hydrogen, alkyl, halolalkyl, alkoxy, halogen; R<sup>sup.3</sup> is a valence bond or is selected from hydrogen and alkyl; X is a valence bond or is selected from alkylene, alkenylen, and alkynylene; and Z is selected from (a) COM, (b) CH.dbd.N--O--A--COM, (c) CH.sub.2 --O--N.dbd.A--COM wherein A is selected from alkylene and cycloalkylene, and M is selected from (a) a **pharmaceutically** acceptable metabolically cleavable group, (b) --OR<sup>sup.6</sup>, (c) --NR<sup>sup.7</sup> R<sup>sup.8</sup>, (d) --NR<sup>sup.6</sup> SO.sub.2 R<sup>sup.9</sup>, (e) --NH-Tetrazolyl, and (f) glycinyln inhibit leukotriene biosynthesis and are useful in the treatment of allergic and inflammatory disease states. Also disclosed are leukotriene biosynthesis inhibiting compositions and a method of inhibiting leukotriene biosynthesis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

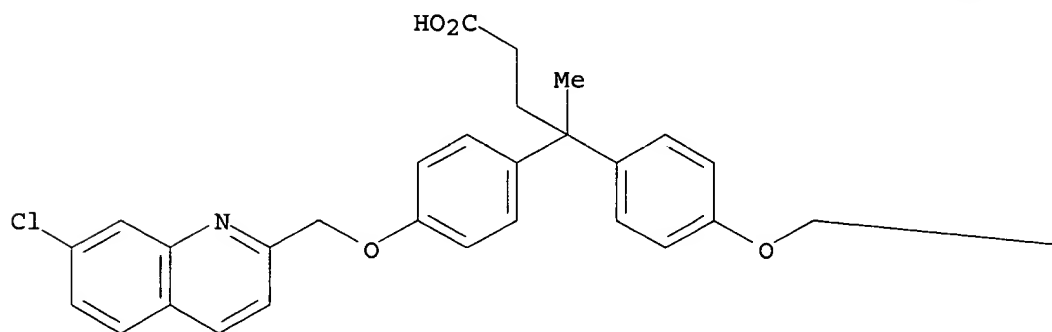
IT 189498-65-5P

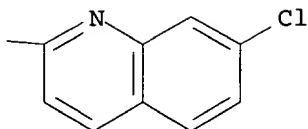
(prepn. of sym. bis[(heteroaryl)methoxy]phenyl]alkanoic acid derivs. as inhibitors of leukotriene biosynthesis)

RN 189498-65-5 USPATFULL

CN Benzenebutanoic acid, 4-[(7-chloro-2-quinolinyl)methoxy]-.gamma.-[4-[(7-chloro-2-quinolinyl)methoxy]phenyl]-.gamma.-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A





L17 ANSWER 36 OF 152 USPATFULL  
 ACCESSION NUMBER: 1998:98924 USPATFULL  
 TITLE: Symmetrical bis-heteroaryl-methoxy-phenylalkyl  
 carboxylates as inhibitors of leukotriene biosynthesis  
 INVENTOR(S): Brooks, Clint D., Libertyville, IL, United States  
 Bhatia, Pramila, Libertyville, IL, United States  
 Kolasa, Teodozyj, Lake Villa, IL, United States  
 Stewart, Andrew O., Libertyville, IL, United States  
 Gunn, David E., Hamden, CT, United States  
 Craig, Richard A., Racine, WI, United States  
 PATENT ASSIGNEE(S): Abbott Laboratories, Abbott Park, IL, United States  
 (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5795900		19980818
APPLICATION INFO.:	US 1996-703441		19960917 (8)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1995-4706	19951003 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Ivy, C. Warren	
ASSISTANT EXAMINER:	Mach, D. Margaret M.	
LEGAL REPRESENTATIVE:	Yang, Frank Z.	
NUMBER OF CLAIMS:	16	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1865	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds having the formula: ##STR1## wherein W is the same at each occurrence and is selected from optionally substituted quinolyl, optionally substituted benzothiazolyl, optionally substituted benzoxazolyl, optionally substituted benzimidazolyl, optionally substituted quinoxalyl, optionally substituted pyridyl, optionally substituted pyrimidyl, and optionally substituted thiazolyl; R<sup>sup.1</sup> and R<sup>sup.2</sup> are independently selected from hydrogen, alkyl, haloalkyl, alkoxy, halogen; R<sup>sup.3</sup> is a valence bond or is selected from hydrogen and alkyl; X is a valence bond or is selected from alkylene, alkenylene, and alkynylene; and Z is selected from (a) COM, (b) CH.dbd.N--O--A--COM,

(c) CH.sub.2 --O--N.dbd.A--COM wherein A is selected from alkylene and cycloalkylene, and M is selected from (a) a **pharmaceutically** acceptable metabolically cleavable group, (b) --OR.sup.6, (c) --NR.sup.7 R.sup.8, (d) --NR.sup.6 SO.sub.2 R.sup.9, (e) -NH-Tetrazolyl, and (f) glycyl inhibit leukotriene biosynthesis and are useful in the treatment of allergic and inflammatory disease states. Also disclosed are leukotriene biosynthesis inhibiting compositions and a method of inhibiting leukotriene biosynthesis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

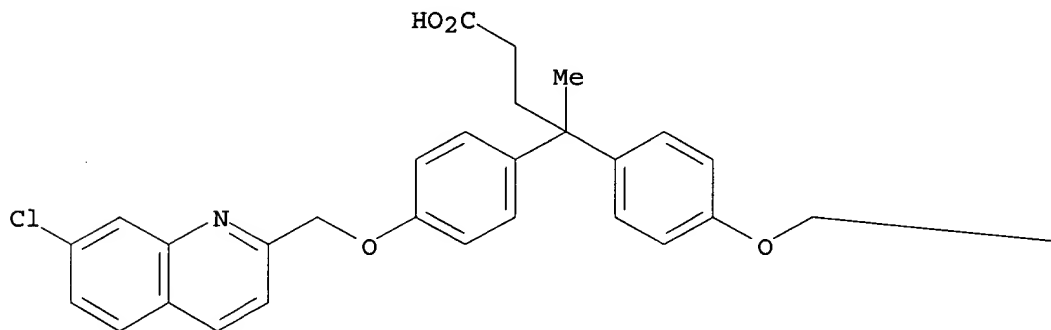
IT **189498-65-5P**

(prepn. of sym. bis[(heteroarylmethoxy)phenyl]alkanoic acid derivs. as inhibitors of leukotriene biosynthesis)

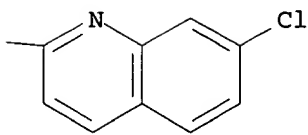
RN 189498-65-5 USPATFULL

CN Benzenebutanoic acid, 4-[(7-chloro-2-quinolinyl)methoxy]-.gamma.-[4-[(7-chloro-2-quinolinyl)methoxy]phenyl]-.gamma.-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



L17 ANSWER 37 OF 152 USPATFULL

ACCESSION NUMBER: 1998:86074 USPATFULL

TITLE: Intermediate compounds for the preparation of new quinolone-and naphthyridonecarboxylic acid derivatives  
INVENTOR(S): Petersen, Uwe, Leverkusen, Germany, Federal Republic of  
Ruther, Michael, Monheim, Germany, Federal Republic of  
Schenke, Thomas, Bergisch Gladbach, Germany, Federal

Republic of  
 Bremm, Klaus Dieter, Recklinghausen, Germany, Federal  
 Republic of  
 Endermann, Rainer, Wuppertal, Germany, Federal Republic  
 of  
 PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal  
 Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5783708		19980721
APPLICATION INFO.:	US 1997-914584		19970818 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1996-583685, filed on 5 Jan 1996, now patented, Pat. No. US 5703094		

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1995-19500792	19950113
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Huang, Evelyn	
LEGAL REPRESENTATIVE:	Sprung Kramer Schaefer & Briscoe	
NUMBER OF CLAIMS:	9	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1300	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to new intermediate compounds for preparation of new quinolone- and naphthyridonecarboxylic acid derivatives which are substituted in the 7-position by an unsaturated bicyclic amine radical, their salts, processes for their preparation and **antibacterial** compositions comprising these compounds.

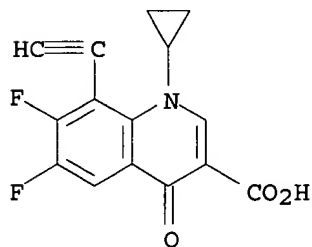
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 147459-28-7

(prepn. of quinolone- and naphthyridonecarboxylic acid antibiotics)

RN 147459-28-7 USPATFULL

CN 3-Quinolonecarboxylic acid, 1-cyclopropyl-8-ethynyl-6,7-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 38 OF 152 USPATFULL

ACCESSION NUMBER: 1998:75595 USPATFULL

TITLE: Thioquinolone compounds which have useful **pharmaceutical** activity

INVENTOR(S): Konishi, Masataka, Ohizumi-machi, Japan  
 Fukuda, Naoki, Ohizumi-machi, Japan  
 Oku, Yukio, Ohizumi-machi, Japan

Yamazaki, Hiroaki, Ohizumi-machi, Japan  
 Imaizumi, Kazuhiro, Ohizumi-machi, Japan  
 Kobayashi, Hideshi, Ohizumi-machi, Japan  
 PATENT ASSIGNEE(S): Zenyaku Kogyo Kabushiki Kaisha, Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5773449		19980630
	WO 9611187		19960418
APPLICATION INFO.:	US 1997-809722		19970407 (8)
	WO 1995-JP2052		19951006
			19970407 PCT 371 date
			19970407 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1994-244348	19941007
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Davis, Zinna Northington	
LEGAL REPRESENTATIVE:	Oblon, Spivak, McClelland, Maier & Neustadt, P.C.	
NUMBER OF CLAIMS:	20	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1328	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 AB Disclosed is a thioquinolone derivative which exhibits highly selective **antibacterial** activity against *Helicobacter pylori*.

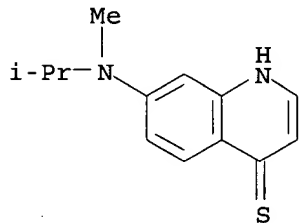
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 178983-89-6P

(prepn. of thioquinolone derivs. as antibacterial agents)

RN 178983-89-6 USPATFULL

CN 4(1H)-Quinolinethione, 7-[methyl(1-methylethyl)amino]- (9CI) (CA INDEX NAME)



L17 ANSWER 39 OF 152 USPATFULL

ACCESSION NUMBER: 1998:72622 USPATFULL

TITLE: Quinolone derivatives and processes for preparing the same

INVENTOR(S): Kim, Wan Joo, Daejeon, Korea, Republic of  
 Park, Tae Ho, Daejeon, Korea, Republic of  
 Kim, Moon Hwan, Daejeon, Korea, Republic of  
 Kim, Bong Jin, Daejeon, Korea, Republic of  
 Pearson, Neil D., Surrey, England

PATENT ASSIGNEE(S): Korea Research Institute of Chemical Technology,  
 Daejeon, Korea, Republic of (non-U.S. corporation)  
 Smithkline Beecham P.L.C., Brentford, United Kingdom

(non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5770597		19980623
	WO 9415938		19940721
APPLICATION INFO.:	US 1995-492086		19951011 (8)
	WO 1994-KR5		19940118
			19951011 PCT 371 date
			19951011 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	KR 1993-543	19930118
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Dentz, Bernard	
LEGAL REPRESENTATIVE:	Baker & Botts, L.L.P.	
NUMBER OF CLAIMS:	5	
EXEMPLARY CLAIM:	1	
LINE COUNT:	799	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to quinoline derivatives substituted in the 7-position by a trans-2,8-diazabicyclo[4.3.0]nonan-8-yl group having a broad **antibacterial** spectrum and to processes for preparing the same.

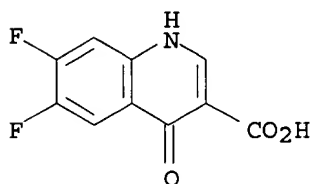
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 144216-11-5

(reaction of, in prepn. of quinoline-deriv. antibiotics)

RN 144216-11-5 USPATFULL

CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 40 OF 152 USPATFULL  
 ACCESSION NUMBER: 1998:69045 USPATFULL  
 TITLE: Optically active pyridonecarboxylic acid derivatives  
 INVENTOR(S): Hayakawa, Isao, Tokyo, Japan  
 Kimura, Youichi, Tokyo, Japan  
 PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Tokyo, Japan  
 (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5767127		19980616
APPLICATION INFO.:	US 1995-477886		19950607 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1993-142105, filed on 28 Oct 1993, now patented, Pat. No. US 5587386 which is a continuation of Ser. No. US 1990-610916, filed on 9 Nov		

1990, now abandoned which is a continuation-in-part of  
Ser. No. US 1989-343567, filed on 27 Apr 1989, now  
abandoned

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1988-104625	19880427
	JP 1988-296984	19881124
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Grumblin, Matthew V.	
LEGAL REPRESENTATIVE:	Sughrue, Mion, Zinn, Macpeak & Seas, PLLC	
NUMBER OF CLAIMS:	27	
EXEMPLARY CLAIM:	1	
LINE COUNT:	3088	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB N.sub.1 -(1,2-cis-2-halogenocyclopropyl)-substituted pyridonecarboxylic acid derivatives represented by formula (I) and the salts thereof are disclosed. These compounds have patent **antibacterial** activities against a wide variety of infectious bacteria, and are useful as **antibacterial** agents by oral or parenteral administration.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

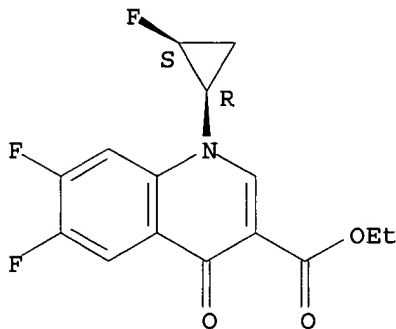
IT 127199-19-3P

(prepn. and reaction of, in prepn. of microbicides)

RN 127199-19-3 USPATFULL

CN 3-Quinolonecarboxylic acid, 6,7-difluoro-1-(2-fluorocyclopropyl)-1,4-dihydro-4-oxo-, ethyl ester, cis-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.



L17 ANSWER 41 OF 152 USPATFULL

ACCESSION NUMBER: 1998:65232 USPATFULL

TITLE: Substituted benzyl pyrimidines

INVENTOR(S): Guerri, Philippe, Binningen, Switzerland

Jolidon, Synese, Blauen, Switzerland

Masciadri, Raffaello, Basel, Switzerland

Stalder, Henri, Basel, Switzerland

Then, Rudolf, Weil am Rhein, Germany, Federal Republic of

PATENT ASSIGNEE(S): Hoffmann-La Roche Inc., Nutley, NJ, United States (U.S. corporation)

NUMBER	KIND	DATE
-----		

09/919,347

PATENT INFORMATION: US 5763450 19980609  
WO 9616046 19960530  
APPLICATION INFO.: US 1997-836857 19970521 (8)  
WO 1995-EP4451 19951113  
19970521 PCT 371 date  
19970521 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	CH 1994-3536	19941124
	CH 1995-2704	19950925
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Gupta, Yogendra N.	
LEGAL REPRESENTATIVE:	Johnston, George W., Epstein, William H., Parise, John P.	
NUMBER OF CLAIMS:	36	
EXEMPLARY CLAIM:	1	
LINE COUNT:	4128	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of the formula: ##STR1## in which R.sup.1 is lower alkoxy, R.sup.2 is bromine or lower alkoxy, and R.sup.3 is aryl, heteroaryl or a group --Q--R.sup.30, wherein Q is ethylene, vinylene or ethynylene and R.sup.30 is aryl, heteroaryl, lower alkoxycarbonyl or carbamoyl;

hydrolyzable esters of carboxylic acids of formula I; and  
**pharmaceutically** acceptable salts of these compounds are useful for treating infectious diseases.

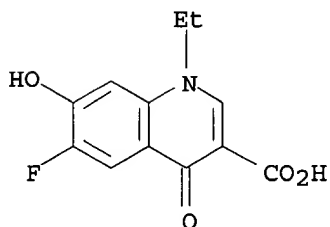
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 126093-18-3P

(intermediate; prepn. of novel benzylpyrimidines as antibacterials)

RN 126093-18-3 USPATFULL

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-hydroxy-4-oxo-  
(9CI) (CA INDEX NAME)



L17 ANSWER 42 OF 152 USPATFULL

ACCESSION NUMBER: 1998:54912 USPATFULL

TITLE: Quinolone--and naphthyridonecarboxylic acid derivatives

INVENTOR(S): Peterson, Uwe, Leverkusen, Germany, Federal Republic of  
Schenke, Thomas, Gladbach, Germany, Federal Republic of  
Jaetsch, Thomas, Koln, Germany, Federal Republic of  
Bartel, Stephan, Glabach, Germany, Federal Republic of  
Bremm, Klaus Dieter, Recklinghausen, Germany, Federal Republic of  
Endermann, Rainer, Wuppertal, Germany, Federal Republic of  
Metzger, Karl Georg, Wuppertal, Germany, Federal



PATENT ASSIGNEE(S): Republic of  
Bayer Aktiengesellschaft, Leverkusen, Germany, Federal  
Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5753669		19980519
APPLICATION INFO.:	US 1996-764548		19961212 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1995-508603, filed on 28 Jul 1995, now patented, Pat. No. US 5605910		

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1994-4427530	19940804
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Ivy, C. Warren	
ASSISTANT EXAMINER:	Mach, D. Margaret M.	
LEGAL REPRESENTATIVE:	Sprung Kramer Schaefer & Briscoe	
NUMBER OF CLAIMS:	9	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1497	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to new quinolone- and naphthyridonecarboxylic acid derivatives which are substituted in the 7-position by a tricyclic amine radical, their salts, processes for their preparation and **antibacterial** compositions comprising these compounds.

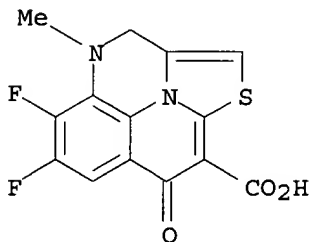
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 132305-96-5

(prepn. of quinolone- and naphthyridonecarboxylic acid-deriv.  
antibiotics)

RN 132305-96-5 USPATFULL

CN 8H-1-Thia-4,9b-diazacyclopenta[cd]phenalene-9-carboxylic acid,  
5,6-difluoro-3,4-dihydro-4-methyl-8-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 43 OF 152 USPATFULL

ACCESSION NUMBER: 1998:45213 USPATFULL

TITLE: Thiazolo [3,2-a] quinoline and thiazolo [3,2-a]  
naphthyridine derivatives

INVENTOR(S): Jaetsch, Thomas, Koln, Germany, Federal Republic of  
Hallenbach, Werner, Monheim, Germany, Federal Republic  
of  
Himmler, Thomas, Odenthal, Germany, Federal Republic of  
Bremm, Klaus-Dieter, Recklinghausen, Germany, Federal  
Republic of  
Endermann, Rainer, Wuppertal, Germany, Federal Republic

of  
 Pirro, Franz, Langenfeld, Germany, Federal Republic of  
 Stegemann, Michael, Kansas City, MO, United States  
 Wetzstein, Heinz-Georg, Leverkusen, Germany, Federal  
 Republic of  
 PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal  
 Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5744478		19980428
	WO 9606848		19960307
APPLICATION INFO.:	US 1997-793795		19970221 (8)
	WO 1995-EP3315		19950821
			19970221 PCT 371 date
			19970221 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1994-4431122	19940901
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Davis, Zinna Northington	
LEGAL REPRESENTATIVE:	Sprung Kramer Schaefer & Briscoe	
NUMBER OF CLAIMS:	7	
EXEMPLARY CLAIM:	1	
LINE COUNT:	628	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to new thiazolo[3,2-a]quinoline and  
 thiazolo[3,2-a]naphthyridine derivatives of the general formula (I)  
 ##STR1## in which R<sup>sup.1</sup>, R<sup>sup.2</sup>, Z and X have the meaning indicated  
 in the description, processes for their preparation and their use in  
 antibacterial compositions.

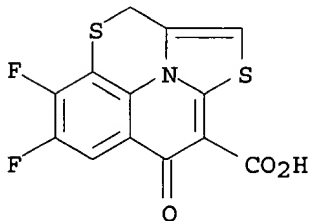
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 152481-20-4

(prepn. of 5-oxo-5H-thiazolo[3,2-a]quinoline-4-carboxylates and related  
 compds. as antibacterials)

RN 152481-20-4 USPATFULL

CN 3H,8H-1,4-Dithia-9b-azacyclopenta[cd]phenalene-9-carboxylic acid,  
 5,6-difluoro-8-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 44 OF 152 USPATFULL

ACCESSION NUMBER: 1998:39721 USPATFULL

TITLE: 7-isindolinyl-quinolone derivatives and  
 7-isindolinyl-naphthyridone derivatives

INVENTOR(S): Philipps, Thomas, Cologne, Germany, Federal Republic of  
 Bartel, Stephan, Bergisch Gladbach, Germany, Federal

Republic of  
 Krebs, Andreas, Odenthal, Germany, Federal Republic of  
 Petersen, Uwe, Leverkusen, Germany, Federal Republic of  
 Schenke, Thomas, Bergisch Gladbach, Germany, Federal  
 Republic of  
 Bremm, Klaus-Dieter, Wuppertal, Germany, Federal  
 Republic of  
 Endermann, Rainer, Wuppertal, Germany, Federal Republic  
 of  
 Metzger, Karl Georg, Wuppertal, Germany, Federal  
 Republic of  
 Mielke, Burkhard, Leverkusen, Germany, Federal Republic  
 of  
 Bayer Aktiengesellschaft, Leverkusen, Germany, Federal  
 Republic of (non-U.S. corporation)

## PATENT ASSIGNEE(S):

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5739339		19980414
APPLICATION INFO.:	US 1996-649380		19960517 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1993-119369, filed on 10 Sep 1993		

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1992-4230804	19920915
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Shah, Mukund J.	
ASSISTANT EXAMINER:	Ngo, Tamthomt T.	
LEGAL REPRESENTATIVE:	Sprung Kramer Schaefer & Briscoe	
NUMBER OF CLAIMS:	9	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2558	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to novel quinolone derivatives and naphthyridone derivatives which are substituted in the 7-position by a partially hydrogenated isoindolinyl ring, to processes for their preparation and to **antibacterial** agents and feed additives containing them.

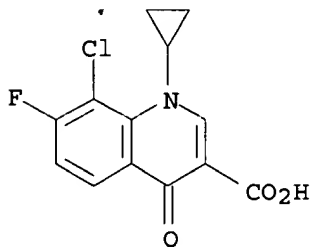
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 157373-06-3

(reaction of, in prepn. of isoindolinylquinolone)

RN 157373-06-3 USPATFULL

CN 3-Quinolinecarboxylic acid, 8-chloro-1-cyclopropyl-7-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



09/919,347

L17 ANSWER 45 OF 152 USPATFULL  
ACCESSION NUMBER: 1998:12029 USPATFULL  
TITLE: Bis-heteroaryl(methoxy)phenyl ketone derivatives as  
inhibitors of leukotriene biosynthesis  
INVENTOR(S): Brooks, Clint D. W., Libertyville, IL, United States  
Bhatia, Pramila, Libertyville, IL, United States  
Kolasa, Teodozyj, Lake Villa, IL, United States  
PATENT ASSIGNEE(S): Abbott Laboratories, Abbott Park, IL, United States  
(U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5714488		19980203
APPLICATION INFO.:	US 1996-703440		19960917 (8)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1995-4707	19951003 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Ivy, C. Warren	
ASSISTANT EXAMINER:	Mach, D. Margaret M.	
LEGAL REPRESENTATIVE:	Yang, Frank Z.	
NUMBER OF CLAIMS:	10	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1095	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds having the formula ##STR1## or a **pharmaceutically** acceptable salt thereof wherein W is selected from the group consisting of optionally substituted quinolyl, optionally substituted benzothiazolyl, optionally substituted benzoxazolyl, optionally substituted benzimidazolyl, optionally substituted quinoxalyl, optionally substituted pyridyl, optionally substituted pyrimidyl, and optionally substituted thiazolyl; R<sup>sup.1</sup> and R<sup>sup.2</sup> are one or more groups independently selected from hydrogen, alkyl, haloalkyl, alkoxy, and halogen; Z is selected from the group consisting of N--OH, N--O--A--COM, CH--COM, and CH--CH.dbd.N--O--A--COM wherein A is selected from the group consisting of alkylene, alkenylene, cycloalkylene, and optionally substituted alkylphenyl wherein the alkyl portion is of one to six carbon atoms, and M is selected from the group consisting of a **pharmaceutically** acceptable, metabolically clearable group, --OR<sup>sup.3</sup>, and --NR<sup>sup.4</sup> R<sup>sup.5</sup>, inhibit leukotriene biosynthesis and are useful in the treatment of allergic and inflammatory disease states. Also disclosed are leukotriene biosynthesis inhibiting compositions and a method of inhibiting leukotriene biosynthesis.

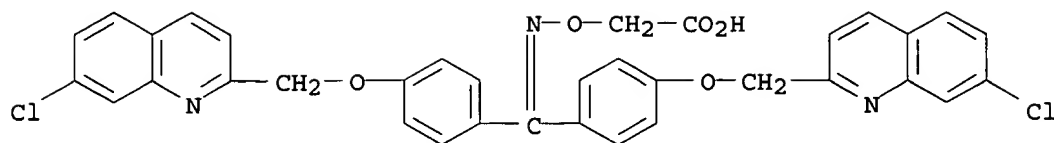
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 189447-66-3P

(prepn. of bis[(heteroaryl(methoxy)phenyl]ketone derivs. as inhibitors of leukotriene biosynthesis)

RN 189447-66-3 USPATFULL

CN Acetic acid, [[[bis[4-[(7-chloro-2-quinolinyl)methoxy]phenyl]methylene]amino]oxy]- (9CI) (CA INDEX NAME)



L17 ANSWER 46 OF 152 USPATFULL  
 ACCESSION NUMBER: 1998:9515 USPATFULL  
 TITLE: Quinoline-5,8-diones and methods of using them  
 INVENTOR(S): Behforouz, Mohammad, Muncie, IN, United States  
 Merriman, Ronald L., Ann Arbor, MI, United States  
 PATENT ASSIGNEE(S): Ball State University, Muncie, IN, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5712289		19980127
APPLICATION INFO.:	US 1995-476213		19950607 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1994-345509, filed on 28 Nov 1994, now patented, Pat. No. US 5646150 which is a continuation-in-part of Ser. No. US 1993-71648, filed on 4 Jun 1993, now patented, Pat. No. US 5525611		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Rotman, Alan L.		
LEGAL REPRESENTATIVE:	Brinks Hofer Gilson & Lione		
NUMBER OF CLAIMS:	17		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	3 Drawing Figure(s); 1 Drawing Page(s)		
LINE COUNT:	3114		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides quinoline-5,8-diones having the following formula: ##STR1## wherein X, Z and R.<sup>sup.1</sup> through R.<sup>sup.3</sup> are defined in the specification, and salts of these quinolinediones. The invention also provides a method of making the quinolinediones. The quinolinediones have antitumor activity.

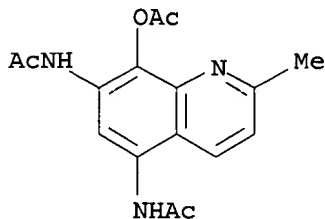
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 162219-42-3P

(prepn. of lavendamycin analog quinoline-5,8-diones for antitumor and anti-Leishmania application)

RN 162219-42-3 USPATFULL

CN Acetamide, N,N'-[8-(acetyloxy)-2-methyl-5,7-quinolinediyl]bis- (9CI) (CA INDEX NAME)



09/919,347

L17 ANSWER 47 OF 152 USPATFULL  
ACCESSION NUMBER: 97:123230 USPATFULL  
TITLE: Quinolone- and naphthyridonecarboxylic acid derivatives  
INVENTOR(S): Petersen, Uwe, Leverkusen, Germany, Federal Republic of  
Ruther, Michael, Monheim, Germany, Federal Republic of  
Schenke, Thomas, Bergisch Gladbach, Germany, Federal  
Republic of  
Bremm, Klaus Dieter, Recklinghausen, Germany, Federal  
Republic of  
Endermann, Rainer, Wuppertal, Germany, Federal Republic  
of  
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal  
Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5703094		19971230
APPLICATION INFO.:	US 1996-583685		19960105 (8)

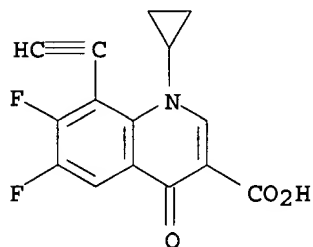
	NUMBER	DATE
PRIORITY INFORMATION:	DE 1995-19500792	19950113
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Ivy, C. Warren	
ASSISTANT EXAMINER:	Huang, Evelyn	
LEGAL REPRESENTATIVE:	Sprung Kramer Schaeffer & Briscoe	
NUMBER OF CLAIMS:	9	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1381	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to new quinolone- and naphthyridonecarboxylic acid derivatives which are substituted in the 7-position by an unsaturated bicyclic amine radical, their salts, processes for their preparation and **antibacterial** compositions comprising these compounds

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **147459-28-7**  
(prepn. of quinolone- and naphthyridonecarboxylic acid antibiotics)  
RN 147459-28-7 USPATFULL  
CN 3-Quinolonecarboxylic acid, 1-cyclopropyl-8-ethynyl-6,7-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 48 OF 152 USPATFULL  
ACCESSION NUMBER: 97:123217 USPATFULL  
TITLE: Quinolonecarboxylic acid derivatives, their production

and use  
 INVENTOR(S): Miyake, Akio, Hirakata, Japan  
 Nakamura, Masahira, Kashiba-cho, Japan  
 Fukushi, Hideto, Osaka, Japan  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Osaka, Japan  
 (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5703081		19971230
APPLICATION INFO.:	US 1996-608697		19960229 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1994-207091, filed on 8 Mar 1994, now patented, Pat. No. US 5519024		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1993-47917	19930309
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Dentz, Bernard	
LEGAL REPRESENTATIVE:	Wenderoth, Lind & Ponack	
NUMBER OF CLAIMS:	8	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1792	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A composition comprises a 1,7-disubstituted-4-oxo-3-quinolinecarboxylic acid or 1,7-disubstituted-4-oxo-3-naphthyridinecarboxylic acid derivative which is useful as a prophylactic and/or therapeutic agent for peripheral arterial obstruction, acute myocardial infarction, an antitumor agent, and as a prophylactic and/or therapeutic agent for osteoporosis.

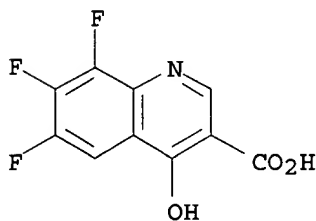
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 151391-68-3

(oxoquinolinecarboxylic acid derivs., oxonaphthyridinecarboxylic acid derivs., their prepn., and their use as cell adhesion inhibitors)

RN 151391-68-3 USPATFULL

CN 3-Quinolinecarboxylic acid, 6,7,8-trifluoro-4-hydroxy- (9CI) (CA INDEX NAME)



L17 ANSWER 49 OF 152 USPATFULL

ACCESSION NUMBER: 97:115290 USPATFULL

TITLE: Pyridonecarboxylic acid derivatives

INVENTOR(S): Hayakawa, Isao, Tokyo, Japan  
 Kimura, Youichi, Tokyo, Japan  
 Takahashi, Hisashi, Tokyo, Japan

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Tokyo, Japan  
 (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5696132		19971209
	WO 9221659		19921012
APPLICATION INFO.:	US 1994-142444		19940126 (8)
	WO 1992-JP687		19920527
			19940126 PCT 371 date
			19940126 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1991-225425	19910528
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Ivy, C. Warren	
ASSISTANT EXAMINER:	Mach, D. Margaret M.	
LEGAL REPRESENTATIVE:	Sughrue, Mion, Zinn, Macpeak & Seas, PLLC	
NUMBER OF CLAIMS:	11	
EXEMPLARY CLAIM:	i	
LINE COUNT:	1186	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Quinolone derivatives are known as synthetic antimicrobial agents having a condensed pyridonecarboxylic acid skeleton, and those having substituents on various replaceable positions of said skeleton are known. In particular, if diastereomers exist, there are 4 or more kinds of stereoisomers. A mixture of diastereomers is a mixture of isomers having different physical properties and is difficult to apply as a drug as such. The present invention provides an antimicrobial 1-(1,2-cis-2-fluorocyclopropyl)-substituted quinolone derivative represented by formula I shown below which, although involving diastereomers, consists of a single stereoisomer. ##STR1## wherein R.sup.1 represents a methyl group, a difluoromethyl group, etc.; R.sup.2 represents a saturated nitrogen-containing heterocyclic group; A represents C--X.sup.3 or a nitrogen atom; X.sup.1 and X.sup.2 each represents a halogen atom; and X.sup.3 and Z represent a hydrogen atom, etc.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

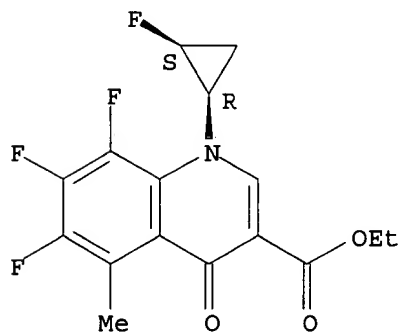
IT 149326-70-5P

(prepn. of, as antibacterial agent)

RN 149326-70-5 USPATFULL

CN 3-Quinolonecarboxylic acid, 6,7,8-trifluoro-1-(2-fluorocyclopropyl)-1,4-dihydro-5-methyl-4-oxo-, ethyl ester, (1R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





L17 ANSWER 50 OF 152 USPATFULL  
 ACCESSION NUMBER: 97:107077 USPATFULL  
 TITLE: Aryl group-or aromatic heterocyclic group-substituted  
 aminoquinolone derivatives and anti-hiv agent  
 INVENTOR(S): Kimura, Tomio, Ube, Japan  
 Katsube, Tetsushi, Ube, Japan  
 PATENT ASSIGNEE(S): UBE Industries, LTD., Ube, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5688791		19971118
APPLICATION INFO.:	US 1995-526225		19950911 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1994-341295, filed on 15 Nov 1994, now patented, Pat. No. US 5519016 which is a continuation of Ser. No. US 1993-66985, filed on 25 May 1993, now abandoned		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1992-158912	19920527
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Grumblin, Matthew V.	
LEGAL REPRESENTATIVE:	Frishauf, Holtz, Goodman, Langer & Chick, P.C.	
NUMBER OF CLAIMS:	21	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2374	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are an aryl group- or heterocyclic group-substituted aminoquinolone compound represented by the formula (Ia), (Ib) or (Ic):  
 ##STR1## wherein each of the substituents are defined in the specification, or a salt of the compound, and an AIDS curing agent containing the same as an effective ingredient.

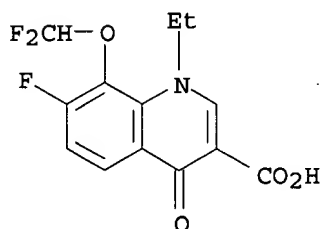
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 153468-78-1P

(prepn. and reaction of, in prepn. of anti-HIV agents)

RN 153468-78-1 USPATFULL

CN 3-Quinolinecarboxylic acid, 8-(difluoromethoxy)-1-ethyl-7-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 13:50:46 ON 29 JAN 2002)

09/919,347

FILE 'REGISTRY' ENTERED AT 13:50:50 ON 29 JAN 2002

L1           STRUCTURE UPLOADED  
L2           0 S L1SAM  
L3           18 S L1 SAM  
L4           STRUCTURE UPLOADED  
L5           18 S L4 SAM  
L6           6453 S L4 FULL

FILE 'CA' ENTERED AT 13:55:36 ON 29 JAN 2002

L7           1244 S L6  
L8           868 S EFFLUX PUMP  
L9           0 S L7 AND L8  
L10          1 S L7 AND EFFLUX  
L11          1243 S L7 NOT L10  
L12          207 S PHARM? AND L11  
L13          191 S L12 AND PY<2001  
L14          35 S L13 AND ANTIBACT?

FILE 'USPATFULL' ENTERED AT 14:01:16 ON 29 JAN 2002

L15          362 S L6  
L16          310 S PHARM? AND L15  
L17          152 S ANTIBACT? AND L16

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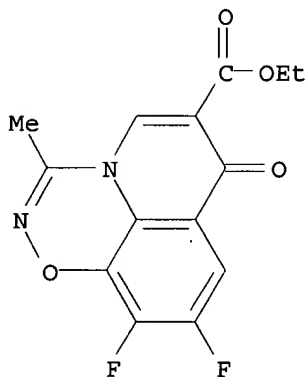
Executing the logoff script...

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STN INTERNATIONAL LOGOFF AT 14:09:02 ON 29 JAN 2002

09/919,347

ACCESSION NUMBER: 133:135294 CA  
TITLE: New 1,8-peri-annelated tricyclic quinolone  
**antibacterials**  
AUTHOR(S): Miao, H.; Cecchetti, V.; Tabarrini, O.; Fravolini, A.  
CORPORATE SOURCE: Istituto di Chimica e Tecnologia del Farmaco,  
Universita di Perugia, Perugia, 06123, Italy  
SOURCE: Journal of Heterocyclic Chemistry (2000),  
37(2), 297-301  
CODEN: JHTCAD; ISSN: 0022-152X  
PUBLISHER: HeteroCorporation  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB New tricyclic quinolones, resulting from peri-annellation of  
1,2,4-oxadiazine moiety at the N-1/C-8 position of the  
**pharmacophoric** quinolone nucleus, were prepd. None of the  
synthesized compds. showed interesting **antibacterial** activity in  
vitro against the tested strains, with the exception of Klebsiella  
pneumoniae which was susceptible to all the compds. at MIC values of 8  
.mu.g/mL.  
IT **286455-55-8P**  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of **antibacterial** oxadiazinoquinolinecarboxylates)  
RN 286455-55-8 CA  
CN 7H-Pyrido[1,2,3-de]-1,2,4-benzoxadiazine-6-carboxylic acid,  
9,10-difluoro-3-methyl-7-oxo-, ethyl ester (9CI) (CA INDEX NAME)



IT **286455-55-8P 286455-58-1P**  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of **antibacterial** oxadiazinoquinolinecarboxylates)  
IT **286455-54-7P 286455-57-0P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of **antibacterial** oxadiazinoquinolinecarboxylates)  
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS

ACCESSION NUMBER: 130:52343 CA  
 TITLE: Preparation of substituted cyclobutylamine derivatives as **antibacterial** agents  
 INVENTOR(S): Takemura, Makoto; Takahashi, Hisahi; Sugita, Kazuyuki; Miyauchi, Rie  
 PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 73 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9854169	A1	19981203	WO 1998-JP2359	19980528 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9804527	A	19981203	ZA 1998-4527	19980527 <--
AU 9874539	A1	19981230	AU 1998-74539	19980528 <--
AU 732175	B2	20010412		
EP 990654	A1	20000405	EP 1998-921863	19980528 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9809702	A	20011211	BR 1998-9702	19980528
NO 9905839	A	20000128	NO 1999-5839	19991129 <--
PRIORITY APPLN. INFO.:			JP 1997-141398	A 19970530
			WO 1998-JP2359	W 19980528
OTHER SOURCE(S):			MARPAT 130:52343	
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Substituted cyclobutylamine derivs. with novel structures represented by general formula [I; R1, R2 = H, OH, halo, CONH2, (un)substituted C1-6 alkyl, C1-6 alkoxy or alkylthio (excluding the case where both R1 and R2 are H); R3, R4 = H, (un)substituted C1-6 alkyl; n = 1,2; R5 = C1-6 alkyl, C2-6 alkenyl, C1-6 haloalkyl, (un)substituted C3-6 cycloalkyl, aryl, or heteroaryl, C1-6 alkoxy or alkylamino; R6 = H, C1-6 alkylthio; or R6 and R5 are joined together to form a cyclic structure including the parent ring, optionally contg. S, and optionally having C1-6 alkyl substituent; R7 = H, (un)acylated NH2, thiol, halomethyl, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy; X1 = H, halo; A1 = Q; wherein X1 = H, NH2, halo, cyano, halomethyl, halomethoxy, etc.; or X2 and R5 are joined together to form a cyclic structure including the parent ring, optionally contg. O, N, or S, and optionally having C1-6 alkyl substituent: A2, A3 = N, C; or A2 and A3 together with the attached C atoms represent the partial structure Q2 or Q3; Y = H, Ph, acetoxymethyl, pivaloyloxymethyl, ethoxycarbonyl, cholanyl, dimethylaminoethyl, 5-indanyl, etc.] are prepd. These derivs. are useful as **antibacterial** compds. which have

excellent **antibacterial** actions over a wide scope of bacteria including gram-neg. and gram-pos. ones, exert potent **antibacterial** activities particularly on methicillin-resistant (*Staphylococcus aureus*) (MRSA), penicillin-resistant *Streptococcus pneumoniae* and quinolone-resistant bacteria and are excellent in the (in vivo) dynamics and safety. Thus, 5-amino-6,7-difluoro-1-[2-(S)-fluoro-1-(R)-cyclopropyl]-8-methyl-1,4-dihydro-4-oxoquinoline-3-carboxylic acid and 3-[3-(tert-butoxycarbonylamino)-1-fluorocyclobutan-3-yl]pyrrolidine (prepn. given) were suspended in DMSO, followed by adding Et<sub>3</sub>N, and the resulting mixt. was stirred at 110.degree. for 72 h. The solvent was distd. off under reduced pressure and the residue was treated with concd. HCl under ice-cooling to give, after workup and chromatog. purifn., the title compd. (II) in 36.0% yield. II showed min. inhibitory concn. of 0.013 and .ltoreq.0.003 .mu.g/mL against *Staphylococcus aureus* 870307 and *Streptococcus pneumoniae* J24, resp. **Pharmaceutical** formulations contg. I were prepd.

IT 127199-34-2

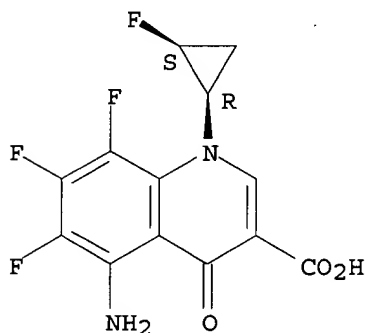
RL: RCT (Reactant)

(prepn. of substituted cyclobutylamine derivs. as **antibacterial** agents)

RN 127199-34-2 CA

CN 3-Quinolonecarboxylic acid, 5-amino-6,7,8-trifluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 127199-34-2 181814-90-4

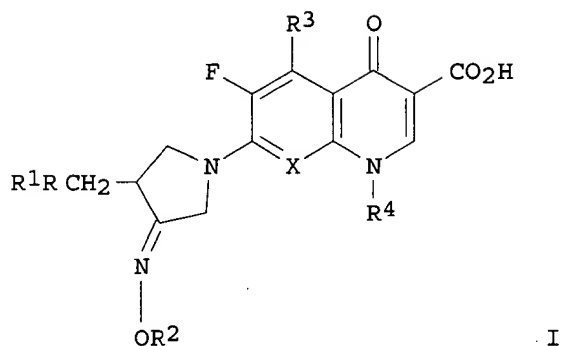
RL: RCT (Reactant)

(prepn. of substituted cyclobutylamine derivs. as **antibacterial** agents)

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS

09/919,347

ACCESSION NUMBER: 127:307319 CA  
TITLE: Novel Fluoroquinolone **Antibacterial** Agents  
Containing Oxime-Substituted  
(Aminomethyl)pyrrolidines: Synthesis and  
**Antibacterial** Activity of 7-(4-(Aminomethyl)-3-  
(methoxyimino)pyrrolidin-1-yl)-1-cyclopropyl  
-6-fluoro- 4-oxo-1,4-dihydro[1,8]naphthyridine-3-  
carboxylic Acid (LB20304)  
AUTHOR(S): Hong, Chang Yong; Kim, Young Kwan; Chang, Jay Hyok;  
Kim, Se Ho; Choi, Hoon; Nam, Do Hyun; Kim, Yong Zu;  
Kwak, Jin Hwan  
CORPORATE SOURCE: Biotech Research Institute, LG Chem Research Park,  
Tae-Jon, 305-380, S. Korea  
SOURCE: J. Med. Chem. (1997), 40(22), 3584-3593  
CODEN: JMCMAR; ISSN: 0022-2623  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



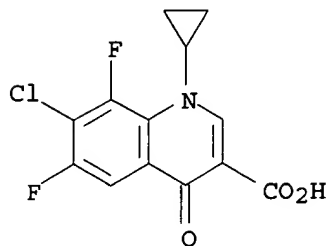
AB Title compds. I [X = CF, CCl, CH, COMe, N; R, R1 = H, Me; R2 = Me, Pr, CHMe2, CMe3, CH2Ph, Ph; R3 = H, NH2; R4 = Et, cyclopropyl, 2,4-F2C6H3] were prepd. from the quinolone and the pyrrolidinone fragments. These fluoroquinolones possess potent antimicrobial activity against both Gram-neg. and Gram-pos. organisms, including methicillin-resistant *Staphylococcus aureus* (MRSA). The activity imparted to the substituted quinolone nucleus by the C-8 substituent was in the order F (C5-NH2) > F (C5-H) > naphthyridine > Cl = OMe = H against Gram-pos. organisms. In the case of Gram-neg. strains, activity was in the order F (C5-NH2) > naphthyridine = F (C5-H) > H > Cl > OMe. The advantages provided by the newly introduced oxime group of the quinolones were clearly demonstrated by their comparison to a desoximino compd. In addn., the oxime moiety greatly improved the **pharmacokinetic** parameters of the novel quinolones. LB20304 (I, X = N, R, R1, R3 = H, R2 = Me, R4 = cyclopropyl) showed the best in vivo efficacy and **pharmacokinetic** profile in animals, as well as good phys. properties.

IT 140412-78-8  
RL: RCT (Reactant)  
(prepn. of **antibacterial** aminomethyl(oximino)pyrrolidinylquinolinones)

RN 140412-78-8 CA  
CN 3-Quinolonecarboxylic acid, 7-chloro-1-cyclopropyl-6,8-difluoro-1,4-

09/919,347

dihydro-4-oxo- (9CI) (CA INDEX NAME)



IT 140412-78-8 140412-79-9 197143-61-6

197143-62-7

RL: RCT (Reactant)

(prepn. of **antibacterial** aminomethyl (oximino)pyrrolidinylquinolinones)

09/919,347

ACCESSION NUMBER: 126:144048 CA  
TITLE: preparation of diphosphonate derivatives of  
bactericides and antitumor agents  
INVENTOR(S): Hartmann, John F.; Farcasiu, Dan  
PATENT ASSIGNEE(S): Elizanor Biopharmaceuticals, Inc., USA  
SOURCE: PCT Int. Appl., 45PP  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640156	A1	19961219	WO 1996-US9271	19960606 <--
W: AU, BR, CA, CZ, HU, JP, MX				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5854227	A	19981229	US 1995-473787	19950607 <--
AU 9660949	A1	19961230	AU 1996-60949	19960606 <--
EP 931945	A1	19980401	EP 1996-918247	19960606 <--
R: DE, ES, FR, GB, IT, SE				
PRIORITY APPLN. INFO.:			US 1995-473787	19950607
			US 1994-206113	19940304
			WO 1996-US9271	19960606

OTHER SOURCE(S): MARPAT 126:144048

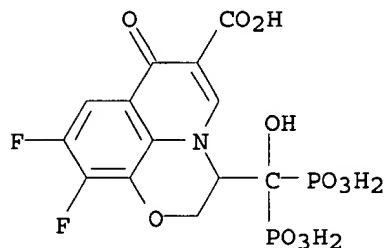
AB Novel chemotherapeutic agents A-(V)m-(R)n-C(PO<sub>3</sub>H<sub>2</sub>)<sub>2</sub>OH (I) [A = a residue of a **pharmaceutically** active chem. entity such as substituted pyrido[1,2,3-de]1,4-benzoxazine, 1,6-naphthyridine, tetracene-5,12-dione, penam or cephem; V = O, S, NR<sub>1</sub>, CONR<sub>1</sub>, CO<sub>2</sub>, O<sub>2</sub>C, OCO<sub>2</sub>, COS, SCO, SCOS, NR<sub>1</sub>CO, O<sub>2</sub>CNR<sub>1</sub>, NR<sub>1</sub>CO<sub>2</sub>, NR<sub>1</sub>CONR<sub>2</sub>, CONR<sub>1</sub>NR<sub>2</sub>, NR<sub>1</sub>NR<sub>2</sub>CO, NR<sub>1</sub>C(=NH)NR<sub>2</sub>, NR<sub>1</sub>C(=NH)NHC(=NH)NR<sub>2</sub> wherein R, R<sub>1</sub>, R<sub>2</sub> = H, (un)substituted org. or (un)substituted heteroorg. group; m = n = 1 or m or n = 0] having utility in treating infectious diseases such as periodontal disease, certain urinary tract infections, infectious urinary tract stones, and bone cancer, are obtained by combining chem. a diphosphonate compd. with a therapeutic agent effective against the foregoing diseases.  
**Pharmaceutical** compns. contg. I and the **pharmaceutically** active entities pyrido[1,2,3-de]1,4-benzoxazine and 1,6-naphthyridine are described.

IT 186520-58-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of diphosphonate derivs. of bactericides and antitumor agents)

RN 186520-58-1 CA

CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid,  
9,10-difluoro-2,3-dihydro-3-(hydroxydiphosphonomethyl)-7-oxo- (9CI) (CA  
INDEX NAME)





09/919,347

IT 186520-58-1P 186520-59-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of diphosphonate derivs. of bactericides and antitumor agents)

IT 186520-17-2P 186520-21-8P 186520-23-0P

186520-26-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological  
study); PREP (Preparation); USES (Uses)

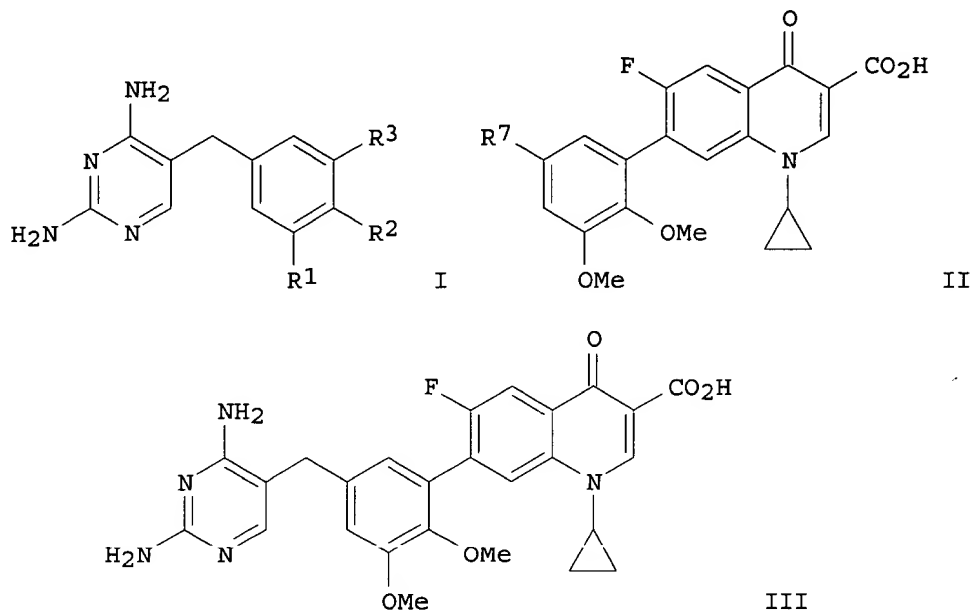
(prepn. of diphosphonate derivs. of bactericides and antitumor agents)

09/919,347

ACCESSION NUMBER: 125:142773 CA  
TITLE: Novel benzyl pyrimidines with **antibacterial** activity.  
INVENTOR(S): Guerry, Philippe; Jolidon, Synese; Masciadri, Raffaello; Stalder, Henri; Then, Rudolf  
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.  
SOURCE: PCT Int. Appl., 136 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9616046	A2	19960530	WO 1995-EP4451	19951113 <--
W:			AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN	
RW:			KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG	
AU 9641161	A1	19960617	AU 1996-41161	19951113 <--
AU 704911	B2	19990506		
EP 793656	A1	19970910	EP 1995-939267	19951113 <--
R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE	
CN 1166831	A	19971203	CN 1995-196398	19951113 <--
HU 77372	A2	19980330	HU 1997-1973	19951113 <--
BR 9509768	A	19980707	BR 1995-9768	19951113 <--
JP 11507009	T2	19990622	JP 1996-516521	19951113 <--
US 5763450	A	19980609	US 1997-836857	19970521 <--
FI 9702194	A	19970522	FI 1997-2194	19970522 <--
NO 9702393	A	19970529	NO 1997-2393	19970526 <--
PRIORITY APPLN. INFO.:			CH 1994-3536	A 19941124
			CH 1995-2704	A 19950925
			WO 1995-EP4451	W 19951113

OTHER SOURCE(S): MARPAT 125:142773  
GI



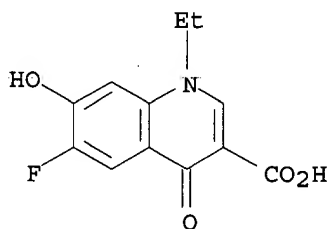
AB Substituted 5-benzyl-2,4-diaminopyrimidines of formula I [R1 = alkoxy; R2 = Br or alkoxy; R3 = aryl, heteroaryl, QR4; Q = CH<sub>2</sub>CH<sub>2</sub>, CH:CH, C.tplbond.C; R4 = aryl, heteroaryl, alkoxycarbonyl, or carbamoyl], and their readily hydrolyzable esters and **pharmaceutically** acceptable salts, can be used in the control or prevention of infectious diseases. Preps. of approx. 250 example compds. and many intermediates are described, plus bioassay results for selected compds. against 3 organisms. For example, quinoline deriv. II [R7 = CHO] was condensed with PhNHCH<sub>2</sub>CH<sub>2</sub>CN in DMSO in the presence of KOBu-tert to give 98% II [R7 = PhNHCH:C(CN)CH<sub>2</sub>]. This was then cyclocondensed with guanidine-HCl in EtOH in the presence of KOBu-tert to give 44% title compd. III, which was isolated as the trifluoroacetate (IV). IV inhibited purified dihydrofolate reductase (DHFR) of *Staphylococcus aureus* ATCC 25923 and *S. aureus* 157/4696 with IC<sub>50</sub> values of 0.0009 and 0.0500 .mu.M, resp., vs. 0.0340 .mu.M for trimethoprim. IV also had IC<sub>50</sub> of 0.0190 .mu.M against DHFR of *Pneumocystis carinii*, vs. 43.0 .mu.M for trimethoprim.

IT 126093-18-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(intermediate; prepn. of novel benzylpyrimidines as  
**antibacterials**)

RN 126093-18-3 CA

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-hydroxy-4-oxo-(9CI) (CA INDEX NAME)



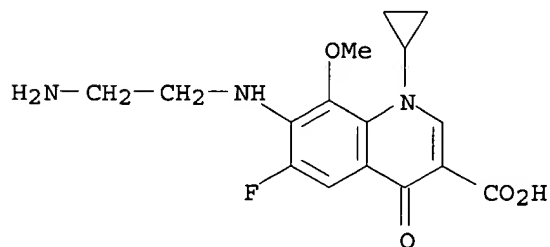
IT 126093-18-3P 179942-67-7P 179942-68-8P  
179942-69-9P 179942-71-3P 179942-72-4P  
179942-73-5P 179942-74-6P 179942-80-4P  
179942-81-5P 179942-82-6P 179942-83-7P  
179942-84-8P 179942-85-9P 179942-86-0P  
179942-87-1P 179942-88-2P 179942-89-3P  
179942-90-6P 179942-91-7P 179942-93-9P  
179942-94-0P 179942-95-1P 179942-96-2P  
179942-97-3P 179942-98-4P 179942-99-5P  
179943-01-2P 179943-04-5P 179943-05-6P  
179943-16-9P 179943-17-0P 179943-20-5P  
179943-31-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(intermediate; prepn. of novel benzylpyrimidines as  
antibacterials)

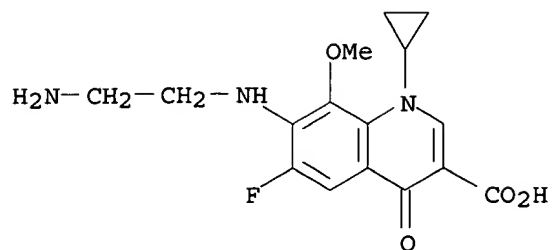
IT 131993-96-9 148122-56-9 179943-55-6  
179943-57-8, Ethyl 7-bromo-4-hydroxyquinoline-3-carboxylate

RL: RCT (Reactant)  
(starting material; prepn. of novel benzylpyrimidines as  
antibacterials)

ACCESSION NUMBER: 124:75457 CA  
 TITLE: Single- and multiple-dose **pharmacokinetics** of AM-1155, a new 6-fluoro-8-methoxy quinolone, in humans  
 AUTHOR(S): Nakashima, Mitsuyoshi; Uematsu, Toshihiko; Kosuge, Kazuhiro; Kusajima, Hisao; Ooie, Tsuyoshi; Masuda, Yuichi; Ishida, Ryozi; Uchida, Hiroshi  
 CORPORATE SOURCE: Dep. Pharmacol., Hamamatsu Univ. Sch. Med., Hamamatsu, 431-31, Japan  
 SOURCE: Antimicrob. Agents Chemother. (1995), 39(12), 2635-40  
 CODEN: AMACQ; ISSN: 0066-4804  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The **pharmacokinetics** of AM-1155, a new 6-fluoro-8-methoxy quinolone, was examd. in healthy male volunteers after the oral administration of a single dose of 100, 200, 400, or 600 mg and multiple doses of 300 mg twice daily for 6.5 days (13 total doses). Throughout the whole study period, AM-1155 was well tolerated in every subject. In the single-dose study, the concns. in serum reached a peak between 1 and 2 h, and the peak concns. were 0.873, 1.71, 3.35, and 5.41 .mu.g/mL at the doses of 100, 200, 400, and 600 mg, resp. The elimination half-life was 7 to 8 h, independently of the doses. The unchanged drug was excreted mainly in the urine, with 82 to 88% of the doses appearing for 72 h. The fecal recovery of the unchanged drug amounted to 5.7% for 72 h after a single oral administration of a 400-mg dose. Urinary excretion of metabolites was minimal. The serum protein binding was 20%, independently of the concns. in serum. The concns. in saliva were approx. 80% of those in serum. The intake of food had no effect on the **pharmacokinetic** parameters and urinary excretion of AM-1155 except the slight decrease in area under the concn.-time curve. The concurrent administration of probenecid prolonged the elimination half-life, increased the area under the concn.-time curve, and decreased the apparent total body clearance, renal clearance, urinary recovery of unchanged drug, and the excretion ratio (intrinsic renal clearance of AM-1155/creatinine clearance). This indicated that the tubular secretion contributed to the renal excretion of AM-1155. In the multiple-dose study, the concns. of AM-1155 in serum and urine reached a steady state within 2 to 3 days. The measured concns. in serum fitted well the simulation curve, which reflected the persistence of linear **pharmacokinetics** of AM-1155. In conclusion, AM-1155 is expected to be clin. useful because of its potent **antibacterial** activity and favorable **pharmacokinetics**.  
 IT 172426-86-7  
 RL: BPR (Biological process); BIOL (Biological study); PROC (Process) (single- and multiple-dose **pharmacokinetics** of 6-fluoro-8-methoxy quinolone AM-1155 in humans)  
 RN 172426-86-7 CA  
 CN 3-Quinolonecarboxylic acid, 7-[(2-aminoethyl)amino]-1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-4-oxo- (9CI) (CA INDEX NAME)



09/919,347

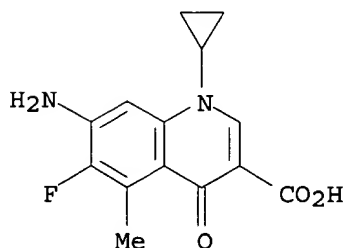


IT 172426-86-7 172426-87-8 172426-88-9

RL: BPR (Biological process); BIOL (Biological study); PROC (Process)  
(single- and multiple-dose **pharmacokinetics** of  
6-fluoro-8-methoxy quinolone AM-1155 in humans)

09/919,347

ACCESSION NUMBER: 123:187608 CA  
TITLE: **Pharmacokinetics** of grepafloxacin. IV.  
Metabolism after oral administration of grepafloxacin  
in rats, monkeys, and humans  
AUTHOR(S): Akiyama, Hitoshi; Koike, Masami; Kyuushiki, Kazuyo;  
Suzuki, Takashi; Kusumoto, Naotoshi; Morita, Seiji;  
Odomi, Masaaki  
CORPORATE SOURCE: Tokushima Res. Inst., Otsuka Pharm. Co., Ltd.,  
Tokushima, 771-01, Japan  
SOURCE: Nippon Kagaku Ryoho Gakkai Zasshi (1995),  
43(Suppl. 1), 131-49  
CODEN: NKRZE5  
DOCUMENT TYPE: Journal  
LANGUAGE: Japanese  
AB The metab. after oral administration of grepafloxacin (GPFX) or [14C]GPFX  
was investigated in rats, monkeys, and humans. The metabolites of GPFX  
identified using human urine and rat urine and bile were 2 GPFX glucuronic  
acid conjugates (3-glucuronide and 4'-glucuronide), one GPFX sulfate  
conjugate (4'-sulfate), 4 metabolites with a metabolized  
3-methylpiperazinyl ring (DM-1704, DM-1705, DM-1706, and DM-1725) and 2  
5-hydroxymethyl-type metabolites (DM-1722 and DM-1723). The main  
metabolite of GPFX in human plasma was DM-1705. The metabolites excreted  
in urine during the period of 0-72 h after dosing were 3-glucuronide (4.0%  
of the administered dose), 4'-glucuronide (3.5%), DM-1705 (3.0%), DM-1704  
(1.3%), 4'-sulfate (1.0%) and DM-1706 (0.2%). The metabolites excreted in  
feces during the period of 0-72 h after dosing were DM-1705 (2.6%),  
DM-1704 (2.1%), DM-1725 (1.9%), DM-1706 (1.8%), and the 4'-sulfate (1.3%).  
The main metabolite of GPFX in plasma in both male and female rats was the  
3-glucuronide. The main metabolite in urine was DM-1723 in male rats and  
the 3-glucuronide in female rats. The main metabolite in feces in both  
sexes was the 4'-sulfate. The main metabolite in bile in male rats was  
the 3-glucuronide. GPFX in male rat lungs accounted for more than 90.5%  
of the total radioactivity in the lungs. The main metabolites in monkey  
plasma, urine, and feces were DM-1704, DM-1704, and the 4'-sulfate, resp.  
IT 149602-49-3, DM 1706  
RL: MFM (Metabolic formation); BIOL (Biological study); FORM (Formation,  
nonpreparative)  
(metab. of **antibacterial** grepafloxacin in lab. animals and  
humans and its sex-related difference)  
RN 149602-49-3 CA  
CN 3-Quinolinecarboxylic acid, 7-amino-1-cyclopropyl-6-fluoro-1,4-dihydro-5-  
methyl-4-oxo- (9CI) (CA INDEX NAME)



IT 149602-49-3, DM 1706 167971-92-8, DM 1705  
167971-93-9, DM 1704 167971-94-0, DM 1725  
RL: MFM (Metabolic formation); BIOL (Biological study); FORM (Formation,  
nonpreparative)

09/919,347

(metab. of **antibacterial** grepafloxacin in lab. animals and humans and its sex-related difference)

IT **149602-60-8**, DM 1722

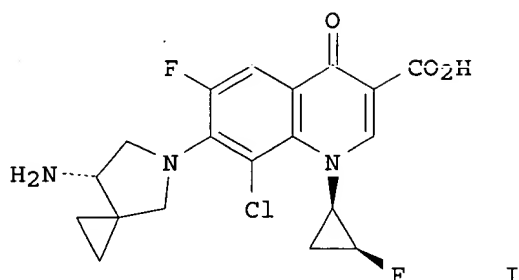
RL: MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)

(metabolite; metab. of **antibacterial** grepafloxacin in lab. animals and humans and its sex-related difference)



09/919,347

ACCESSION NUMBER: 123:169481 CA  
TITLE: (Fluorocyclopropyl)quinolones. 2. Synthesis and Stereochemical Structure-Activity Relationships of Chiral 7-(7-Amino-5-azaspiro[2.4]heptan-5-yl)-1-(2-fluorocyclopropyl)quinolone **Antibacterial Agents**  
AUTHOR(S): Kimura, Youichi; Atarashi, Shohgo; Kawakami, Katsuhiko; Sato, Kenichi; Hayakawa, Isao  
CORPORATE SOURCE: Exploratory Research Laboratories I, Daiichi Pharmaceutical Co. Ltd., Tokyo, 134, Japan  
SOURCE: J. Med. Chem. (1994), 37(20), 3344-52  
CODEN: JMCMAR; ISSN: 0022-2623  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



AB A series of novel chiral 7-(7-amino-5-azaspiro[2.4]heptan-5-yl)-8-chloro-1-(2-fluorocyclopropyl)quinolones were synthesized as a continuation of a research project of 1-(2-fluorocyclopropyl)quinolones by considering stereochem. and physicochem. properties of the mol. Abs. configurations of the 1-(cis-2-fluorocyclopropyl) moiety and the 7-(7-amino-5-azaspiro[2.4]heptan-5-yl) moiety were detd. by x-ray crystallog. anal. Stereochem. structure-activity relationship studies indicated that 1-[(1R,2S)-2-fluorocyclopropyl] and 7-[(7S)-amino-5-azaspiro[2.4]heptan-5-yl] derivs. are more potent against Gram-pos. and Gram-neg. bacteria than the other stereoisomers and 7-[(7S)-7-amino-5-azaspiro[2.4]heptan-5-yl]-8-chloro-1-[(1R,2S)-2-fluorocyclopropyl]quinolone (I) is the most potent of all stereoisomers. **Pharmacokinetic** profiles and physicochem. properties of the selected compds. were also examd., and it was found that I (DU-6859a) possesses moderate lipophilicity and good **pharmacokinetic** profiles.

IT 127199-25-1P

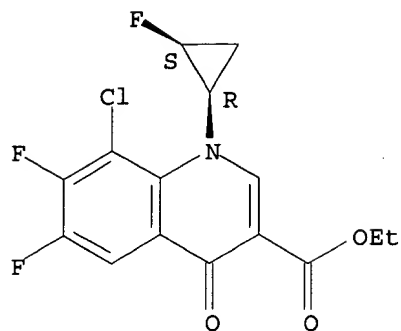
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(synthesis and stereochem. structure-activity relationships of chiral (aminoazaspiroheptanyl) (fluorocyclopropyl)quinolone **antibacterial agents**)

RN 127199-25-1 CA

CN 3-Quinolonecarboxylic acid, 8-chloro-6,7-difluoro-1-(2-fluorocyclopropyl)-1,4-dihydro-4-oxo-, ethyl ester, (1R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 127199-25-1P

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(synthesis and stereochem. structure-activity relationships of chiral (aminoazaspiroheptanyl) (fluorocyclopropyl)quinolone antibacterial agents)

IT 127199-24-0P 127199-26-2P 127199-27-3P

167073-12-3P 167073-13-4P 167073-14-5P

167073-15-6P

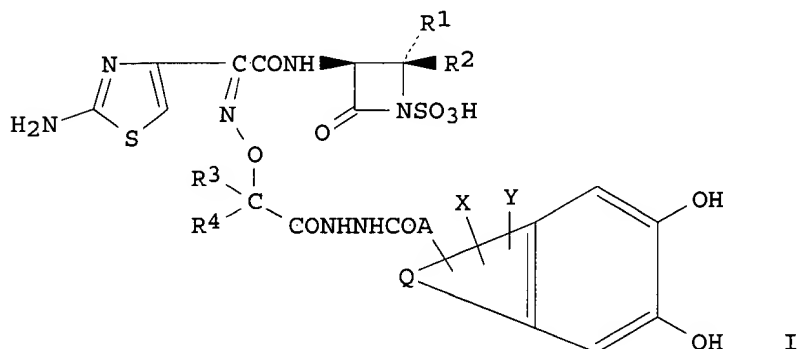
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(synthesis and stereochem. structure-activity relationships of chiral (aminoazaspiroheptanyl) (fluorocyclopropyl)quinolone antibacterial agents)

09/919,347

ACCESSION NUMBER: 122:55819 CA  
TITLE: Heterocyclic hydrazide derivatives of monocyclic  
.beta.-lactam antibiotics  
INVENTOR(S): Ermann, Peter H.; Straub, Henner  
PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc., USA  
SOURCE: U.S., 20 pp. Cont. of U.S. Ser. No. 410,217,  
abandoned.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5318963	A	19940607	US 1990-620170	19901130 <--
CA 2024282	AA	19910322	CA 1990-2024282	19900830 <--
JP 03120276	A2	19910522	JP 1990-254057	19900921 <--
PRIORITY APPLN. INFO.:			US 1989-410217	19890921
OTHER SOURCE(S):	MARPAT 122:55819			
GI				

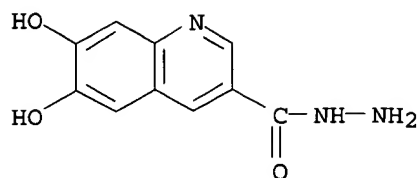


AB **Antibacterial** (no data) compds. (I) and **pharmaceutically** acceptable salts thereof, wherein: A is a bond or alkylene; Q completes a 5- or 6-membered satd. or unsatd. (including arom.) heterocyclic ring having one or two heteroatoms in the ring selected from nitrogen, NR5 .tplbond.N+R6, sulfur or oxygen; X is attached to an available carbon atom in the heterocyclic ring and is hydrogen, amino, hydroxyl, halogen, carboxamide, nitrile, or carboxyl, except that Y is not carboxyl when the bicyclic ring completed by Q is 2-quinolyl, 3-quinolyl, or quinoxalyl; and the remaining symbols are as defined in the specification.

IT **135214-98-1P**, 6,7-Dihydroxy-3-quinolinecarboxylic acid, hydrazide  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and reaction of, in prepn. of heterocyclic hydrazide derivs. of  
monocyclic .beta.-lactam antibiotics)

RN 135214-98-1 CA  
CN 3-Quinolinecarboxylic acid, 6,7-dihydroxy-, hydrazide (9CI) (CA INDEX  
NAME)

09/919,347

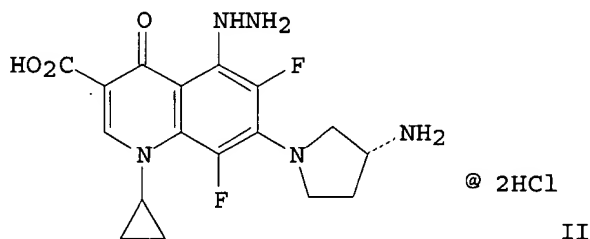
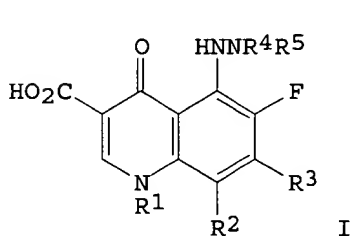


IT **135214-98-1P**, 6,7-Dihydroxy-3-quinolinecarboxylic acid, hydrazide  
**135215-09-7P**, 1,4-Dihydro-1,6,7-trihydroxy-4-oxo-3-quinolinecarboxylic acid, hydrazide **135215-15-5P**,  
3-(Hydrazinocarbonyl)-6,7-dihydroxy-4-oxo-1(4H)-quinolineacetic acid  
**135215-18-8P**, 1,4-Dihydro-6,7-dihydroxy-4-oxo-2,3-quinolinedicarboxylic acid **136135-38-1P**, 6,7-Dihydroxy-3-quinolinecarboxylic acid, hydrazide, monohydrobromide **136135-41-6P**,  
1,4-Dihydro-6,7-dihydroxy-4-oxo-3-quinolinecarboxylic acid, hydrazide, monohydrobromide  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and reaction of, in prepn. of heterocyclic hydrazide derivs. of monocyclic .beta.-lactam antibiotics)

IT **136135-25-6 136135-29-0 136135-30-3**  
**159911-05-4 159911-08-7 159911-09-8**  
**159989-67-0**  
RL: RCT (Reactant)  
(prepn. as heterocyclic hydrazide deriv. of monocyclic .beta.-lactam antibiotics)

ACCESSION NUMBER: 121:108551 CA  
 TITLE: Preparation of antimicrobial 5-hydrazinoquinolone derivatives  
 INVENTOR(S): Demuth, Thomas Prosser, Jr.; White, Ronald Eugene  
 PATENT ASSIGNEE(S): Procter and Gamble Co., USA  
 SOURCE: PCT Int. Appl., 47 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9410163	A1	19940511	WO 1993-US10091	19931022 <--
W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
IL 107323	A1	20000601	IL 1993-107323	19931019 <--
CA 2148003	AA	19940511	CA 1993-2148003	19931022 <--
AU 9454097	A1	19940524	AU 1994-54097	19931022 <--
AU 687018	B2	19980219		
EP 666853	A1	19950816	EP 1993-924393	19931022 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08502750	T2	19960326	JP 1993-511167	19931022 <--
HU 72072	A2	19960328	HU 1995-1237	19931022 <--
CZ 282581	B6	19970813	CZ 1995-1097	19931022 <--
RU 2126000	C1	19990210	RU 1995-110762	19931022 <--
BR 9307347	A	19990525	BR 1993-7347	19931022 <--
PL 178094	B1	20000229	PL 1993-308671	19931022 <--
ZA 9308089	A	19940607	ZA 1993-8089	19931029 <--
CN 1092773	A	19940928	CN 1993-120224	19931030 <--
CN 1064357	B	20010411		
FI 9502049	A	19950428	FI 1995-2049	19950428 <--
NO 9501640	A	19950630	NO 1995-1640	19950428 <--
PRIORITY APPLN. INFO.:			US 1992-968960	A 19921030
			WO 1993-US10091	W 19931022
OTHER SOURCE(S):		MARPAT 121:108551		
GI				



AB Title compds. I (R1 = alkyl, alkenyl, carbocyclyl, heterocyclyl, R7R6N wherein R6, R7 = H, alkyl, alkenyl, carbocyclyl, heterocyclyl, R6R7N = heterocyclyl; R2 = H, halo, alkyl, alkoxy, R1R2 = 6-membered heterocyclyl; R3 = carbocyclyl, heterocyclyl; R4, R5 = H, alkyl, cycloalkyl, heteroalkyl, COXR8 wherein X = a covalent bond, N, O, S, R8 = alkyl,

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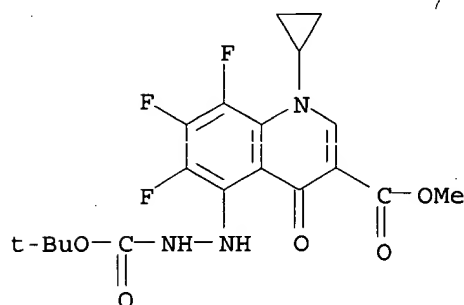
alkenyl, arylalkyl, carbocyclyl, heterocyclyl, R4R5N = heterocyclyl), salts, biohydrolyzable esters and solvates thereof, useful as antimicrobials (no data), are prepd. Me propiolate, THF and cyclopropylamine in THF were reacted to give Me 3-(cyclopropylamino)-2-propenoate which in 6 steps was converted to II. **Pharmaceutical** formulations comprising I are given.

IT **156750-64-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and reaction of, in prepn. of **antibacterials**)

RN 156750-64-0 CA

CN 3-Quinolincarboxylic acid, 1-cyclopropyl-5-[2-[(1,1-dimethylethoxy)carbonyl]hydrazino]-6,7,8-trifluoro-1,4-dihydro-4-oxo-, methyl ester (9CI) (CA INDEX NAME)



IT **156750-64-0P 156750-65-1P**

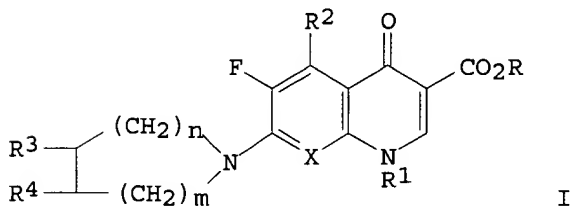
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and reaction of, in prepn. of **antibacterials**)

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ACCESSION NUMBER: 121:57512 CA  
TITLE: Preparation of 7-substituted-6-fluoro-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid compounds and related compounds as **antibacterial** agents  
INVENTOR(S): Singh, Rajeshwar; Fathi-Afshar, Rakhshandeh; Singh, Inder Pal; Thomas, George; Doerksen, Thomas Roger; Singh, Maya Prakash; Micetich, Ronald George  
PATENT ASSIGNEE(S): Synphar Laboratories, Inc., Can.  
SOURCE: PCT Int. Appl., 26 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9324481	A1	19931209	WO 1993-CA231	19930531 <--
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5342846	A	19940830	US 1992-913505	19920714 <--
AU 9343029	A1	19931230	AU 1993-43029	19930531 <--
JP 08501063	T2	19960206	JP 1993-500050	19930531 <--
PRIORITY APPLN. INFO.:			US 1992-891262	A 19920601
			US 1992-913505	A 19920714
			US 1990-621716	B2 19901205
			WO 1993-CA231	A 19930531

OTHER SOURCE(S): MARPAT 121:57512  
GI



AB Title compds. I (R = H, C1-4 alkyl group; R1 (substituted) C3-C6 cycloalkyl, (substituted) Ph (substituted) C1-C4 alkyl; R2 = H, halo, C1-C4 alkyl, HO, H2N; R3 = H, HO, H2N; R4 = 1,2,3-, 1,2,4-triazol-1-yl, 1,2,3,4-tetrazol-1-yl, 1,2,3,4-tetrazol-2-yl, each of which may have 1 to 2 substituents; X = N, HC, FC, MeOC; m = 1,2; n = 0-2; etc.) or a **pharmaceutical salt**, are prepd. Et 7-chloro-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-1,8-naphthyridine-3-carboxylate (prepn. given) and cis-3-amino-4-(1,2,3-triazol-1-yl)pyrrolidine (prepn. given) were reacted in pyridine to give I (R = Et, R1 = cyclopropyl, R2 = H, R3 = H2N, R4 = 1,2,3-triazol-1-yl, X = N, m = n = 1) which in test for **antibacterial** activity showed a min. inhibitory concn. of 0.008, 0.03, 0.25, 0.25, 2 .mu.g/mL against Staphylococcus aureus, Escherichia

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coli, Enterobacter cloacae, Klebsiella pneumoniae and Pseudomonas aeruginosa, resp.

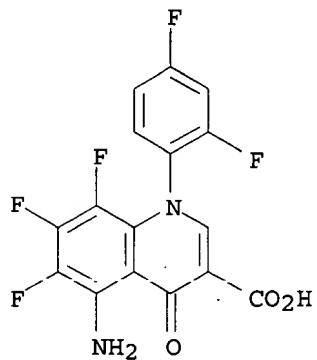
IT 127452-19-1

RL: RCT (Reactant)

(reaction of, in prepn. of **antibacterials**)

RN 127452-19-1 CA

CN 3-Quinolinecarboxylic acid, 5-amino-1-(2,4-difluorophenyl)-6,7,8-trifluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



IT 127452-19-1

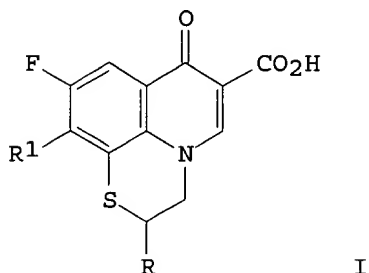
RL: RCT (Reactant)

(reaction of, in prepn. of **antibacterials**)



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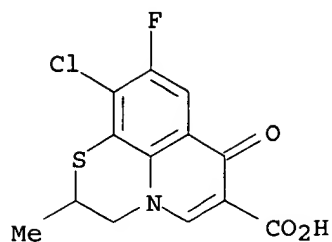
ACCESSION NUMBER: 120:8541 CA  
TITLE: Quinolinecarboxylic acids. 3. Synthesis and  
**antibacterial** evaluation of 2-substituted  
7-oxo-2,3-dihydro-7H-pyrido[1,2,3-  
de][1,4]benzothiazine-6-carboxylic acids related to  
rufloxacin  
AUTHOR(S): Cecchetti, Violetta; Fravolini, Arnaldo; Pagella, Pier  
Giuseppe; Savino, Angela; Tabarrini, Oriana  
CORPORATE SOURCE: Ist. Chim. Farm. Tech. Farm., Univ. Perugia, Perugia,  
06123, Italy  
SOURCE: J. Med. Chem. (1993), 36(22), 3449-54  
CODEN: JMCMAR; ISSN: 0022-2623  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



AB A series of title acids I (R = Me, 2-FC<sub>6</sub>H<sub>4</sub>, 3-FC<sub>6</sub>H<sub>4</sub>, 4-FC<sub>6</sub>H<sub>4</sub>; R<sub>1</sub> = Cl, substituted piperazino, pyrrolidino, imidazol-1-yl, homopiperazin-1-yl) has been prepd. and evaluated for in vitro **antibacterial** activity. These derivs. were less active than corresponding desmethylated analogs I (R = H). Among these derivs., the most active compd. (I; R = Me, R<sub>1</sub> = 4-methylpiperazin-1-yl) (II) was selected for preliminary **pharmacokinetics** in rats. The **pharmacokinetic** data indicated that II was rapidly absorbed and induced lasting plasma and urinary levels. In comparison with rufloxacin, II was excreted in low quantity in urine; a significant amt. of desmethylated piperazinyll urinary metabolite was obsd.

IT **151295-39-5P**  
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and **antibacterial** activity of)

RN 151295-39-5 CA  
CN 7H-Pyrido[1,2,3-de]-1,4-benzothiazine-6-carboxylic acid,  
10-chloro-8-fluoro-2,3-dihydro-2-methyl-7-oxo- (9CI) (CA INDEX NAME)



IT 151295-39-5P 151295-40-8P 151295-41-9P  
151295-42-0P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and **antibacterial** activity of)

IT 151295-24-8P 151295-25-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and sapon. of)

IT 151295-26-0P

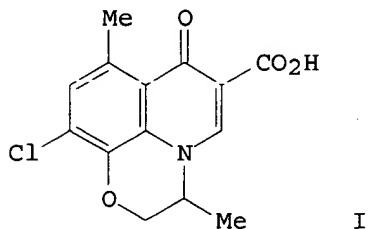
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and substitution of, with cyclic amines)

IT 151295-22-6P 151295-23-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn., sapon., or S-oxidn. of)

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ACCESSION NUMBER: 115:105485 CA  
TITLE: The synthesis and **pharmacological** profile of  
the stereoisomers of a tricyclic quinolone  
**antibacterial**  
AUTHOR(S): Gerster, John F.; Rohlfing, Steve R.; Rustad, Nancy  
J.; Reiter, Michael J.; Pecore, Sharon E.; Winandy,  
Richard M.; Landmesser, June E.  
CORPORATE SOURCE: 3M Cent., Riker Lab., Inc., St. Paul, MN, 55144, USA  
SOURCE: Quinolones (1989), 85-98. Editor(s):  
Fernandes, Prabhavathi B. Prous: Barcelona, Spain.  
CODEN: 57BAAH  
DOCUMENT TYPE: Conference  
LANGUAGE: English  
GI



AB The tricyclic quinolone, racemic I, exhibits both in vitro **antibacterial** activity and CNS stimulation in mice similar to that seen with amfonelic acid and (+)-amphetamine. The R and S isomers of I were synthesized and their **antibacterial** and **pharmacol** . profiles compared. The **antibacterial** activity and CNS properties of the isomers paralleled one another. Therefore, while other structural modifications of tricyclic systems can definitely sep. these activities, CNS stimulation cannot be eliminated by sepn. of the R and S stereoisomers.

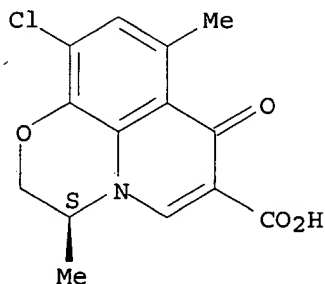
IT 135662-28-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and **antibacterial** and CNS stimulant activities of)

RN 135662-28-1 CA

CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid,  
10-chloro-2,3-dihydro-3,8-dimethyl-7-oxo-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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IT 135662-28-1P 135662-29-2P

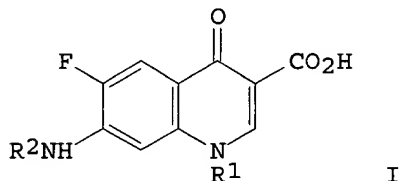
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and **antibacterial** and CNS stimulant activities of)

09/919,347

ACCESSION NUMBER: 114:247159 CA  
TITLE: 7-(Cycloalkylamino)-6-fluoro-4-oxo-3-quinolinecarboxylic acids: their preparation and bactericidal activity  
INVENTOR(S): Bitha, Panayota; Lin, Yang I  
PATENT ASSIGNEE(S): American Cyanamid Co., USA  
SOURCE: U.S., 5 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4992449	A	19910212	US 1990-473498	19900201 <--
EP 439688	A1	19910807	EP 1990-120658	19901029 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE				
CA 2028869	AA	19910802	CA 1990-2028869	19901030 <--
JP 03209368	A2	19910912	JP 1990-306369	19901114 <--
PRIORITY APPLN. INFO.:			US 1990-473498	19900102
OTHER SOURCE(S):			CASREACT 114:247159; MARPAT 114:247159	

GI



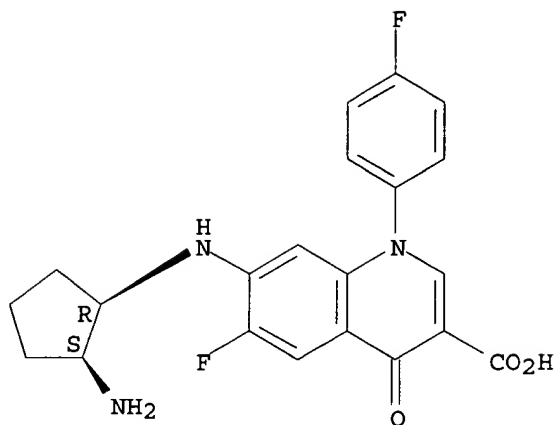
AB Title compds. I (R1 = alkyl, cycloalkyl, alkoxy, alkylamino, vinyl, Ph, benzyl, CH2CH2F, etc; R2 = hydroxycycloalkyl, aminocycloalkyl, alkylcycloalkyl) and their **pharmacol.** acceptable salts are claimed. I are **antibacterial** agents. A mixt. of 1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid (1.33 g) and cis-1,2-diaminocyclohexane (1.73 g) in 10 mL pyridine was heated 1 h to give 1.09 g cis-I (R1 = cyclopropyl, R2 = 2-aminocyclohexyl) (II). II showed activity against strains of Escherichia coli, Klebsiella pneumoniae, Staphylococcus aureus, and other bacteria.

IT **133899-19-1P**  
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and **antibacterial** activity of)

RN 133899-19-1 CA

CN 3-Quinolinecarboxylic acid, 7-[(2-aminocyclopentyl)amino]-6-fluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 133899-19-1P 133899-20-4P 133899-21-5P  
 133899-22-6P 133899-23-7P 133899-24-6P  
 133963-64-1P 133963-65-2P 134001-32-4P  
 134001-33-5P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (prepn. and **antibacterial** activity of)

IT 133899-25-9P 133899-29-3P

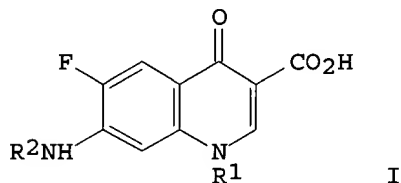
RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

09/919,347

ACCESSION NUMBER: 114:247159 CA  
TITLE: 7-(Cycloalkylamino)-6-fluoro-4-oxo-3-quinolinecarboxylic acids: their preparation and bactericidal activity  
INVENTOR(S): Bitha, Panayota; Lin, Yang I  
PATENT ASSIGNEE(S): American Cyanamid Co., USA  
SOURCE: U.S., 5 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4992449	A	19910212	US 1990-473498	19900201 <--
EP 439688	A1	19910807	EP 1990-120658	19901029 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE				
CA 2028869	AA	19910802	CA 1990-2028869	19901030 <--
JP 03209366	A2	19910912	JP 1990-306369	19901114 <--
PRIORITY APPLN. INFO.:			US 1990-473498	19900102
OTHER SOURCE(S):			CASREACT 114:247159; MARPAT 114:247159	

GI



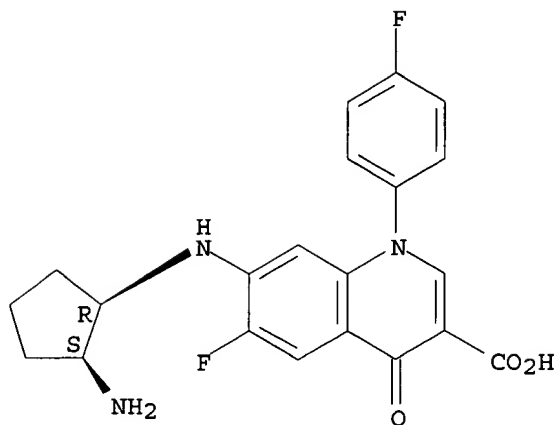
AB Title compds. I (R1 = alkyl, cycloalkyl, alkoxy, alkylamino, vinyl, Ph, benzyl, CH<sub>2</sub>CH<sub>2</sub>F, etc; R2 = hydroxycycloalkyl, aminocycloalkyl, alkylcycloalkyl) and their **pharmacol.** acceptable salts are claimed. I are **antibacterial** agents. A mixt. of 1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid (1.33 g) and cis-1,2-diaminocyclohexane (1.73 g) in 10 mL pyridine was heated 1 h to give 1.09 g cis-I (R1 = cyclopropyl, R2 = 2-aminocyclohexyl) (II). II showed activity against strains of Escherichia coli, Klebsiella pneumoniae, Staphylococcus aureus, and other bacteria.

IT **133899-19-1P**  
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and **antibacterial** activity of)

RN 133899-19-1 CA

CN 3-Quinolinecarboxylic acid, 7-[(2-aminocyclopentyl)amino]-6-fluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 133899-19-1P 133899-20-4P 133899-21-5P  
 133899-22-6P 133899-23-7P 133899-24-8P  
 133963-64-1P 133963-65-2P 134001-32-4P  
 134001-33-5P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (prepn. and **antibacterial** activity of)

IT 133899-25-9P 133899-29-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)



09/919,347

ACCESSION NUMBER: 114:199008 CA  
TITLE: **Pharmacokinetics** of temafloxacin in humans  
after single oral doses  
AUTHOR(S): Granneman, G. Richard; Carpenter, Pierre; Morrison,  
Paul J.; Pernet, Andre G.  
CORPORATE SOURCE: Abbott Lab., Abbott Park, IL, 60064-3500, USA  
SOURCE: Antimicrob. Agents Chemother. (1991), 35(3),  
436-41  
CODEN: AMACCQ; ISSN: 0066-4804  
DOCUMENT TYPE: Journal  
LANGUAGE: English

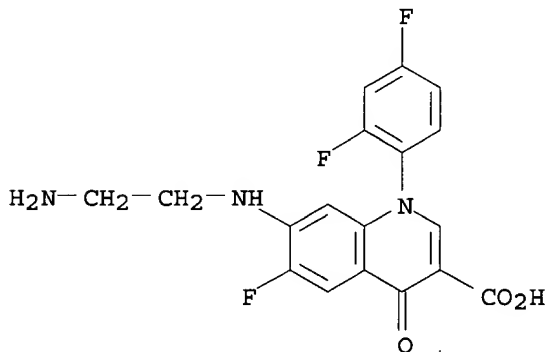
AB Temafloxacin (A-63,004) is a new quinolone **antibacterial** agent with a broad spectrum of activity against gram-pos. and gram-neg. aerobes and anaerobes. The **pharmacokinetics** and metab. of temafloxacin were detd. in healthy volunteers after administration of single oral doses of 100, 200, 400, 600, 800, and 1000 mg. The corresponding peak concns. in plasma were 0.98, 1.61, 2.43, 3.87, 4.54, and 6.67 .mu.g/mL. The times that elapsed to attain peak levels ranged from 1.25 to 3.5 h. Statistical analyses of parameters related to the extent of absorption and the linearity of the dispositional **pharmacokinetics** detected no dose-related trends. Study-wide, total clearance (223 mL/min) and renal clearance (125 mL/min) showed low intersubject variability, with coeffs. of variation near 20%. The terminal-phase rate const. of 0.090 h<sup>-1</sup> corresponds to a half-life of 7.7 h. Temafloxacin was excreted mainly in the urine, with 57% of the dose appearing in the urine unchanged. Conjugated temafloxacin, oxidative metabolites, and conjugates thereof were minor components in urine, collectively accounting for 5 to 8% of the dose. Since i.v. dosed dogs eliminated 50% of the dose by nonrenal processes, urinary recoveries approaching two-thirds of the dose in humans were consistent with high, if not quant., absorption. Reported adverse events were generally mild, were randomly distributed between temafloxacin- and placebo-treated subjects, and were not dose related.

IT 131183-29-4

RL: BIOL (Biological study)  
(as temafloxacin metabolite in humans)

RN 131183-29-4 CA

CN 3-Quinolonecarboxylic acid, 7-[(2-aminoethyl)amino]-1-(2,4-difluorophenyl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

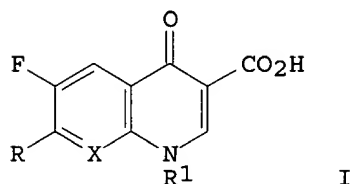


IT 131183-29-4 131183-30-7 133514-78-0

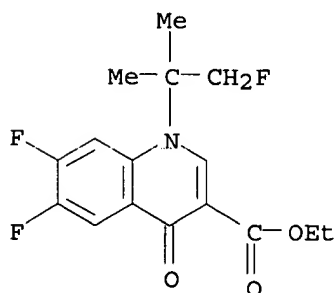
RL: BIOL (Biological study)  
(as temafloxacin metabolite in humans)

09/919,347

CCESSION NUMBER: 114:42604 CA  
TITLE: Fluoronaphthyridines and -quinolones as  
**antibacterial** agents. 3. Synthesis and  
structure-activity relationships of new  
1-(1,1-dimethyl-2-fluoroethyl), 1-[1-methyl-1-  
(fluoromethyl)-2-fluoroethyl], and  
1-[1,1-(difluoromethyl)-2-fluoroethyl] substituted  
derivatives  
AUTHOR(S): Remuzon, P.; Bouzard, D.; Di Cesare, P.; Essiz, M.;  
Jacquet, J. P.; Kiechel, J. R.; Ledoussal, B.;  
Kessler, R. E.; Fung-Tomc, J.  
CORPORATE SOURCE: Cent. Rech. Bristol-Myers Squibb, Marne-la-Vallee,  
77422, Fr.  
SOURCE: J. Med. Chem. (1991), 34(1), 29-37  
CODEN: JMCMAR; ISSN: 0022-2623  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 114:42604  
GI



AB The title quinolones and naphthyridines I (R = (un)substituted cyclic  
amino; R1 = CMe2CH2F, C(CH2F)2Me, C(CH2F)3; X = CH, N) were prepd. as  
**antibacterial** agents, and compared to the nonfluorinated tert-Bu  
analogs. The CMe2CH2F group was shown to enhance the in vitro  
**antibacterial** activity in the quinoline series compared to the  
CMe3 group, whereas, it decreased it in the naphthyridine series. The  
best in vitro **antibacterial** activity was found for I [R =  
(3S)-aminopyrrolidino, R1 = CMe2CH2F, X = CH].  
IT 130435-38-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and amination of, with piperazine)  
RN 130435-38-0 CA  
CN 3-Quinolonecarboxylic acid, 6,7-difluoro-1-(2-fluoro-1,1-dimethylethyl)-  
1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



09/919,347

IT 130435-38-0P 130435-39-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and amination of, with piperazine)

IT 130436-04-3P

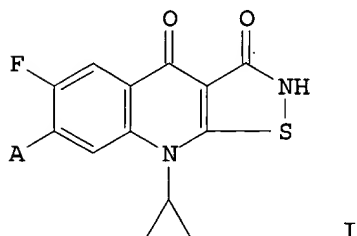
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

09/919,347

L14 ANSWER 28 OF 35 CA COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 114:6495 CA  
TITLE: Preparation of **antibacterial**  
isothiazoloquinoline derivatives  
INVENTOR(S): Ito, Yasuo; Kato, Hideo; Etsuchu, Eiichi; Ogawa,  
Nobuo; Yagi, Noriyuki; Yoshida, Toshihiko; Suzuki,  
Tomio  
PATENT ASSIGNEE(S): Hokuriku Pharmaceutical Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02178290	A2	19900711	JP 1988-328988	19881228 <--

OTHER SOURCE(S): MARPAT 114:6495  
GI



AB The title derivs. I [A = R<sub>4</sub>R<sub>5</sub>NCR<sub>2</sub>R<sub>3</sub>(CH<sub>2</sub>)<sub>n</sub>CHR<sub>1</sub>O; R<sub>1</sub>-R<sub>5</sub> = H, lower alkyl; R<sub>1</sub>R<sub>2</sub>, R<sub>2</sub>R<sub>4</sub> = C<sub>3</sub>-5 alkylene; R<sub>1</sub>R<sub>4</sub> = C<sub>2</sub>-4 alkylene; n = 0-2] or their **pharmaceutically** acceptable acid salts are prepd. as agents having broad-spectrum **antibacterial** activity (no data). Thus, a suspension of 0.50 g I (A = F) (prepn. given) in DMF was treated dropwise with a soln. contg. 0.45 g H<sub>2</sub>NCMe<sub>2</sub>CH<sub>2</sub>OH and NaH in DMF at 0.degree. and stirred 1 h at room temp. to give 0.10 g I (A = H<sub>2</sub>NCMe<sub>2</sub>CH<sub>2</sub>O).

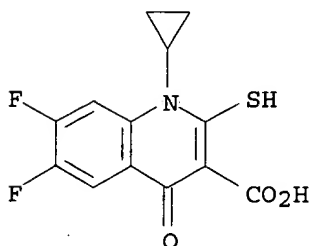
IT 130629-93-5

RL: RCT (Reactant)

(cyclocondensation of, with hydroxylamine sulfonate)

RN 130629-93-5 CA

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,7-difluoro-1,4-dihydro-2-mercapto-4-oxo- (9CI) (CA INDEX NAME)



09/919,347

IT **130629-93-5**

RL: RCT (Reactant)

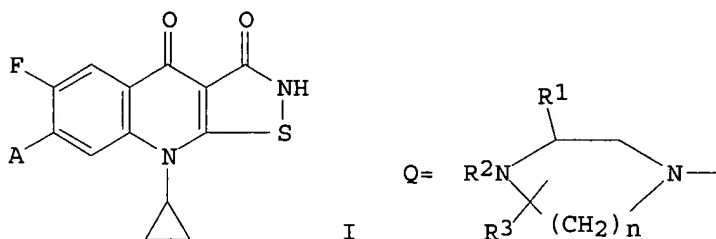
(cyclocondensation of, with hydroxylamine sulfonate)

09/919,347

ACCESSION NUMBER: 113:231366 CA  
 TITLE: Preparation of **antibacterial**  
 isothiazoloquinoline derivatives  
 INVENTOR(S): Ito, Yasuo; Kato, Hideo; Etsuchu, Eiichi; Ogawa,  
 Nobuo; Yagi, Noriyuki; Yoshida, Toshihiko  
 PATENT ASSIGNEE(S): Hokuriku Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02174784	A2	19900706	JP 1988-327761	19881227 <--

OTHER SOURCE(S): MARPAT 113:231366  
 GI



AB The title derivs. I [A = Q; R1 = lower (hydroxy)alkyl; R2, R3 = H, lower alkyl; if R1 = Me then R2 = R3 .noteq. H; n = 2, 3] or their **pharmaceutically** acceptable acid salts are prepd. as broad-spectrum **antibacterials** (no data). Thus, a suspension of I (A = F) (prepn. given), 2-hydroxymethylpiperazine (II), and DBU in pyridine was refluxed 3 h, mixed with addnl. II, and then refluxed 1 h to give I (A = 3-hydroxymethyl-1-piperazinyl).

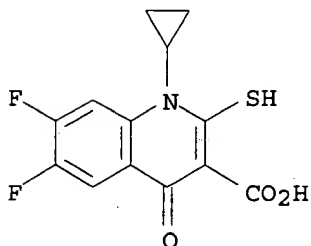
IT 130629-93-5

RL: RCT (Reactant)

(cyclocondensation of, with hydroxylamine sulfonate)

RN 130629-93-5 CA

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,7-difluoro-1,4-dihydro-2-mercapto-4-oxo- (9CI) (CA INDEX NAME)



IT 130629-93-5

09/919,347

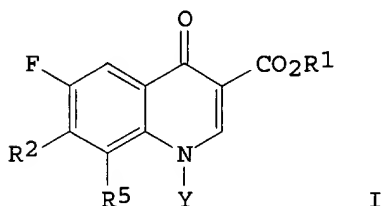
RL: RCT (Reactant)

(cyclocondensation of, with hydroxylamine sulfonate)

09/919,347

ACCESSION NUMBER: 113:132023 CA  
TITLE: **Antibacterial** 6-fluoro-1,4-dihydroquinol-4-one-3-carboxylates and intermediates and a process for their preparation  
INVENTOR(S): McGuirk, Paul Robert  
PATENT ASSIGNEE(S): Pfizer Inc., USA  
SOURCE: Eur. Pat. Appl., 38 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 348088	A1	19891227	EP 1989-305969	19890613 <--
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5039682	A	19910813	US 1988-209660	19880621 <--
CA 1334756	A1	19950314	CA 1989-603221	19890619 <--
DK 8903036	A	19891222	DK 1989-3036	19890620 <--
FI 8903030	A	19891222	FI 1989-3030	19890620 <--
FI 93008	B	19941031		
FI 93008	C	19950210		
JP 02045469	A2	19900215	JP 1989-158147	19890620 <--
JP 07121914	B4	19951225		
US 5104868	A	19920414	US 1990-575117	19900829 <--
US 5103040	A	19920407	US 1991-706903	19910529 <--
US 5233091	A	19930803	US 1991-707358	19910529 <--
PRIORITY APPLN. INFO.: OTHER SOURCE(S):			US 1988-209660	19880621
MARPAT 113:132023				
GI				



AB **Antibacterial** (no data) title compds. [I; R1 = H, C1-7 alkyl, CH2Ph, **pharmaceutically** acceptable cation; R2 = vinyl, vinyl substituted by W, MeC.tplbond.C, WCH2C.tplbond.C, cyclopropyl (optionally 2-substituted by W); W = R3(CH2)m; m = 1, 2; R3 = OH, NH2, C1-3 alkylamino, alkylsulfonyl, or alkylsulfamoyl, SO2NH2; R5 = H, F, Cl, OMe; Y = C1-3 (halo)alkyl, cyclopropyl, vinyl, p-FC6H4, o,p-F2C6H3; or R5Y = X(CH2)nCHR4; X = CH2, O; n = 0-2; R4 = H, C1-3 (halo)alkyl, CH2OH, hydroxyethyl, aminomethyl, Ph, methylene] were prepd., with some I (Y = cyclopropyl) being prepd. via a novel method and intermediates. Thus, a cuprate prepd. from 3,4-BrFC6H3NH2, BuLi, and CuCN was added to cyclopropyllithium to give N-cyclopropyl-3-bromo-4-fluoroaniline, which underwent condensation with EtOCH:C(CO2Et)2 and cyclization to give Et 1-cyclopropyl-6-fluoro-7-bromo-1,4-dihydroquinol-4-one-3-carboxylate. This was vinylated by CH2:CHMgBr/ZnCl2 and Pd(PPh3)4, and the 7-vinyl compd. cyclopropanated with CH2N2 and Pd(OAc)2 and hydrolyzed with HCl, to



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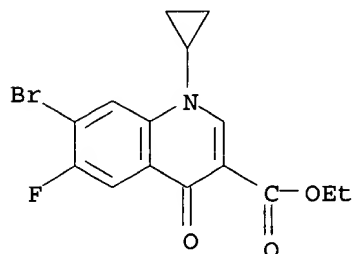
give I (R1 = R5 = H, R2 = Y = cyclopropyl).

IT **123942-15-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and reaction of, as intermediate for **antibacterials**)

RN 123942-15-4 CA

CN 3-Quinolonecarboxylic acid, 7-bromo-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



IT **123942-15-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and reaction of, as intermediate for **antibacterials**)

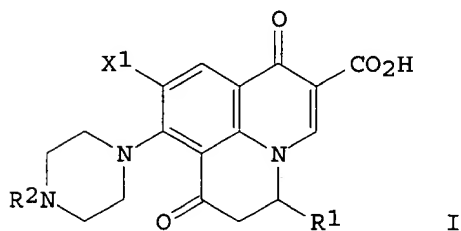
IT **127803-42-3**

RL: RCT (Reactant)  
(reaction of, in prepn. of quinolonecarboxylate **antibacterials**)  
)

09/919,347

ACCESSION NUMBER: 112:179020 CA  
TITLE: Preparation of optically active  
benzo[ij]quinolizinecarboxylic acid derivatives as  
medicinal **antibacterials**  
INVENTOR(S): Tomari, Masazumi; Nagamatsu, Yasuhiro; Suzuki, Senji  
PATENT ASSIGNEE(S): Tokyo Tanabe Co., Ltd., Japan  
SOURCE: Eur. Pat. Appl., 25 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 323189	A2	19890705	EP 1988-312299	19881223 <--
EP 323189	A3	19920226		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 01250380	A2	19891005	JP 1988-264127	19881021 <--
AU 8827035	A1	19890629	AU 1988-27035	19881219 <--
AU 624102	B2	19920604		
US 4946844	A	19900807	US 1988-286467	19881219 <--
CA 1326026	A1	19940111	CA 1988-586275	19881219 <--
PRIORITY APPLN. INFO.:			JP 1987-328370	19871226
			JP 1988-264127	19881021
OTHER SOURCE(S):		CASREACT 112:179020; MARPAT 112:179020		
GI				



AB The title compds. [(+)-I; X1 = halo; R1, R2 = alkyl] and their physiol. acceptable salts and hydrates, useful as **antibacterials**, are prepd. (+)-5-Chloro-6-fluoro-2-methyl-4-oxo-1-(N-tosyl-L-prolyl)-1,2,3,4-tetrahydroquinoline, obtained by reacting (+)-5-chloro-6-fluoro-2-methyl-4-oxo-1,2,3,4-tetrahydroquinoline with N-tosyl-L-prolyl chloride and chromatog. sepn. of the resulting diastereomeric acylquinolines, was deacylated to give (-)-5-chloro-6-fluoro-2-methyl-4-oxo-1,2,3,4-tetrahydroquinoline, which was then cyclocondensed with EtOCH:C(CO2Et)2 to give (-)-8-chloro-9-fluoro-5-methyl-6,7-dihydro-1,7-dioxo-1H,5H-benzo[ij]quinolizine-2-carboxylic acid Et ester, which was condensed with N-methylpiperazine to give (+)-I (X1 = F, R1 = R2 = Me) (II). II.HCl had an MIC of 0.05 .mu.g/mL vs. 1.56 .mu.g/mL for its enantiomer and 0.10 .mu.g/mL for its racemate.

IT 125098-08-0P

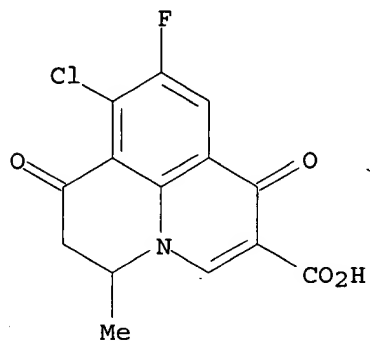
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and amination of, by piperazine deriv.)

09/919,347

RN 125098-08-0 CA

CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 8-chloro-9-fluoro-6,7-dihydro-5-methyl-1,7-dioxo-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



IT 125098-08-0P 125098-18-2P 125127-83-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and amination of, by piperazine deriv.)

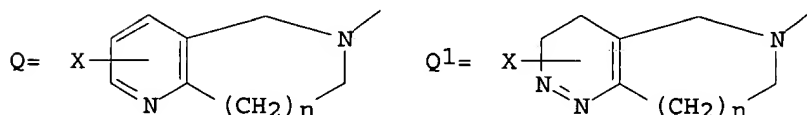
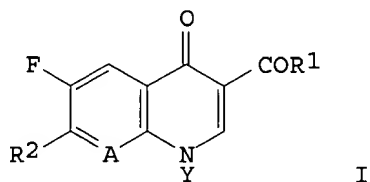
L

09/919,347

ACCESSION NUMBER: 112:77161 CA  
TITLE: Preparation of 6-fluoro-1,4-dihydro-4-oxo-(1,8-naphthyridine or quinoline)-3-carboxylic acid derivatives as **antibacterial** agents  
INVENTOR(S): Brighty, Katherine E.; Lowe, John Adams, III; McGuirk, Paul Robert  
PATENT ASSIGNEE(S): Pfizer Inc., USA  
SOURCE: Eur. Pat. Appl., 36 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 321191	A2	19890621	EP 1988-311797	19881214 <--
EP 321191	A3	19910227		
EP 321191	B1	19941102		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
WO 8905643	A1	19890629	WO 1987-US3412	19871218 <--
W: FI, HU, NO, RO, SU, US				
HU 50469	A2	19900228	HU 1987-1279	19871218 <--
IL 88664	A1	19930818	IL 1988-88664	19881212 <--
ES 2061695	T3	19941216	ES 1988-311797	19881214 <--
ZA 8809395	A	19900829	ZA 1988-9395	19881215 <--
AU 8826987	A1	19890622	AU 1988-26987	19881216 <--
AU 600188	B2	19900802		
DK 8806997	A	19890811	DK 1988-6997	19881216 <--
JP 01211587	A2	19890824	JP 1988-319341	19881216 <--
JP 07025757	B4	19950322		
FI 8903883	A	19890817	FI 1989-3883	19890817 <--
FI 90239	B	19930930		
FI 90239	C	19940110		
NO 8903305	A	19891017	NO 1989-3305	19890817 <--
NO 178149	B	19951023		
NO 178149	C	19960131		

PRIORITY APPLN. INFO.: WO 1987-US3412 19871218  
OTHER SOURCE(S): CASREACT 112:77161  
GI



AB The title compds. [I; Y = C1-3 (hydroxy, fluoro, or chloro)alkyl,

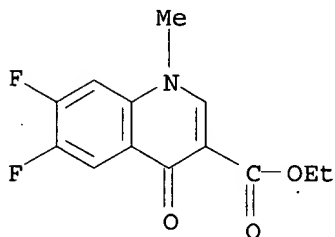
cyclopropyl, 2,4-F<sub>2</sub>C<sub>6</sub>H<sub>3</sub>, 4-FC<sub>6</sub>H<sub>4</sub>; A = CH, CF, CCl, COMe, N; or A = C and AY = CZCH<sub>2</sub>CR<sub>3</sub> or CZCH<sub>2</sub>C(:CH<sub>2</sub>); Z = O, CH<sub>2</sub>; R<sub>3</sub> = H, C1-3 alkyl, FCH<sub>2</sub>, ClCH<sub>2</sub>; R<sub>1</sub> = OH, C1-6 alkoxy, (C1-6 alkyl)amino, OM; M = **pharmaceutically** acceptable cation; R<sub>2</sub> = heterocyclyl, e.g. Q, Q<sub>1</sub>; X = H, 1 or 2 of CH<sub>2</sub>NHR<sub>4</sub>, NHR<sub>4</sub> or C1-6 alkylsulfonyl; R<sub>4</sub> = H, C1-6 alkyl; n = 0, 1] are prepd. as **antibacterial** agents (no data). Thus, a soln. of 2-amino-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridine in Me<sub>2</sub>SO was treated with 1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxoquinoline-3-carboxylic acid and heated to 80.degree. overnight to give 94% 7-[5-(2-amino-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridyl)]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxoquinoline-3-carboxylic acid.

IT **124458-07-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as intermediate for **antibacterial**)

RN 124458-07-7 CA

CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1,4-dihydro-1-methyl-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



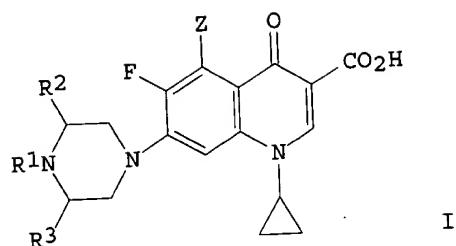
IT **124458-07-7P 124458-33-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as intermediate for **antibacterial**)

09/919,347

ACCESSION NUMBER: 111:174128 CA  
TITLE: Preparation and testing of 1-cyclopropyl-7-piparazinylquinolonecarboxylates as  
**antibacterials**  
INVENTOR(S): Matsumoto, Junichi; Minamida, Akira; Fujita, Masahiro;  
Hirose, Tohru; Nakano, Junji; Nakamura, Shinichi  
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan  
SOURCE: Eur. Pat. Appl., 36 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

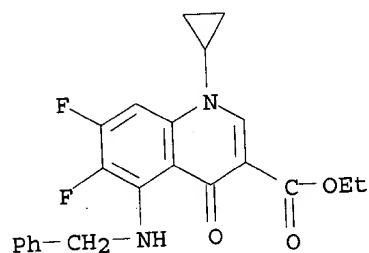
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 312085	A2	19890419	EP 1988-117113	19881014 <--
EP 312085	A3	19900530		
EP 312085	B1	19930512		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
IL 88003	A1	19921115	IL 1988-88003	19881011 <--
DK 8805737	A	19890417	DK 1988-5737	19881014 <--
FI 8804752	A	19890417	FI 1988-4752	19881014 <--
NO 8804599	A	19890417	NO 1988-4599	19881014 <--
NO 171016	B	19921005		
NO 171016	C	19930113		
AU 8823754	A1	19890420	AU 1988-23754	19881014 <--
AU 619214	B2	19920123		
ZA 8807678	A	19890726	ZA 1988-7678	19881014 <--
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JP 07094452	B4	19951011		
DD 275685	A5	19900131	DD 1988-320780	19881014 <--
CS 277016	B6	19921118	CS 1988-6813	19881014 <--
SU 1780533	A3	19921207	SU 1988-4356754	19881014 <--
AT 89272	E	19930515	AT 1988-117113	19881014 <--
ES 2054762	T3	19940816	ES 1988-117113	19881014 <--
CN 1033996	A	19890719	CN 1988-108434	19881015 <--
CN 1021967	B	19930901		
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SU 1780534	A3	19921207	SU 1989-4742183	19891018 <--
SU 1830067	A3	19930723	SU 1989-4742186	19891020 <--
PRIORITY APPLN. INFO.:			JP 1987-262441	19871016
			JP 1988-108840	19880430
			EP 1988-117113	19881014
			US 1988-258613	19881017
OTHER SOURCE(S):			MARPAT 111:174128	
GI				



AB The title compds. (I; R1, R2, R3 = H, C1-5 alkyl; Z = amino, halo), and their **pharmaceutically** acceptable salts and esters were prepd. as bactericides. 5-Amino-1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxoquinoline-3-carboxylic acid (prepn. starting from 2,3,4,6-tetrafluorobenzoic acid and PhCH2NH2 given) and piperazine were refluxed in pyridine to give I (R1 = R2 = R3 = H, Z = NH2) (II). II had an ED50 of 1.41 mg/kg orally against *S. aureus* in mice. Generic tablet, capsule, and injection formulations were given.

IT **123016-59-1P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and debenzoylation of, in prepn. of quinolonecarboxylate **antibacterial**)

RN 123016-59-1 CA  
 CN 3-Quinolonecarboxylic acid, 1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxo-5-[(phenylmethyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



IT **123016-59-1P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and debenzoylation of, in prepn. of quinolonecarboxylate **antibacterial**)

IT **123016-60-4P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and hydrolysis of, in prepn. of quinolonecarboxylate **antibacterial**)

IT **123016-57-9P 123016-58-0P**  
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as intermediate for piperazinylquinolonecarboxylate **antibacterial**)